



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷: A61K 31/505, C07D 401/14, 413/14, 417/12, 405/12, 401/12	A1	(11) International Publication Number: WO 00/47212 (43) International Publication Date: 17 August 2000 (17.08.00)
(21) International Application Number: PCT/GB00/00373 (22) International Filing Date: 8 February 2000 (08.02.00) (30) Priority Data: 99400305.1 10 February 1999 (10.02.99) EP (71) Applicants (for all designated States except US): AS-TRAZENECA UK LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB). ZENECA-PHARMA S.A. [FR/FR]; Le Galien, 1, rue des Chauffours, Boite postale 127, F-95022 Cergy Cedex (FR). (72) Inventors; and (75) Inventors/Applicants (for US only): HENNEQUIN, Laurent, François, Andre [FR/FR]; Z.I. La Pompelle, Boite postale 1050, F-51689 Reims Cedex 2 (FR). PLE, Patrick [FR/FR]; Z.I. La Pompelle, Boite postale 1050, F-51689 Reims Cedex 2 (FR). STOKES, Elaine, Sophie, Elizabeth [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). MCKERRECHER, Darren [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). (74) Agent: BRYANT, Tracey; AstraZeneca, Global Intellectual Property, Patents, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).	(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>	
(54) Title: QUINAZOLINE DERIVATIVES AS ANGIOGENESIS INHIBITORS		
<p style="text-align: center;">(I)</p>		
(57) Abstract <p>The invention relates to the use of compounds of formula (I), wherein ring C is an 8, 9, 10, 12 or 13-membered bicyclic or tricyclic moiety which optionally may contain 1-3 heteroatoms selected independently from O, N and S; Z is -O-, -NH-, -S-, -CH₂- or a direct bond; n is 0-5; m is 0-3; R² represents hydrogen, hydroxy, halogeno, cyano, nitro, trifluoromethyl, C₁-alkyl, C₁-alkoxy, C₁-alkylsulphonyl, -NR³R⁴ (wherein R³ and R⁴, which may be the same or different, each represents hydrogen or C₁-alkyl), or R⁵X¹ (wherein X¹ and R⁵ are as defined herein; R¹ represents hydrogen, oxo, halogeno, hydroxy, C₁-alkoxy, C₁-alkyl, C₁-alkoxymethyl, C₁-alkanoyl, C₁-haloalkyl, cyano, amino, C₂-alkenyl, C₂-alkynyl, C₁-alkanoyloxy, nitro, C₁-alkanoylamino, C₁-alkoxycarbonyl, C₁-alkylsulphonyl, C₁-alkylsulphinyl, C₁-alkylsulphonyl, carbamoyl, N-C₁-alkylcarbamoyl, N,N-di(C₁-alkyl)carbamoyl, aminosulphonyl, N-C₁-alkylaminosulphonyl, N,N-di(C₁-alkyl)aminosulphonyl, N-(C₁-alkylsulphonyl)amino, N-(C₁-alkylsulphonyl)-N-(C₁-alkyl)amino, N,N-di(C₁-alkylsulphonyl)amino, a C₃-alkylene chain joined to two ring C carbon atoms, C₁-alkanoylamino, C₁-alkyl, carboxy or a group R⁵X¹⁰ (wherein X¹⁰ and R⁵ are as defined herein); and salts thereof, in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, processes for the preparation of such compounds, pharmaceutical compositions containing a compound of formula (I) or a pharmaceutically acceptable salt thereof as active ingredient and compounds of formula (I). The compounds of formula (I) and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis.</p>		

(19)日本国特許庁 (J P)

(12) 公表特許公報 (A)

(11)特許出願公表番号

特表2002-536414

(P2002-536414A)

(43)公表日 平成14年10月29日(2002.10.29)

(51)Int.Cl. ⁷	識別記号	F I	特マコード* (参考)
C 0 7 D 239/88		C 0 7 D 239/88	4 C 0 6 3
A 6 1 K 31/517		A 6 1 K 31/517	4 C 0 8 6
31/5377		31/5377	
31/541		31/541	
A 6 1 P 3/10		A 6 1 P 3/10	

審査請求 有 予備審査請求 有 (全520頁) 最終頁に続く

(21)出願番号 特願2000-598164(P2000-598164)
(86)(22)出願日 平成12年2月8日(2000.2.8)
(85)翻訳文提出日 平成13年8月10日(2001.8.10)
(86)国際出願番号 P C T / G B 0 0 / 0 0 3 7 3
(87)国際公開番号 W O 0 0 / 4 7 2 1 2
(87)国際公開日 平成12年8月17日(2000.8.17)
(31)優先権主張番号 9 9 4 0 0 3 0 5 . 1
(32)優先日 平成11年2月10日(1999.2.10)
(33)優先権主張国 欧州特許庁 (E P)

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(54)【発明の名称】 血管形成阻害剤としてのキナゾリン誘導体

(57)【要約】

本発明は、式 I の化合物〔式中、環 C は、O、N 及び S から独立して選択される 1～3 個のヘテロ原子を場合により含有し得る 8、9、10、12 又は 13 員の二環式又は三環式部分であり；Z は -O-、-NH-、-S-、-CH₂- 又は直接の結合であり；n は 0～5 の整数であり；m は 0～3 の整数であり；R² は水素、ヒドロキシ、ハロゲン、シアノ、ニトロ、トリフルオロメチル、C₁₋₃ アルキル、C₁₋₃ アルコキシ、C₁₋₃ アルキルスルファニル、-NR³R⁴ (ここで、R³ 及び R⁴ は同じであっても異なってもよく、それぞれ水素又は C₁₋₃ アルキルを表す)、又は R⁵X¹⁶ を表し (ここで、X¹⁶ 及び R⁵ は本明細書に定義される通りである)；R¹ は水素、オキソ、ハロゲン、ヒドロキシ、C₁₋₄ アルコキシ、C₁₋₄ アルキル、C₂₋₄ アルコキシメチル、C₂₋₄ アルカノイル、C₁₋₄ ハロアルキル、シアノ、アミノ、C₂₋₅ アルケニル、C₂₋₅ アルキニル、C₁₋₃ アルカノイルオキシ、ニトロ、C₁₋₄ アルカノイルアミノ、C₁₋₄ アルコキシカルボニル、C₁₋₄ アルキルスルファニル、C₁₋₄ アルキルスルフィニル、C₁₋₄ アルキルスルホニル、

カルバモイル、N-C₁₋₄ アルキルカルバモイル、N、N-ジ (C₁₋₄ アルキル) カルバモイル、アミノスルホニル、N-C₁₋₄ アルキルアミノスルホニル、N、N-ジ (C₁₋₄ アルキル) アミノスルホニル、N- (C₁₋₄ アルキルスルホニル) アミノ、N- (C₁₋₄ アルキルスルホニル) -N- (C₁₋₄ アルキル) アミノ、N、N-ジ (C₁₋₄ アルキルスルホニル) アミノ、環 C の 2 つの炭素原子に結合した C₃₋₇ アルキレン鎖、C₁₋₄ アルカノイルアミノ C₁₋₄ アルキル、カルボキシ、又は R⁵⁶X¹⁶ を表す (ここで、X¹⁶ 及び R⁵⁶ は本明細書に定義される通りである)〕、及びその塩の、温血動物において抗血管形成効果及び/又は血管透過性抑制効果を生ずるのに使用する医薬品の製造における使用、そのような化合物の製造法、式 (I) の化合物又はその製剤的に許容される塩を有効成分として含有する医薬組成物、及び式 (I) の化合物群に関する。式 (I) の化合物及びその製剤的に許容される塩は VEGF の作用を阻害し、これは癌及び慢性関節リウマチを含む数多くの病態の治療において有用な特性である。

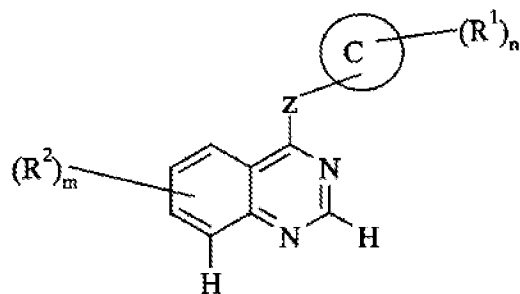
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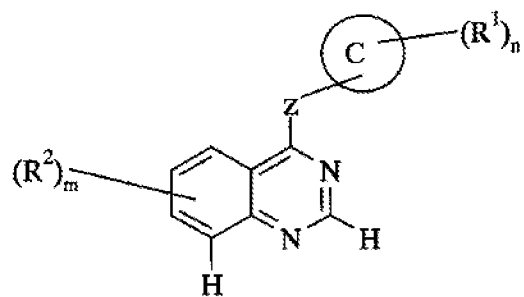
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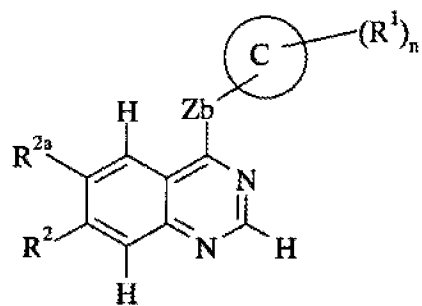
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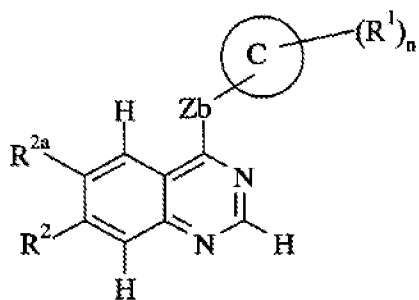
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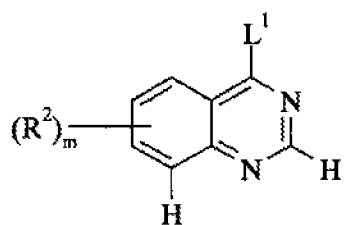
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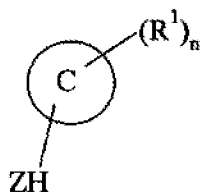
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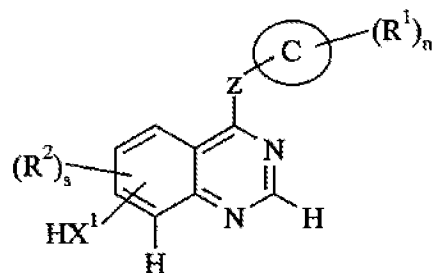
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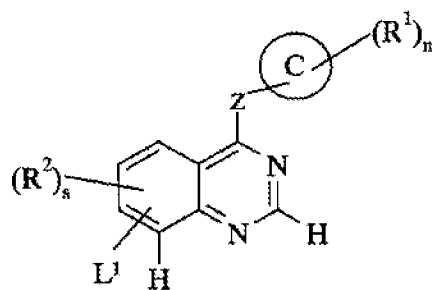
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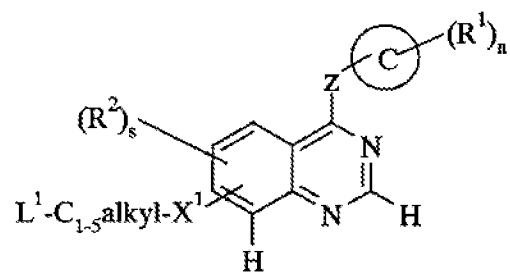
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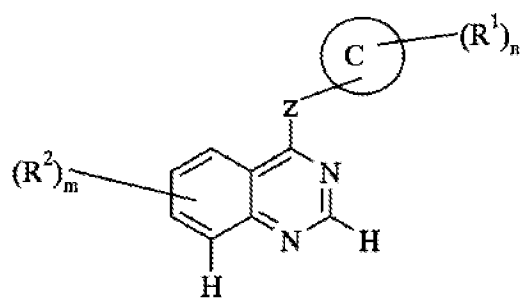
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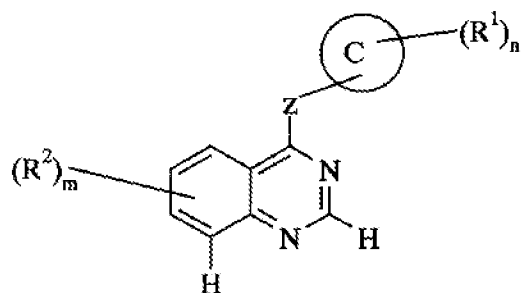
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This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. The background is white, and the dots are consistently sized and spaced throughout the entire document.

[illegible]

This image shows a full page of dot grid paper. It consists of multiple horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. There are approximately 28 rows of dots visible on the page.

[illegible]

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This image shows a full page of dot grid paper. It features approximately 28 horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. The background is white, and the overall appearance is clean and minimalist.

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This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. There are approximately 28 rows of dots visible on the page.

This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. There are approximately 28 rows of dots visible on the page.

This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. There are approximately 28 rows of dots visible on the page.

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This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. There are approximately 28 rows of dots visible on the page.

This image shows a full page of dot grid paper. It features approximately 20 horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. The background is white, and the overall appearance is clean and minimalist.

This image shows a full page of dot grid paper. It features approximately 28 horizontal rows of small, evenly spaced black dots. The dots are arranged in straight lines across the width of the page, providing a guide for writing or drawing without solid lines. The background is white, and the overall appearance is clean and minimalist.

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This image shows a full page of dot grid paper. It consists of numerous horizontal rows of small, evenly spaced black dots on a white background. The dots are arranged in straight lines across the entire width of the page, providing a guide for writing or drawing without solid lines.

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This image shows a full page of dot grid paper. It features ten horizontal rows of small black dots. Each row contains approximately 60 dots, evenly spaced across the width of the page. The dots are arranged in a precise grid pattern, typical of stationery used for writing or drawing. There is no text or other markings on the page.

This image shows a full page of dot grid paper. The dots are arranged in a precise, repeating pattern across the entire surface, forming a grid that is useful for writing, drawing, or planning. The dots are small and black, set against a plain white background.

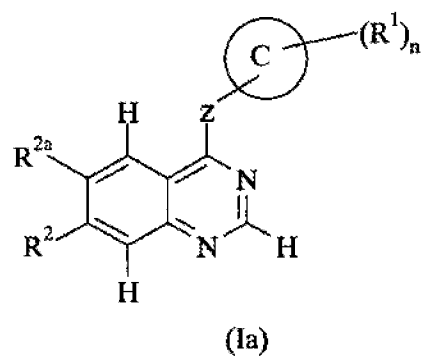
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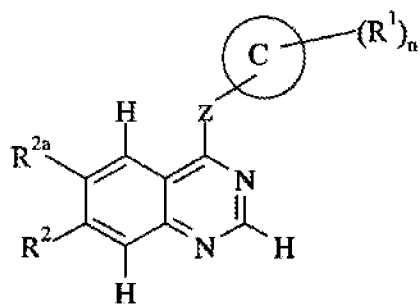
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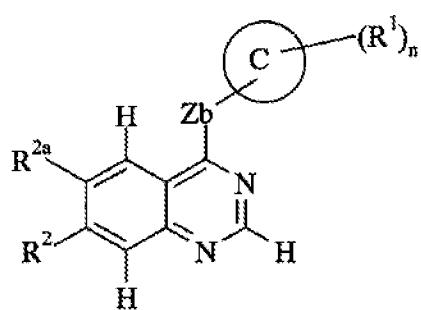
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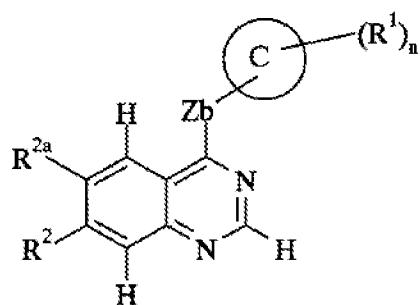
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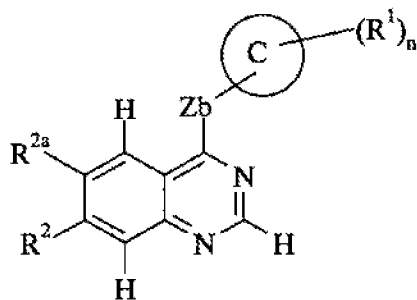
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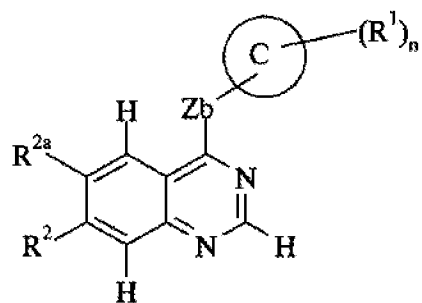
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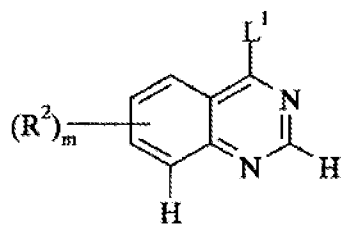
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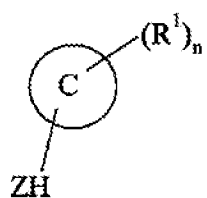
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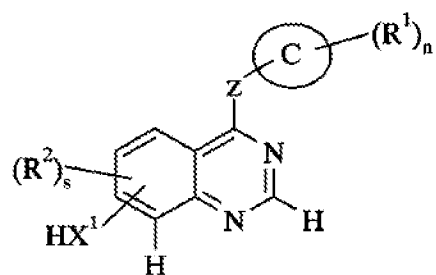
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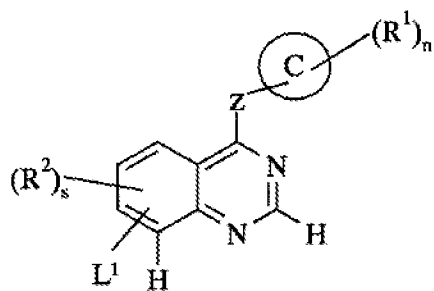
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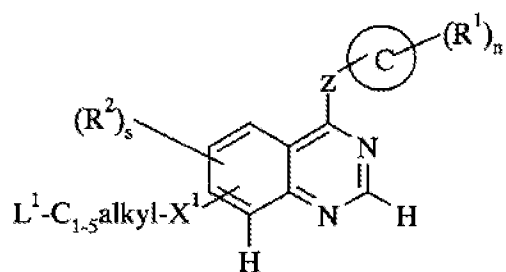


(V)



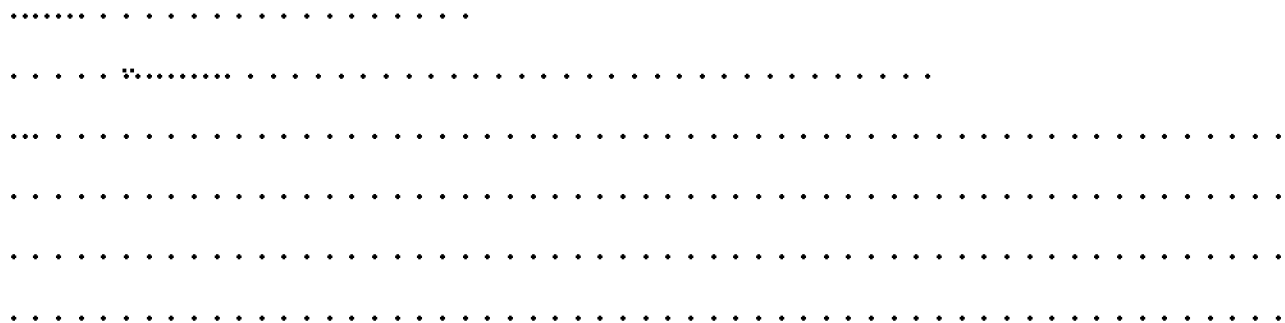
(VII)

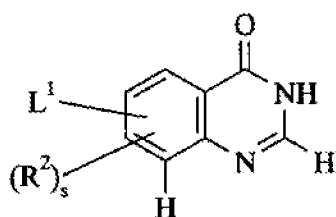
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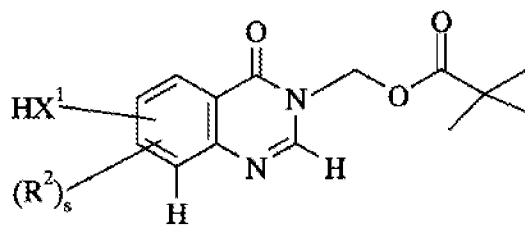
(IX)

A series of ten horizontal dotted lines for handwriting practice. Each line begins with a small, irregular cluster of dots, followed by a long, straight sequence of dots. The clusters vary slightly in shape and position, but all are located at the start of their respective lines. The lines are evenly spaced and extend across the width of the page.





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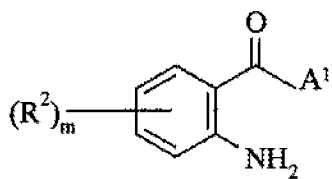
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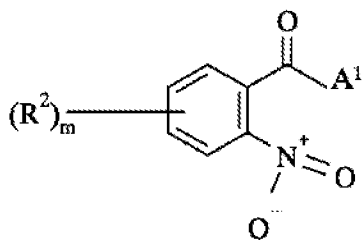
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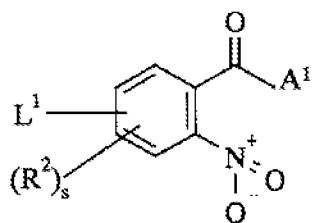
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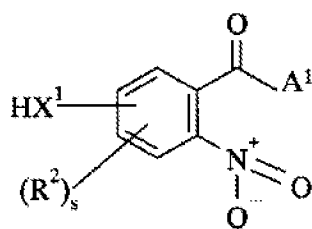
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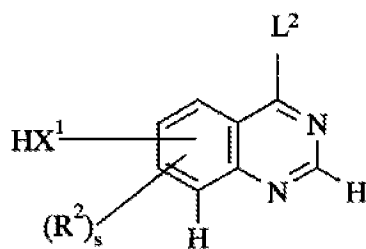
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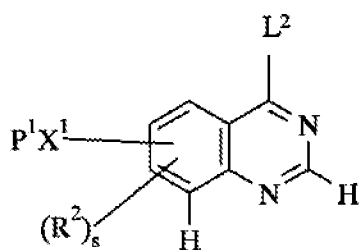
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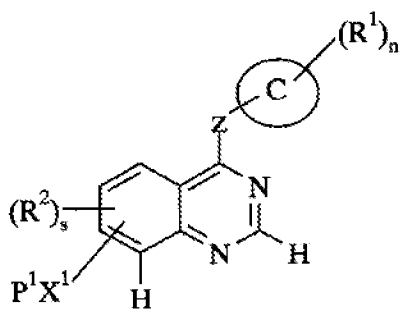
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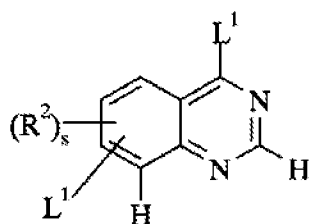
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^1H NMR スペクトル: (DMSO-d_6) 1.98(m, 2H); 2.40(m, 4H); 2.48(t, 2H); 3.59(m, 4H); 4.00(s, 3H); 4.25(t, 2H); 7.40(s, 1H); 7.58(m, 1H); 7.62(s, 1H); 7.74(dd, 1H); 7.92(d, 1H); 8.10(d, 1H); 8.38(d, 1H); 8.55(s, 1H); 8.92(m, 1H)

MS (ESI): 447 (MH) $^+$

元素分析	:	実測値	C	65.9	H	5.7	N	12.4
$\text{C}_{25}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 0.5\text{H}_2\text{O}$		理論値	C	65.9	H	6.0	N	12.3%

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^1H NMR λ° クト λ : (CDCl_3) 4.09(s, 3H); 5.34(s, 2H); 7.42(m, 12H); 7.63(s, 1H)

MS (ESI): 359 (MH) $^+$

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^1H NMR λ° クト λ : (DMSO-d_6) 3.97(s, 3H); 7.22(s, 1H); 7.30(m, 3H); 7.47(t,

2H); 7.56(s, 1H); 8.47(s, 1H); 10.70(s, 1H)

MS (ESI): 269 (MH) $^+$

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^1H NMR λ° クト λ : (DMSO-d_6) 1.85(m, 2H); 2.30(t, 4H); 2.38(t, 2H); 3.53(t,

4H); 3.65(t, 2H)

MS (ESI): 164 (MH) $^+$

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¹H NMR 測定値: (DMSO-d₆) 1.97(m, 2H); 2.39(t, 4H); 2.47(t, 2H); 3.58(t, 4H); 3.95(s, 3H); 4.23(t, 2H); 7.31(m, 3H); 7.36(s, 1H); 7.49(t, 2H); 7.55(s, 1H); 8.52(s, 1H)

MS (ESI): 396 (MH)⁺

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¹H NMR 測定値: (DMSO-d₆) 1.91(m, 2H); 2.34(t, 4H); 2.42(t, 2H); 3.56(t, 4H); 3.85(s, 3H); 4.12(t, 2H); 7.11(s, 1H); 7.42(s, 1H); 7.96(s, 1H); 12.01(s, 1H)

MS (ESI): 320 (MH)⁺

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^1H NMR スペクトル: (DMSO-d_6) 1.98(m, 2H); 2.39(m, 4H); 2.48(t, 2H); 3.59(m, 4H); 4.00(s, 3H); 4.25(t, 2H); 7.40(s, 1H); 7.58(m, 2H); 7.62(s, 1H); 7.92(d, 1H); 8.10(d, 1H); 8.44(d, 1H); 8.55(s, 1H); 8.92(m, 1H)

MS (ESI): 447 (MH)⁺

元素分析	:	実測値	C	66.6	H	5.7	N	12.4
$\text{C}_{25}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 0.25\text{H}_2\text{O}$		理論値	C	66.6	H	5.9	N	12.4%

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^1H NMR スペクトル: (DMSO-d_6) 1.98(m, 2H); 2.39(m, 4H); 2.48(t, 2H); 3.59(m, 4H); 4.00(s, 3H); 4.26(t, 2H); 7.40(s, 1H); 7.48(m, 2H); 7.58(m, 2H); 7.74(s, 1H); 7.75(d, 1H); 7.92(d, 1H); 8.03(d, 1H); 8.42(s, 1H)

MS (ESI): 446 (MH)⁺

元素分析	:	実測値	C	69.9	H	6.2	N	9.4
$\text{C}_{26}\text{H}_{27}\text{N}_3\text{O}_4$		理論値	C	70.1	H	6.1	N	9.4%

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MS (ESI): 461 (MH)⁺

元素分析 : 実測値 C 67.1 H 5.9 N 12.1

$$\text{C}_{26}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.2\text{H}_2\text{O} \quad \text{理論值} \quad \text{C} \ 67.3 \quad \text{H} \ 6.2 \quad \text{N} \ 12.1\%$$

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[illegible]

^1H NMR スペクトル: (DMSO-d_6) 1.98(m, 2H); 2.65(t, 2H); 2.92(m, 4H); 3.10(m, 4H); 4.00(s, 3H); 4.28(t, 2H); 7.42(s, 1H); 7.58(m, 2H); 7.64(s, 1H); 7.92(d, 1H); 8.10(d, 1H); 8.44(d, 1H); 8.55(s, 1H); 8.92(m, 1H)

MS (ESI): 495 (MH) $^+$

元素分析	:	実測値	C	60.0	H	5.0	N	11.1
$\text{C}_{25}\text{H}_{26}\text{N}_4\text{O}_5\text{S} \cdot 0.25\text{H}_2\text{O}$		理論値	C	60.2	H	5.4	N	11.2%

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^1H NMR λ° H_2O : (DMSO- d_6) 3.98(s, 3H); 5.34(s, 2H); 7.42(m, 9H); 7.69(dd, 1H); 8.55(s, 1H)

MS (ESI): 411 (MH) $^+$

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^1H NMR λ° H_2O : (DMSO- d_6) 3.97(s, 3H); 7.22(s, 1H); 7.39(d, 1H); 7.53(m, 2H); 7.67(dd, 1H); 8.46(s, 1H)

MS (ESI): 321 (MH) $^+$

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^1H NMR λ° H_2O : (CDCl $_3$) 1.7-1.8(m, 2H); 2.73(t, 2H); 3.06(br s, 8H); 3.25(s, 1H); 3.78(t, 2H)

MS - ESI: 194 [MH] $^+$

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This image shows a full page of dot grid paper. It features approximately 20 horizontal rows of small black dots. Each row contains about 60 dots, evenly spaced across the width of the page. The dots are arranged in straight, parallel lines, providing a guide for writing or drawing without the presence of solid horizontal lines.

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¹H NMR λ[°] 713: (DMSO-d₆) 1.86(m, 2H); 2.65(t, 2H); 2.92(m, 4H); 3.08(m, 4H); 3.97(s, 3H); 4.26(t, 2H); 7.40(m, 1H); 7.42(s, 1H); 7.56(m, 2H); 7.68(dd, 1H); 8.54(s, 1H)

MS (ESI): 496 (MH)⁺

元素分析	：	実測値	C	52.7	H	4.4	N	8.3
$C_{22}H_{23}N_3ClFO_5S \cdot 0.25H_2O$		理論値	C	52.8	H	4.7	N	8.4%

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^1H NMR λ° CDCl_3 : (DMSO- d_6) 4.00(s, 3H); 4.00(s, 3H); 7.40(s, 1H); 7.59(m, 3H); 7.92(d, 1H); 8.08(d, 1H); 8.42(d, 1H); 8.55(s, 1H); 8.92(dd, 1H)

MS (ESI): 334 (MH) $^+$

元素分析	:	実測値	C	68.2	H	4.3	N	12.5
$\text{C}_{19}\text{H}_{15}\text{N}_3\text{O}_3$		理論値	C	68.5	H	4.5	N	12.6%

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^1H NMR スペクトル: (DMSO-d_6) 1.10(m, 1H); 1.51(m, 1H); 1.64(m, 1H); 1.85(m, 3H); 2.09(m, 1H); 2.15(s, 3H); 2.62(m, 1H); 2.82(m, 1H); 3.99(s, 3H); 4.09(d, 2H); 7.38(s, 1H); 7.55(m, 2H); 7.63(s, 1H); 7.91(d, 1H); 8.10(d, 1H); 8.44(d, 1H); 8.54(s, 1H); 8.93(d, 1H)

MS (ESI): 431 (MH) $^+$

元素分析	:	実測値	C	68.7	H	5.7	N	12.8
$\text{C}_{25}\text{H}_{26}\text{N}_4\text{O}_3 \cdot 0.3\text{H}_2\text{O}$		理論値	C	68.9	H	6.2	N	12.8%

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^1H NMR λ° ktl : (DMSO-d_6) 1.06(q, 1H); 1.51-1.94(m, 5H); 2.04(s, 3H);
2.34(br s, 1H); 2.62(m, 1H); 2.78(d, 1H); 3.49(m, 1H); 3.59(m, 1H)
MS - ESI: 130 $[\text{MH}]^+$

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^1H NMR λ° ktl : (DMSO-d_6) 1.11(m, 1H); 1.50(m, 1H); 1.58-1.98(m, 4H);
2.09(m, 1H); 2.15(s, 3H); 2.62(d, 1H); 2.81(d, 1H); 3.95(s, 3H); 4.09(d, 2H);
7.39(m, 2H); 7.55(m, 2H); 7.67(d, 1H); 8.53(s, 1H)
MS (ESI): 432 (MH) $^+$

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^1H NMR λ° H : (DMSO- d_6) 1.05(m, 1H); 1.40-1.95(m, 5H); 2.02(m, 1H);
2.14(s, 3H); 2.59(d, 1H); 2.78(d, 1H); 3.85(s, 3H); 3.95(d, 2H); 7.09(s, 1H);
7.42(s, 1H); 7.95(s, 1H); 12.00(s, 1H)
MS (ESI): 304 (MH) $^+$

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^1H NMR ｽﾍﾟｸﾄﾙ: (DMSO- d_6) 1.10(m, 1H); 1.42-1.96(m, 5H); 2.09(m, 1H);
2.15(s, 3H); 2.60(d, 1H); 2.80(d, 1H); 3.98(s, 3H); 4.10(d, 2H); 7.35(s, 1H);
7.42(s, 1H); 8.84(s, 1H)
MS (ESI): 322 (MH) $^+$

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^1H NMR スペクトル: (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 1.9-2.0(m, 2H); 2.05-2.2(m, 2H);
2.25-2.4(m, 2H); 2.43(s, 3H); 3.05-3.2(m, 2H); 3.35-3.5(m, 2H); 3.65-3.75(m,
2H); 4.12(s, 3H); 4.35-4.5(t, 2H); 7.0(dd, 1H); 7.35(d, 1H); 7.42(d, 1H); 7.6(s,
1H); 7.85(s, 1H); 9.15(s, 1H)
MS (ESI): 433 (MH)⁺

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^1H NMR スペクトル: (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 2.2(m, 2H); 3.15(t, 2H); 3.3(t, 2H);
3.5(d, 2H); 3.7(t, 2H); 3.82(s, 3H); 4.05(d, 2H); 4.15(t, 2H); 7.07(d, 1H);
7.48(s, 1H); 7.59(d, 1H)
MS - EI: 279 [M]⁺

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^1H NMR スペクトル: (DMSO- d_6 , TFA) 1.8-1.9 (m, 2H); 2.0-2.1(m, 2H); 2.1-2.2(m, 2H); 3.0-3.1(m, 2H); 3.3(t, 2H); 3.6-3.7(m, 2H); 3.95(s, 3H); 4.25(t, 2H); 7.35(s, 1H); 7.62(s, 1H)

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^1H NMR スペクトル: (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 1.85-1.95(m, 2H); 2-2.1(m, 2H);
2.15-2.25(m, 2H); 3.0-3.1(m, 2H); 3.31(t, 2H); 3.62(t, 2H); 3.93(s, 3H); 4.2(t,
2H); 7.16(s, 1H); 7.60(s, 1H)
MS - EI: 323 $[\text{M}]^+$

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^1H NMR スペクトル: (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 1.9(br s, 2H); 2.05(br s, 2H); 2.2(br s,
2H); 3.05(br s, 2H); 3.3(t, 2H); 3.61(br s, 2H); 3.8(s, 3H); 4.11(t, 2H); 7.05(s,
1H); 7.53(s, 1H)
MS - EI: 293 $[\text{M}]^+$

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¹H NMR スペクトル: (DMSO-d₆, CF₃CO₂D) 1.9(m, 2H); 2.0-2.1(m, 2H); 2.2-2.3(m, 2H); 3.05(m, 2H); 3.34(t, 2H); 3.6-3.7(br s, 2H); 3.94(s, 3H); 4.27(t, 2H); 7.31(s, 1H); 7.55(s, 1H); 9.02(s, 1H)

¹H NMR λ^o 710: (CDCl₃) 1.8(br s, 4H); 2.17(m, 2H); 2.6(br s, 4H); 2.7(t, 2H); 4.05(s, 3H); 4.3(t, 2H); 7.35(s, 1H); 7.38(s, 1H); 8.86(s, 1H)
MS - ESI: 322 [MH]⁺

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¹H NMR スペクトル: (DMSO-d₆) 1.3-1.5(m, 2H); 1.75-1.9(m, 3H); 1.9-2.05(m, 2H); 2.12(s, 3H); 2.8-2.9(d, 2H); 4.5(s, 3H); 4.1(d, 2H); 7.4(s, 1H); 7.6(dd, 1H); 7.62(dd, 1H)

MS (ESI): 431 [MH]⁺

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^1H NMR λ° CDCl_3 : 1.25(t, 3H); 1.45(s, 9H); 1.55-1.70(m, 2H); 1.8-2.0(d, 2H); 2.35-2.5(m, 1H); 2.7-2.95(t, 2H); 3.9-4.1(br s, 2H); 4.15 (q, 2H)

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^1H NMR λ° CDCl_3 : 1.05-1.2(m, 2H); 1.35-1.55(m, 10H); 1.6-1.8(m, 2H); 2.6-2.8(t, 2H); 3.4-3.6(t, 2H); 4.0-4.2(br s, 2H)
MS (EI): 215 [M.] $^+$

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^1H NMR スペクトル: (CDCl_3) 1.0-1.2(m, 2H); 1.45(s, 9H); 1.65(d, 2H); 1.75-1.9(m, 2H); 2.45(s, 3H); 2.55-2.75(m, 2H); 3.85(d, 1H); 4.0-4.2(br s, 2H); 7.35(d, 2H); 7.8(d, 2H)

MS (ESI): 392 $[\text{MNa}]^+$

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^1H NMR スペクトル: (CDCl_3) 1.2-1.35(m, 2H); 1.4(t, 3H); 1.48(s, 9H); 1.8-1.9(d, 2H); 2.0-2.15(m, 2H); 2.75(t, 2H); 3.9(d, 2H); 3.95(s, 3H); 4.05-4.25(br s, 2H); 4.35(q, 2H); 6.85(d, 1H); 7.55(s, 1H); 7.65(d, 1H)

MS (ESI): 416 $[\text{MNa}]^+$

元素分析	:	実測値	C	63.4	H	8.0	N	3.5
$\text{C}_{21}\text{H}_{31}\text{NO}_6 \cdot 0.3\text{H}_2\text{O}$		理論値	C	63.2	H	8.0	N	3.5%

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¹H NMR (λ^o 400 MHz): (DMSO-d₆) 1.29(t, 3H); 1.5-1.7(m, 2H); 1.95(d, 2H); 2.0-2.15(br s, 1H); 2.72(s, 3H); 2.9-3.1(m, 2H); 3.35-3.5(br s, 2H); 3.85(s, 3H); 3.9-4.05(br s, 2H); 4.3(q, 2H); 7.1(d, 1H); 7.48(s, 1H); 7.6(d, 1H)
MS (ESI): 308 [MH]⁺

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[illegible]

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^1H NMR λ° C_6H_5 : (DMSO-d_6) 1.3(t, 3H); 1.45-1.65(m, 2H); 1.75-2.1(m, 3H);
 2.75(s, 3H); 2.9-3.05(m, 2H); 3.4-3.5(d, 2H); 3.95(s, 3H); 4.05(d, 2H); 4.3(q,
 2H); 7.32(s, 1H); 7.66(s, 1H)
 MS (ESI): 353 $[\text{MH}]^+$

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m.p. 111-112°C

^1H NMR λ° C_6H_5 : (CDCl_3) 1.35(t, 3H); 1.4-1.5(m, 2H); 1.85(m, 3H); 1.95(t,
 2H); 2.29(s, 3H); 2.9(d, 2H); 3.8(s, 3H); 3.85(d, 2H); 4.3(q, 2H); 5.55(br s,
 2H); 6.13(s, 1H); 7.33(s, 1H)

MS (ESI): 323 $[\text{MH}]^+$

元素分析	:	実測値	C	62.8	H	8.5	N	8.3
$\text{C}_{17}\text{H}_{26}\text{N}_2\text{O}_4 \cdot 0.2\text{H}_2\text{O}$		理論値	C	62.6	H	8.2	N	8.6%

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[illegible]

^1H NMR λ° H_2O : (DMSO-d_6) 1.3-1.5(m, 2H); 1.75-1.9(m, 3H); 2.0(t, 1H);
2.25(s, 3H); 2.85(d, 2H); 4.02(s, 3H); 4.12(d, 2H); 7.41(s, 1H); 7.46(s, 1H);
8.9(s, 1H)
MS (ESI): 322 $[\text{MH}]^+$

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^1H NMR λ° H_2O : (DMSO-d_6) 1.3-1.45(m, 2H); 1.7-1.95(m, 5H); 2.15(s, 3H);
2.4(s, 3H); 2.8(d, 2H); 3.98(s, 3H); 4.05(d, 2H); 6.14(s, 1H); 6.88(d, 1H);
7.29(s, 1H); 7.32(d, 1H); 7.35(s, 1H); 7.6(s, 1H); 8.45(s, 1H)
MS (ESI): 433 $[\text{MH}]^+$

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¹H NMR (DMSO-d₆) 1.3-1.45(m, 2H); 1.75-1.9(m, 3H); 2.05(t, 2H); 2.72(t, 2H); 2.95(d, 2H); 3.05(s, 3H); 3.35-3.45(m, 2H); 4.00(s, 3H); 4.1(d, 2H); 7.41(s, 1H); 7.57(dd, 1H); 7.62(dd, 1H); 7.65(s, 1H); 7.93(s, 1H); 8.12(d, 1H); 8.45(d, 1H); 8.55(s, 1H); 8.95(d, 1H)

MS (ESI): 523 [MH]⁺

元素分析	:	実測値	C	61.3	H	6.0	N	10.6
C ₂₇ H ₃₀ N ₄ O ₅ ·0.4H ₂ O		理論値	C	61.2	H	5.9	N	10.6%

[illegible]

^1H NMR λ° H_2O : (DMSO-d_6) 1.11(s, 9H); 3.89(s, 3H); 5.3(s, 2H); 5.9(s, 2H);
7.27(s, 1H); 7.35(m, 1H); 7.47(t, 2H); 7.49(d, 2H); 7.51(s, 1H); 8.34(s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 1.1(s, 9H); 3.89(s, 3H); 5.89(s, 2H); 7.0(s, 1H);
7.48(s, 1H); 8.5(s, 1H)

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^1H NMR λ° C_6H_6 : (DMSO-d_6) 1.1(s, 9H); 1.1-1.3(m, 2H); 1.42(s, 9H); 1.73(d, 2H); 1.93-2.1(br s, 1H); 2.65-2.9(br s, 2H); 3.9(s, 3H); 3.9-4.1(m, 4H); 5.9(s, 2H); 7.2(s, 1H); 7.5(s, 1H); 8.35(s, 1H)
MS (ESI): 526 $[\text{MNa}]^+$

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^1H NMR λ° C_6H_6 : (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 1.15(s, 9H); 1.5-1.7(m, 2H); 2.0(d, 2H); 2.2-2.3(br s, 1H); 3.0(t, 2H); 3.4(d, 2H); 3.94(s, 3H); 4.15(d, 2H); 5.97(s, 2H); 7.3(s, 1H); 7.6(s, 1H); 8.65(s, 1H)
MS (ESI): 404 $[\text{MH}]^+$

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¹H NMR λ^oクトル: (DMSO-d₆) 1.09(s, 9H); 1.25-1.4(m, 2H); 1.7-1.9(m, 3H); 2.0(t, 2H); 2.7(t, 2H); 2.95(d, 2H); 3.02(s, 3H); 3.25-3.45(m, 2H); 3.9(s, 3H); 4.0(d, 2H); 5.9(s, 2H); 7.15(s, 1H); 7.49(s, 1H); 8.35(s, 1H)
MS (ESI): 510 [MH]⁺.

¹H NMR λ^o クトル: (DMSO-d₆) 1.2-1.4(m, 2H); 1.7-1.85(m, 3H); 2.0(t, 2H); 2.7(t, 2H); 2.9(d, 2H); 3.02(s, 3H); 3.3-3.5(m, 2H); 3.9(s, 3H); 4.0(d, 2H); 7.11(s, 1H); 7.45(s, 1H); 7.97(s, 1H)
MS (ESI): 396 [MH]⁺

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MS (ESI): 525 [MH]⁺

元素分析	:	実測値	C 60.7	H 6.2	N 10.5
$C_{27}H_{37}O_5S \cdot 0.5H_2O$:	理論値	C 60.8	H 6.2	N 10.5%

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[illegible]

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^1H NMR スペクトル: (DMSO-d_6) 1.7(br s, 4H); 2.05(m, 2H); 2.5(br s, 4H); 2.6(t, 2H); 2.75(s, 3H); 4.02(s, 3H); 4.3(t, 2H); 7.41(s, 1H); 7.45(d, 1H); 7.65(s, 1H); 7.65(d, 1H); 7.95(s, 1H); 8.25(d, 1H); 8.55(s, 1H); 8.8(d, 1H)
MS (ESI): 445 $[\text{MH}]^+$

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^1H NMR スペクトル: (DMSO-d_6) 1.23(s, 6H); 1.7(br s, 4H); 1.85(s, 3H); 2.0(m, 2H); 2.45(br s, 4H); 2.57(t, 2H); 3.95(s, 3H); 4.25(t, 2H); 5.35(s, 1H); 5.9(s, 1H); 6.5(d, 1H); 6.8(dd, 1H); 6.85(s, 1H); 7.32(s, 1H); 7.52(s, 1H); 8.5(s, 1H)
MS (ESI): 475 $[\text{MH}]^+$

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¹H NMR 測定値: (DMSO-d₆) 1.15(s, 6H); 1.3-1.45(m, 2H); 1.7-2.0(m, 8H);
2.16(s, 3H); 2.65-2.85(d, 2H); 4.0(s, 3H); 4.05(d, 2H); 5.35(s, 1H); 5.9(s, 1H);
6.5(d, 1H); 6.80(d, 1H); 6.82(s, 1H); 7.33(s, 1H); 7.5(s, 1H); 8.52(s, 1H)
MS (ESI): 475 [MH]⁺

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¹H NMR 測定値: (DMSO-d₆) 1.3-1.5(m, 2H); 1.7-1.95(m, 5H); 2.2(s, 3H);
2.65(s, 3H); 2.7(s, 3H); 2.75-2.9(br d, 2H); 4.05(s, 3H); 4.1(d, 2H); 7.3(s, 1H);
7.4(s, 1H); 7.52(d, 1H); 7.65(s, 1H); 7.8(s, 1H); 8.15(d, 1H); 8.55(s, 1H)
MS (ESI): 459 [MH]⁺

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¹H NMR λ[°]クトル: (DMSO-d₆) 1.25-1.45(m, 2H); 1.8(d, 2H); 1.7-1.9(m, 1H); 1.9(t, 2H); 2.2(s, 3H); 2.8(d, 2H); 3.97(s, 3H); 4.05(d, 2H); 4.65(s, 2H); 6.8(s, 1H); 6.85(d, 1H); 7.05(d, 1H); 7.35(s, 1H); 7.52(s, 1H); 8.55(s, 1H)
MS (ESI): 451 [MH]⁺

¹H NMR 測定条件: (DMSO-d₆, CF₃CO₂D) 1.8-2.0(m, 2H); 2.0-2.15(m, 2H); 2.2-2.35(m, 2H); 3.0-3.2(m, 2H); 3.4(t, 2H); 3.6-3.75(m, 2H); 4.05(s, 3H); 4.35(t, 2H); 4.65(s, 2H); 6.85(s, 1H); 6.9(d, 1H); 7.1(d, 1H); 7.5(s, 1H); 7.7(s, 1H); 8.9(s, 1H)
MS (ESI): 451 [MH]⁺

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^1H NMR スペクトル: (DMSO-d_6 , $\text{CF}_3\text{CO}_2\text{D}$) 2.3(m, 2H); 3.2(m, 2H); 3.4(m, 2H); 3.5(m, 2H); 3.7(m, 2H); 4.0(m, 2H); 4.1(s, 3H); 4.4(m, 2H); 7.55(s, 1H); 7.75(s, 1H); 7.90(dd, 1H); 7.95(d, 1H); 8.15(d, 1H); 8.45 (d, 1H); 8.80(s, 1H); 9.05(d, 1H)

MS - ESI: 481 [MH] $^+$

元素分析	:	実測値	C	61.8	H	5.1	N	11.5
$\text{C}_{25}\text{H}_{25}\text{ClN}_4\text{O}_4$		理論値	C	62.4	H	5.2	N	11.7%

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^1H NMR スペクトル: (DMSO-d_6) 7.37(s, 1H); 7.39(d, 1H); 7.62(d, 1H); 8.15(d, 1H); 8.8(d, 1H)

MS - EI: m/z 179 [M.] $^+$

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MS - ESI: 430 [MH]⁺

¹H NMR δ (DMSO-d₆): 1.35-1.45 (m, 2H), 1.8 (d, 2H), 2.0 (t, 1H), 2.2 (s, 3H), 2.85 (d, 2H), 3.3-3.4 (m, 2H), 4.02 (s, 3H), 4.1 (d, 2H), 7.4 (s, 1H), 7.5 (dd, 1H), 7.55 (m, 2H), 7.65 (s, 1H), 7.88 (s, 1H), 7.98 (d, 1H), 8.0 (d, 1H), 8.1 (d, 1H), 8.55 (s, 1H)

MS - ESI: 439 [MH]⁺

¹H NMR δ (DMSO-d₆): 2.3-2.4 (m, 2H), 3.05-3.2 (m, 2H), 3.25-3.35 (m, 2H), 3.5 (d, 2H), 3.82 (t, 2H), 4.0 (d, 2H), 4.05 (s, 3H), 4.32 (t, 2H), 6.1 (s, 2H), 7.02 (d, 1H), 7.1 (dd, 1H), 7.3 (s, 1H), 7.4 (s, 1H), 8.32 (s, 1H), 8.8 (s, 1H)

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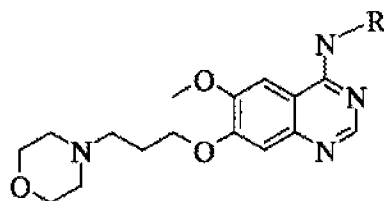
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表 I

実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	註	R
25	104	90	435.1	a	1- <i>H</i> -イミダゾール-6-イル
26	102	89	435.1	b	1- <i>H</i> -イミダゾール-5-イル
27	99	84	452	c	1,3-ベンゾチアゾール-6-イル
28	108	91	466	d	2-メチル-1,3-ベンゾチアゾール-5-イル
29	102	95	435.1	e	2,3-ジヒドロ-1 <i>H</i> -インデン-5-イル

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^1H NMR スペクトル: (DMSO-d_6) 2.3-2.4 (m, 2H), 3.05-3.2 (m, 2H), 3.2-3.3 (m, 2H), 3.52 (d, 2H), 3.85 (t, 2H), 4.0 (d, 2H), 4.05 (s, 3H), 4.32 (t, 2H), 7.42 (s, 1H), 7.45 (d, 1H), 7.85 (d, 1H), 7.98 (s, 1H), 8.1 (s, 1H), 8.42 (s, 1H), 8.85 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.3-2.4 (m, 2H), 3.05-3.2 (m, 2H), 3.25-3.3 (m, 2H), 3.45-3.55 (m, 2H), 3.8-3.9 (m, 2H), 3.9-4.02 (m, 2H), 4.05 (s, 3H), 4.32 (t, 2H), 7.42 (s, 1H), 7.65 (m, 2H), 8.05 (s, 1H), 8.15 (s, 1H), 8.4 (s, 1H), 8.75 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.3-2.4 (m, 2H), 3.05-3.2 (m, 2H), 3.2-3.3 (m, 2H), 3.55 (d, 2H), 3.8 (t, 2H), 4.0 (d, 2H), 4.08 (s, 3H), 4.32 (t, 2H), 7.4 (s, 1H), 7.88 (dd, 1H), 8.2 (d, 1H), 8.4 (s, 1H), 8.55 (s, 1H), 8.85 (s, 1H), 9.42 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.3-2.4 (m, 2H), 2.85 (s, 3H), 3.05-3.2 (m, 2H),
3.3 (t, 2H), 3.4-3.5 (m, 2H), 3.85 (t, 2H), 4.0 (d, 2H), 4.05 (s, 3H), 4.35 (t, 2H),
7.42 (s, 1H), 7.75 (dd, 1H), 8.15 (d, 1H), 8.3 (s, 1H), 8.42 (s, 1H), 8.85 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.08 (m, 2H), 2.3-2.4 (m, 2H), 2.9 (m, 4H), 3.05-
3.2 (m, 2H), 3.2-3.3 (m, 2H), 3.5 (d, 2H), 3.82 (t, 2H), 4.0 (d, 2H), 4.05 (s, 3H),
4.3 (t, 2H), 7.32 (d, 1H), 7.4 (m, 2H), 7.55 (s, 1H), 8.32 (s, 1H), 8.8 (s, 1H)

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MS - ESI: 462 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.3-1.5 (m, 2H); 1.75-1.95 (m, 5H); 2.2 (s, 3H),
2.42 (s, 3H); 4.0 (s, 3H); 4.1 (d, 2H); 6.3 (s, 2H); 7.4 (s, 1H); 7.45 (dd, 1H); 7.6
(s, 1H); 7.7 (s, 1H); 8.15 (d, 1H); 8.61 (s, 1H)

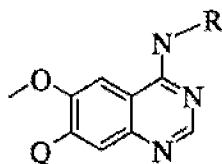


表 II

実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	註	Q	R
31	180	85	451	a	1-メチルピペリジン- 4-イルメトキシ	4-メチル-3,4-ジヒドロ-2H- 1,4-ベンゾキサジン-6-イルオキシ
32	160	87	462	b	3-ピロリジン-1- イルプロボキシ	2-メチル-4-オキソ-4H- クロメン-7-イルオキシ
33	100	56	451	c	3-ピロリジン-1- イルプロボキシ	4-メチル-3,4-ジヒドロ-2H- 1,4-ベンゾキサジン-6-イルオキシ

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^1H NMR λ° H_2O : (DMSO-d_6) 1.6-1.75 (m, 2H); 1.9-2.3 (m, 5H); 2.8 (s, 3H);
2.9 (s, 3H); 3.0-3.15 (m, 2H); 3.3 (br s, 2H); 3.5-3.6 (d, 2H); 4.1 (s, 3H); 4.2 (d,
2H); 4.3 (t, 2H); 6.55 (m, 1H); 6.75 (s, 1H); 6.8 (d, 1H); 7.6 (s, 1H); 7.75 (s,
1H); 9.15 (s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6 , CF_3COOD) 1.8-2.0 (m, 2H); 2.0-2.15 (m, 2H);
2.2-2.3 (m, 2H); 2.4 (s, 3H); 3.05-3.15 (m, 2H); 3.3-3.4 (m, 2H); 3.6-3.7 (m,
2H); 4.05 (s, 3H); 4.35 (t, 2H); 6.3 (s, 1H); 7.45 (d, 1H); 7.5 (s, 1H); 7.65 (s,
1H); 7.72 (s, 1H); 8.15 (d, 1H); 8.75 (s, 1H)

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^1H NMR λ° H_2O : (DMSO- d_6) 1.85-2.0 (m, 2H); 2.0-2.15 (m, 2H); 2.25-2.35 (m, 2H); 2.83 (s, 3H); 3.05-3.15 (m, 2H); 3.3 (t, 2H); 3.4 (t, 2H); 3.7 (br m, 2H); 4.1 (s, 3H); 4.3 (t, 2H); 4.4 (t, 2H); 6.52 (d, 1H); 6.7 (s, 1H); 6.8 (d, 1H); 7.55 (s, 1H); 7.75 (s, 1H); 9.1 (s, 1H)

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MS - ESI: 419 [MH] $^+$

^1H NMR λ° H_2O : (DMSO- d_6) 1.35-1.5 (m, 2H); 1.8 (d, 2H); 1.95 (t, 2H); 1.7-2.0 (m, 1H); 2.2 (s, 3H); 2.85 (d, 2H); 4.02 (s, 3H); 4.1 (d, 2H); 6.45 (s, 1H); 7.0 (d, 1H); 7.35 (s, 1H); 7.4-7.5 (m, 3H); 7.6 (s, 1H); 8.5 (s, 1H)

元素分析	:	実測値	C	67.4	H	6.5	N	13.1
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_3 \cdot 0.5\text{H}_2\text{O}$		理論値	C	67.4	H	6.4	N	13.1%

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MS - ESI: 447 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.2-1.4 (m, 2H); 1.7 (d, 2H); 1.8 (t, 2H); 1.7-1.9 (m, 1H); 2.05 (s, 3H); 2.12 (s, 3H); 2.25 (s, 3H); 2.75 (d, 2H); 3.9 (s, 3H); 4.0 (d, 2H); 6.8 (d, 1H); 7.15 (s, 1H); 7.2 (d, 1H); 7.3 (s, 1H); 7.52 (s, 1H); 8.45 (s, 1H)

元素分析	:	実測値	C	68.6	H	6.9	N	12.5
C ₂₆ H ₃₀ N ₄ O ₃ · 0.4H ₂ O		理論値	C	68.8	H	6.8	N	12.4%

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MS - ESI 419 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.9-2.0 (m, 2H); 2.1 (m, 2H); 2.3 (t, 2H); 3.0-3.15 (m, 2H); 3.4 (t, 2H); 3.6-3.75 (m, 2H); 4.1 (s, 3H); 4.4 (t, 2H); 6.5 (s, 1H); 7.05 (d, 1H); 7.5 (s, 1H); 7.5-7.6 (m, 2H); 7.85 (s, 1H); 9.11 (s, 1H)

元素分析	:	実測値	C	63.7	H	6.4	N	12.1
C ₂₄ H ₂₆ N ₄ O ₃ · 1.9H ₂ O		理論値	C	63.7	H	6.6	N	12.4%

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MS - ESI: 446 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆): 1.8-1.9 (m, 2H); 2.0 (d, 2H); 2.1-2.2 (m, 1H); 2.16 (s, 3H); 2.33 (s, 3H); 2.75 (br s, 3H); 2.95-3.05 (m, 2H); 3.5 (d, 2H); 4.0 (s, 3H); 4.07 (d, 2H); 7.25 (d, 1H); 7.4 (d, 1H); 7.42 (s, 1H); 7.52 (s, 1H); 8.25 (s, 1H); 8.75 (s, 1H); 10.0 (br s, 1H); 10.9 (s, 1H); 11.25 (br s, 1H)

元素分析	:	実測値	C	58.5	H	6.8	N	12.9
C ₂₆ H ₃₁ N ₅ O ₂ · 1H ₂ O · 1.9HCl		理論値	C	58.6	H	6.6	N	13.1%

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MS - ESI: 446 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.85-2.0 (m, 2H); 2.05-2.15 (m, 2H);
2.1 (s, 3H); 2.2 (s, 3H); 2.25-2.35 (m, 2H); 2.35 (s, 3H); 3.0-3.15 (m, 2H);
3.32-3.42 (m, 2H); 3.6-3.7 (m, 2H); 4.05 (s, 3H); 4.3 (t, 2H); 7.2 (d, 1H); 7.3 (s,
1H); 7.35 (d, 1H); 7.57 (s, 1H); 8.2 (s, 1H); 8.8 (s, 1H)

元素分析 : 実測値 C 58.8 H 7.0 N 12.5

C₂₆H₃₁N₅O 1.9H₂O 1.9HCl 0.1 水分子 理論値 C 58.6 H 7.1 N 12.9%

MS - ESI: 432 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.8-1.9 (m, 2H); 2.0-2.1 (m, 2H); 2.15-2.35 (m,
2H); 2.4 (s, 3H); 3.0-3.1 (m, 2H); 3.2-3.3 (m, 2H); 3.5-3.6 (m, 2H); 4.0 (s, 3H);
4.32 (t, 2H); 6.2 (s, 1H); 7.2 (d, 1H); 7.3 (m, 2H); 7.65 (s, 1H); 8.25 (s, 1H);
8.75 (s, 1H); 10.75 (br s, 1H); 11.15 (s, 1H); 11.25 (br s, 1H)

元素分析 : 実測値 C 58.9 H 6.6 N 13.5

C₂₅H₂₉N₅O₂ 2.2HCl 0.1 水分子 理論値 C 58.7 H 6.2 N 13.5%

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¹H NMR スペクトル: (CDCl₃) 1.8 (br s, 4H); 2.2 (m, 4H); 2.55 (br s, 4H); 2.7 (2s, 6H); 2.68 (m, 2H); 4.05 (s, 3H); 4.3 (t, 2H); 7.15 (s, 1H); 7.35 (s, 1H); 7.45 (d, 1H); 7.6 (s, 1H); 7.9 (s, 1H); 8.05 (d, 1H); 8.6 (s, 1H)

元素分析	実測値	C 70.4	H 7.1	N 12.1
C ₂₇ H ₃₀ N ₄ O ₃ ・0.2 エーテル	理論値	C 70.5	H 6.8	N 11.8%

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MS - ESI: 432 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.5-1.7 (m, 2H); 2.05 (d, 2H); 2.1-2.2 (m, 1H); 2.45 (s, 3H); 2.8 (s, 3H); 3.05 (t, 2H); 3.5 (d, 2H); 4.0 (s, 3H); 4.1 (d, 2H); 6.2 (s, 1H); 7.2 (d, 1H); 7.32 (d, 1H); 7.4 (d, 1H); 7.6 (s, 1H); 8.2 (s, 1H); 8.85 (s, 1H)

元素分析	:	実測値	C 53.9	H 6.8	N 12.4
C ₂₅ H ₂₉ N ₅ O ₂ · 2.6H ₂ O · 2.07HCl		理論値	C 54.2	H 6.6	N 12.6%

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MS - ESI: 445 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 1.8 (br s, 4H); 2.2 (m, 2H); 2.5 (br s, 4H); 2.7 (t, 2H); 2.8 (s, 3H); 4.1 (s, 3H); 4.3 (t, 2H); 7.3 (d, 1H); 7.35 (s, 1H); 7.45 (dd, 1H); 7.6 (s, 1H); 7.85 (d, 1H); 7.9 (s, 1H); 8.1 (d, 1H); 8.6 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 1.3-1.5 (m, 2H); 1.75-1.95 (m, 3H); 1.95-2.15 (m, 2H); 2.7 (s, 3H); 2.7-2.8 (m, 2H); 2.9-3.0 (m, 2H); 3.05 (s, 3H); 3.2-3.35 (m, 2H); 4.02 (s, 3H); 4.1 (d, 2H); 7.4 (s, 1H); 7.45 (d, 1H); 7.55 (d, 1H); 7.65 (s, 1H); 7.8 (s, 1H); 8.05 (d, 1H); 8.35 (d, 1H); 8.55 (s, 1H)

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[illegible]

MS - ESI: 487 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.25-1.4 (m, 2H); 1.75 (d, 2H); 1.8 (t, 2H); 1.7-2.0 (m, 1H); 2.2 (s, 3H); 2.75 (d, 2H); 4.0 (s, 3H); 4.1 (d, 2H); 7.0 (s, 1H); 7.25 (d, 1H); 7.4 (s, 1H); 7.6 (d, 1H); 7.8 (s, 1H); 8.5 (s, 1H); 12.5 (s, 1H)

元素分析 : 実測値 C 60.2 H 5.8 N 10.9

C₂₅H₂₅F₃N₄O₃ 0.7H₂O 0.2 水合物 理論値 C 60.3 H 5.6 N 10.9%

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¹H NMR スペクトル: (CDCl₃) 3.83 (s, 3H), 6.82 (s, 1H), 7.0 (dd, 1H), 7.1 (s, 1H), 7.3 (d, 1H), 8.15 (br s, 1H)

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MS - EI: 201 [M.]⁺
¹H NMR (CDCl₃): 4.64 (s, 1H), 6.8 (s, 1H), 6.92 (dd, 1H), 7.1 (s, 1H), 7.3 (d, 1H), 8.3 (br s, 1H)
 元素分析 : 実測値 C 53.3 H 2.9 N 6.8
 C₉H₆F₃NO 0.1 H₂O 理論値 C 53.3 H 3.1 N 6.9%

[illegible]

MS - ESI: 487 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 1.8 (m, 4H); 2.1-2.3 (m, 2H); 2.55 (br s, 4H); 2.7 (t, 2H); 4.1 (s, 3H); 4.3 (t, 2H); 6.95 (s, 1H); 7.2 (dd, 1H); 7.35 (s, 1H); 7.5 (d, 1H); 7.55 (s, 1H); 7.6 (s, 1H); 8.6 (s, 1H); 8.8 (s, 1H)

元素分析	:	実測値	C	61.7	H	5.5	N	11.5
C ₂₅ H ₂₅ F ₃ N ₄ O ₃		理論値	C	61.7	H	5.2	N	11.5%

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MS - ESI: 445 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 1.4-1.6 (m, 2H); 1.95 (d, 2H); 2.05 (t, 2H); 1.9-2.1 (m, 1H); 2.35 (s, 3H); 2.8 (s, 3H); 2.95 (d, 2H); 4.1 (s, 3H); 4.15 (d, 2H); 7.3 (m, 2H); 7.45 (dd, 1H); 7.6 (s, 1H); 7.9 (d, 1H); 7.95 (s, 1H); 8.1 (d, 1H); 8.6 (s, 1H)

元素分析	:	実測値	C	69.7	H	6.5	N	12.8
C ₂₆ H ₂₈ N ₄ O ₃ · 0.2H ₂ O		理論値	C	69.7	H	6.4	N	12.5%

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MS - ESI: 447 [MH]⁺

元素分析	:	実測値	C 67.7	H 6.8	N 12.2
$C_{26}H_{30}N_4O_3 \cdot 0.8H_2O$:	理論値	C 67.8	H 6.9	N 12.2%

MS - ESI: 412 [MH]⁺

元素分析	:	実測値	C	72.2	H	5.1	N	10.2
$C_{25}H_{21}N_3O_3 \cdot 0.2H_2O$		理論値	C	72.3	H	5.2	N	10.1%

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^1H NMR λ° H_2O : (DMSO- d_6) 2.35 (s, 3H) ; 5.95 (s, 1H) ; 6.5 (dd, 1H) ; 6.7 (s, 1H) ; 7.05 (d, 1H) ; 8.5 (s, 1H)

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MS - ESI: 322 [MH] $^+$

^1H NMR λ° H_2O : (DMSO- d_6) 2.4 (s, 3H); 4.0 (s, 3H); 6.15 (s, 1H); 6.9 (d, 1H); 7.2 (s, 1H); 7.25 (s, 1H); 7.3 (d, 1H); 7.6 (s, 1H); 8.4 (s, 1H)

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¹H NMR (λ° 710): (DMSO-d₆, CF₃COOD) 2.25-2.4 (m, 2H), 3.1 (s, 3H), 3.35 (t, 2H), 4.1 (s, 3H), 4.4 (t, 2H), 7.1 (s, 1H), 7.3 (d, 1H), 7.5 (s, 1H), 7.6 (d, 1H), 7.7 (s, 1H), 7.78 (s, 1H), 8.9 (s, 1H)

A grid of 10 horizontal dotted lines for handwriting practice. The lines are evenly spaced and extend across the width of the page.

¹H NMR 300 MHz (CDCl₃): 2.10(m, 2H); 2.96(s, 3H); 3.20(t, 2H); 3.80(t, 2H)
MS - ESI: 139 [MH]⁺

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This image shows a single page of white paper designed for handwriting practice. It features ten horizontal rows of small, evenly spaced black dots. Each row consists of approximately 60 dots, providing a guide for letter height and placement. The rows are parallel and extend across the width of the page, leaving a small margin at the bottom.

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¹H NMR 測定値: (CDCl₃) 1.2(s, 9H); 2.4-2.5(m, 2H); 3.0(s, 3H); 3.25-3.35(t, 2H); 5.95(s, 1H); 7.1(s, 1H); 7.65(s, 1H); 8.2(s, 1H)

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^1H NMR λ° H : (DMSO-d_6) 2.2-2.3(m, 2H); 3.05(s, 3H); 3.35(t, 2H); 3.9(s,
3H); 4.25(t, 2H); 7.15(s, 1H); 7.5(s, 1H); 8.0(s, 1H)

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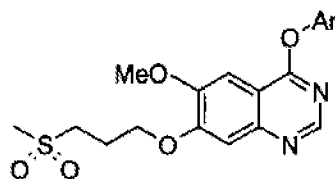
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表 III



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	Ar	註
51	189	92	454	2-メチルキノリン-7-イル	a
52	175	90	428	インドール-5-イル	b

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¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 2.2-2.35 (m, 2H), 2.95 (s, 3H), 3.1 (s, 3H), 3.35 (m, 2H), 4.05 (s, 3H), 4.4 (t, 2H), 7.5 (s, 1H), 7.7 (s, 1H), 7.95 (dd, 1H), 8.02 (d, 1H), 8.2 (s, 1H), 8.48 (d, 1H), 8.7 (s, 1H), 9.12 (d, 1H)

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^1H NMR λ° クトル: (DMSO-d_6) 2.2-2.35 (m, 2H), 3.1 (s, 3H), 3.3-3.4 (t, 2H), 4.0 (s, 3H), 4.4 (t, 2H), 6.5 (s, 1H), 7.0 (dd, 1H), 7.4 (s, 1H), 7.4-7.5 (m, 3H), 7.6 (s, 1H), 8.5 (s, 1H), 11.25 (s, 1H)

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MS - ESI: 393 $[\text{MH}]^+$

^1H NMR λ° クトル: (DMSO-d_6) 2.25 (s, 6H), 2.4 (s, 3H), 2.75 (t, 2H), 4.0 (s, 3H), 4.3 (t, 2H), 6.15 (s, 1H), 6.87 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 7.5 (s, 1H)

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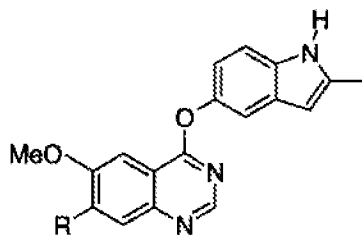
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表 IV



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	R	註
54	25	17	419	2-ピロリジン-1-イルエトキシ	a
55	112	74	433	1-メチルピペリジン-3-イルメトキシ	b
56	115	72	456	2-(N-メチル-N-(4-ピリジル)アミノ)エトキシ	c

¹H NMR スペクトル: (DMSO-d₆) 1.65-1.8 (m, 4H), 2.4 (s, 3H), 2.6 (br s, 4H), 2.9 (t, 2H), 4.0 (s, 3H), 4.3 (t, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

^1H NMR スペクトル: (DMSO-d_6) 1.45-2.2 (m, 7H), 2.18 (s, 3H), 2.4 (s, 3H), 2.6 (br d, 1H), 2.85 (br d, 1H), 4.0 (s, 3H), 4.1 (d, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.25 (d, 1H), 7.3 (d, 1H), 7.35 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.4 (s, 3H), 3.1 (s, 3H), 3.9 (t, 2H), 3.97 (s, 3H), 4.4 (t, 2H), 6.15 (s, 1H), 6.75 (d, 2H), 6.87 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.35 (s, 1H), 7.6 (s, 1H), 8.15 (d, 2H), 8.5 (s, 1H)

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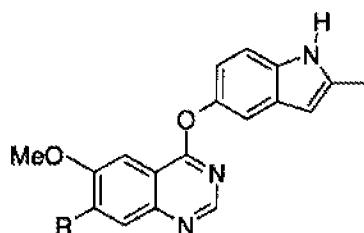
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表 V



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	R	註
57	115	76	435	2-モルホリノエトキシ	a
58	64	42	433	2-ピペリジノエトキシ	b
59	66	43	437	2-(N-(2-メトキエチル)-N- メチルアミノ)エトキシ	c
60	118	75	449	3-モルホリノプロポキシ	d
61	101	68	424	2-(2-メトキシエトキシ)エトキシ	e
62	81	57	407	3-(N,N-ジメチルアミノ)プロポキシ	f
63	160	92	497	3-(1,1-ジオキソチオモルホリノ)プロポキシ	g
64	121	83	417	2-(1H-1,2,4-トリアゾール-1-イル)エトキシ	h
65	38	22	492	2-(2-(4-メチルピペラジン-1- イル)エトキシ)エトキシ	i
66	80	48	479	2-(2-モルホリノエトキシ)エトキシ	j

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¹H NMR スペクトル: (DMSO-d₆) 2.4 (s, 3H), 2.5-2.7 (m, 4H), 2.8 (t, 2H), 3.6 (t, 4H), 4.0 (s, 3H), 4.35 (t, 2H), 6.15 (s, 1H), 6.87 (dd, 1H), 7.25 (s, 1H), 7.32 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR λ° H_2O : (DMSO- d_6) 1.3-1.45 (m, 2H), 1.4-1.6 (m, 4H), 2.4 (s, 3H),
2.4-2.5 (m, 4H), 2.75 (t, 2H), 3.97 (s, 3H), 4.3 (t, 2H), 6.15 (s, 1H), 6.9 (d, 1H),
7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR λ° H_2O : (DMSO- d_6) 2.35 (s, 3H), 2.4 (s, 3H), 2.65 (t, 2H), 2.85 (t,
2H), 3.25 (s, 3H), 3.45 (t, 2H), 3.97 (s, 3H), 4.25 (t, 2H), 6.15 (s, 1H), 6.9 (dd,
1H), 7.25 (s, 1H), 7.32 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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MS-EI : 134 [MH]⁺

¹H NMR 溶媒: (CDCl₃) 2.35 (s, 3H) ; 2.6 (t, 2H) ; 2.65 (t, 2H) ; 3.35 (s, 3H) ; 3.5 (t, 2H) ; 3.6 (t, 2H)

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¹H NMR 溶媒: (DMSO-d₆) 1.9-2.1 (m, 2H), 2.4 (s, 3H), 2.45 (t, 2H), 2.45-2.6 (s, 4H), 3.6 (t, 4H), 4.0 (s, 3H), 4.25 (t, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.38 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR 溶媒: (DMSO-d₆) 1.65-1.78(m, 2H); 2.50(t, 4H); 2.60(t, 2H); 3.68(t, 4H); 3.78(t, 2H); 4.90(br d, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 2.42 (s, 3H), 3.27 (s, 3H), 3.5 (t, 2H), 3.65 (t, 2H), 3.85 (t, 2H), 4.0 (s, 3H), 4.32 (t, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 1.9-2.0 (m, 2H), 2.17 (s, 6H), 2.4 (s, 3H), 3.98 (s, 3H), 4.22 (t, 2H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.35 (s, 1H), 7.6 (s, 1H), 8.47 (s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 1.9-2.0 (m, 2H), 2.38 (s, 3H), 2.65 (t, 2H), 2.9 (br s, 4H), 3.1 (br s, 4H), 3.96 (s, 3H), 4.25 (t, 2H), 6.12 (s, 1H), 6.85 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.37 (s, 1H), 7.56 (s, 1H), 8.46 (s, 1H)

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^1H NMR λ° f° f° : (DMSO- d_6) 2.42 (s, 3H), 3.96 (s, 3H), 4.62 (m, 2H), 4.75 (m, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.27 (s, 1H), 7.32 (d, 1H), 7.47 (s, 1H), 7.63 (s, 1H), 8.03 (s, 1H), 8.51 (s, 1H), 8.60 (s, 1H)

^1H NMR λ° f° f° : (DMSO- d_6) 2.15 (s, 3H), 2.2-2.6 (m, 10H), 2.4 (s, 3H), 3.65 (t, 2H), 3.85 (t, 2H), 4.03 (s, 3H), 4.35 (m, 2H), 6.16 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.4 (s, 1H), 7.61 (s, 1H), 8.5 (s, 1H)

^1H NMR λ° f° f° : (DMSO- d_6) 2.40 (s, 3H), 2.4-2.5 (m, 4H), 2.4-2.6 (m, 2H), 3.55 (t, 4H), 3.6 (t, 2H), 3.85 (t, 2H), 3.97 (br s, 3H), 4.15 (br s, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.48 (s, 1H)

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MS - (EI): 175 [M.]⁺¹H NMR 300 MHz (CDCl₃) 2.5(br s, 4H); 2.59(t, 2H); 3.6-3.85(m, 10H)

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MS - ESI: 447 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.35-1.4 (m, 2H), 1.45-1.55 (m, 4H), 1.92-2.0 (m, 2H), 2.3-2.4 (m, 4H), 2.40 (s, 3H), 2.4-2.5 (m, 2H), 3.97 (s, 3H), 4.22 (t, 2H), 6.15 (s, 1H), 6.9 (d, 1H), 7.27 (s, 1H), 7.8 (d, 1H), 7.35 (s, 1H), 7.58 (s, 1H), 8.48 (s, 1H)

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MS - ESI: 427-429 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.12 (s, 9H), 2.32 (t, 2H), 3.7 (t, 2H), 3.9 (s, 3H), 4.25 (t, 2H), 5.9 (s, 2H), 7.20 (s, 1H), 7.51 (s, 1H), 8.36 (s, 1H)

元素分析 : 実測値 C 50.1 H 5.4 N 6.4

C₁₈H₂₃BrN₂O₅ · 0.2H₂O 理論値 C 50.2 H 5.5 N 6.5%

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MS - ESI: 432 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.15 (s, 9H), 1.35-1.5 (m, 1H), 1.6-1.8 (m, 3H),
1.8-1.9 (d, 2H), 2.2-2.3 (m, 2H), 2.95 (t, 2H), 3.25 (t, 2H), 3.55 (d, 2H), 3.95 (s,
3H), 4.25 (t, 2H), 5.94 (s, 2H), 7.24 (s, 1H), 7.56 (s, 1H), 8.46 (s, 1H)

MS - ESI: 318 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.3-1.4 (m, 2H), 1.4-1.55 (m, 4H), 1.85-1.95 (m,
2H), 2.35 (br s, 4H), 2.4 (t, 2H), 3.9 (s, 3H), 4.15 (t, 2H), 7.11 (s, 1H), 7.44 (s,
1H), 7.9 (s, 1H)

元素分析	:	実測値	C	63.5	H	7.4	N	13.1
C ₁₇ H ₂₃ N ₃ O ₃ · 0.2H ₂ O	:	理論値	C	63.6	H	7.4	N	13.0%

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MS ~ ESI: 336 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.35-1.45 (m, 2H), 1.5-1.6 (m, 4H), 1.9-2.05 (m, 2H), 2.4 (br s, 4H), 2.45 (t, 2H), 4.0 (s, 3H), 4.29 (t, 2H), 7.41 (s, 1H), 7.46 (s, 1H), 8.9 (s, 1H)

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MS - ESI: 433 [MH]⁺

¹H NMR (δ, ppm): (DMSO-d₆) 1.4 (br s, 2H), 1.45-1.6 (br s, 4H), 1.9-2.1 (m, 2H), 2.4 (br s, 4H), 2.45 (t, 2H), 4.0 (s, 3H), 4.25 (t, 2H), 6.47 (s, 1H), 7.0 (d, 1H), 7.35 (s, 1H), 7.45 (s, 2H), 7.47 (d, 1H), 7.61 (s, 1H), 8.49 (s, 1H)

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MS - ESI: 541 [MNa]⁺
¹H NMR 溶液: (DMSO-d₆) 1.1-1.3 (m, 2H), 1.4 (s, 9H), 1.8 (d, 2H), 1.95-
2.1 (m, 1H), 2.4 (s, 1H), 2.7-2.85 (br s, 2H), 3.95 (s, 3H), 4.05 (d, 2H), 6.12 (s,
1H), 6.85 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.35 (s, 1H), 7.55 (s, 1H), 8.45 (s,
1H)

MS - ESI: 419 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.5-1.7 (m, 2H), 2.05 (br d, 2H), 2.3-2.4 (m, 1H), 2.4 (s, 3H), 3.05 (t, 2H), 3.4 (d, 2H), 4.09 (s, 3H), 4.25 (d, 2H), 6.95 (dd, 1H), 7.35 (s, 1H), 7.4 (d, 1H), 7.6 (s, 1H), 7.85 (s, 1H), 9.15 (s, 1H)

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MS - ESI 477 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.6-1.8 (m, 2H), 2.05 (br d, 2H), 2.15-2.3 (m, 1H), 2.4 (s, 3H), 3.05 (t, 2H), 3.3 (br s, 2H), 3.32 (s, 3H), 3.58 (d, 2H), 3.65 (br s, 2H), 4.05 (s, 3H), 4.18 (d, 2H), 6.2 (s, 0.5 H (partly exchanged)), 6.92 (dd, 1H), 7.32 (s, 1H), 7.35 (d, 1H), 7.55 (s, 1H), 7.8 (s, 1H), 9.15 (s, 1H)

元素分析 : 実測値 C 68.0 H 6.8 N 11.8

C₂₇H₃₂N₄O₄ 理論値 C 68.1 H 6.8 N 11.8%

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MS - ESI: 472 [MH]⁺

¹H NMR λ[°] ʘʘʘ: (DMSO-d₆, CF₃COOD) 1.8-1.95 (m, 2H), 1.95-2.1 (m, 2H), 2.48 (s, 3H), 3.0-3.2 (m, 2H), 3.35 (t, 2H), 3.6 (t, 2H), 3.65 (br s, 2H), 4.11 (s, 3H), 6.18 (s, 0.5H, partially exchanged), 6.95 (dd, 1H), 7.05 (d, 1H), 7.35 (s, 1H), 7.37 (d, 1H), 7.8 (s, 1H), 7.86 (d, 1H), 8.2 (s, 1H), 8.76 (s, 1H)

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MS - ESI: 453 - 455 [MH]⁺

¹H NMR spectrum (DMSO-d₆) 4.15 (s, 3H), 7.5 (d, 1H), 7.62 (t, 1H), 7.78 (d, 1H), 8.02 (s, 1H), 8.27 (s, 1H), 8.77 (s, 1H)

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MS - ESI: 431 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.51 (s, 9H), 4.07 (s, 3H), 6.87 (d, 1H), 7.45 (d, 1H), 7.6 (t, 1H), 7.7 (s, 1H), 7.75 (d, 1H), 7.91 (d, 1H), 8.39 (s, 1H), 8.65 (s, 1H)

元素分析 : 実測値 C 61.1 H 4.8 N 6.6

C₂₂H₂₀ClFN₂O₃ 理論値 C 61.3 H 4.7 N 6.5%

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¹H NMR 測定値: (DMSO-d₆) 4.08 (s, 3H), 6.9 (d, 1H), 7.45 (s, 1H), 7.6 (t, 1H),

7.70 (s, 1H), 7.73 (d, 1H), 7.95 (d, 1H), 8.39 (s, 1H), 8.66 (s, 1H)

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¹H NMR spectrum (DMSO-d₆) 2.4 (s, 3H), 4.06 (s, 3H), 6.15 (s, 1H), 6.82 (d, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.68 (s, 1H), 7.84 (d, 1H), 8.25 (s, 1H), 8.55 (s, 1H)

MS - ESI: 398 [MH]⁺

¹H NMR spectrum (DMSO-d₆) 2.2-2.35 (m, 2H), 2.4 (s, 3H), 3.85 (t, 2H), 4.0 (s, 3H), 4.32 (t, 2H), 6.15 (s, 1H), 6.88 (d, 1H), 7.27 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆, CF₃COOD, 60°C) 2.2-2.3 (m, 2H), 2.4 (s, 3H), 2.9 (s, 3H), 3.4-3.5 (m, 4H), 3.5-3.8 (m, 6H), 4.07 (s, 3H), 4.4 (t, 2H), 6.95 (d, 1H), 7.35 (s, 1H), 7.4 (d, 1H), 7.55 (s, 1H), 7.8 (s, 1H), 8.95 (s, 1H)

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MS - ESI 421 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.0 (t, 6H), 2.41 (s, 3H), 2.6 (q, 4H), 2.88 (t, 2H),
3.97 (s, 3H), 4.24 (t, 2H), 6.14 (s, 1H), 6.89 (dd, 1H), 7.25 (s, 1H), 7.32 (d, 1H),
7.38 (s, 1H), 7.58 (s, 1H), 8.48 (s, 1H)

元素分析	:	実測値	C	66.2	H	6.9	N	13.1
C ₂₄ H ₂₈ N ₄ O ₃ · 0.8H ₂ O		理論値	C	66.3	H	6.9	N	12.9%

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MS - ESI: 549 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.4 (s, 9H), 1.3-1.5 (m, 2H), 1.7-1.9 (m, 2H),
2.38 (s, 3H), 3.0 (br t, 2H), 3.5-3.7 (m, 3H), 3.85 (m, 2H), 3.98 (s, 3H), 4.3 (t,
2H), 6.12 (s, 1H), 6.85 (d, 1H), 7.22 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.55 (s,
1H), 8.48 (s, 1H)

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^1H NMR スペクトル: (CDCl_3): 1.46 (s, 9H), 1.65 (t, 4H), 3.5 (t, 4H), 3.97 (s, 4H)

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MS - ESI: 268 $[\text{MNa}]^+$

^1H NMR スペクトル: (CDCl_3) 1.48 (s, 9H), 1.5-1.6 (m, 2H), 1.8-1.9 (m, 2H), 2.0 (t, 1H), 3.05-3.15 (m, 2H), 3.5 (m, 1H), 3.57 (t, 2H), 3.7-3.9 (m, 4H)

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¹HNMR λ^o 717: (DMSO-d₆) 1.2-1.4 (m, 2H), 1.8-1.9 (m, 2H), 2.47 (s, 3H), 2.4-2.5 (m, 2H), 2.9-3.0 (d, 2H), 3.3-3.5 (m, 1H), 3.95 (s, 2H), 4.0 (s, 3H), 4.35 (s, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.28 (s, 1H), 7.32 (d, 1H), 7.41 (s, 1H), 7.60 (s, 1H), 8.49 (s, 1H)
MS-ESI : 448 [M]⁺

¹HNMR 測定値: (DMSO-d₆) 2.40 (s, 3H), 3.97 (s, 3H), 4.52 (t, 2H), 4.58 (t, 2H), 6.14 (s, 1H), 6.89 (dd, 1H), 7.07 (d, 2H), 7.26 (s, 1H), 7.31 (d, 1H), 7.46 (s, 1H), 7.61 (s, 1H), 8.41 (d, 2H), 8.5 (s, 1H)
MS-ESI: 443 [MH]⁺

元素分析	実測値	C	66.6	H	5.0	N	12.5
C ₂₅ H ₂₇ N ₄ O ₄ 0.12 CH ₂ Cl ₂	理論値	C	66.9	H	5.0	N	12.4%

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$^1\text{H NMR}$ $\lambda^\circ\text{K}$ (DMSO- d_6) 2.4 (s, 3H), 2.93 (s, 3H), 3.0 (s, 3H), 3.62 (t, 2H),
4.0 (s, 3H), 4.38 (t, 2H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.26 (s, 1H), 7.3 (d, 1H),
7.43 (s, 1H), 7.61 (s, 1H), 8.49 (s, 1H)
MS-ESI : 457 [MH] $^+$

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^1H NMR スペクトル: (DMSO-d_6) 1.4-1.55 (m, 2H), 1.8-1.9 (m, 2H), 2.15 (t, 2H),
 2.4 (s, 3H), 2.55 (t, 2H), 2.65 (t, 2H), 2.7-2.8 (m, 2H), 3.4-3.5 (m, 1H), 3.85 (m,
 2H), 4.0 (s, 3H), 4.3 (t, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H),
 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

MS-ESI : 502 $[\text{MH}]^+$

元素分析	実測値	C	67.0	H	6.2	N	14.0
$\text{C}_{28}\text{H}_{31}\text{N}_5\text{O}_4$	理論値	C	67.1	H	6.2	N	14.0%

^1H NMR スペクトル: (DMSO-d_6) 1.85 (br s, 4H), 2.15-2.25 (m, 2H), 2.85-3.15 (m, 6H), 4.01 (s, 3H), 4.32 (t, 2H), 6.5 (s, 1H), 6.95 (dd, 1H), 7.32 (s, 1H), 7.4 (s, 2H), 7.6 (d, 1H), 7.65 (s, 1H), 8.52 (s, 1H)

MS-ESI : 419 $[\text{MH}]^+$

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^1H NMR スペクトル: (DMSO-d_6) 1.8-2.0 (m, 2H), 2.0-2.15 (m, 2H), 2.2-2.32 (m, 2H), 2.41 (s, 3H), 3.0-3.2 (m, 2H), 3.4 (t, 2H), 3.6-3.7 (m, 2H), 4.35 (t, 2H), 6.2 (s, 1H), 6.95 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.5 (s, 1H), 7.57 (dd, 1H), 8.5 (d, 1H), 9.15 (s, 1H)

MS-ESI : 403 $[\text{MH}]^+$

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m.p. 267-269°C

^1H NMR スペクトル: (DMSO-d_6 ; CF_3COOD) 5.32(s, 2H); 7.25(d, 1H); 7.32-7.52(m, 6H); 8.12(d, 1H); 8.99(s, 1H)

MS - ESI: 252 $[\text{MH}]^+$

元素分析	:	実測値	C	71.4	H	4.9	N	10.7
$\text{C}_{15}\text{H}_{12}\text{N}_2\text{O}_2 \cdot 0.04\text{H}_2\text{O}$		理論値	C	71.2	H	4.8	N	11.1%

^1H NMR スペクトル: (DMSO-d_6) 5.4 (s, 2H); 7.35-7.65 (m, 6H); 8.2 (d, 1H); 9.0 (s, 1H)

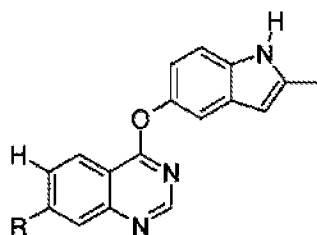
MS - ESI: 270 $[\text{MH}]^+$

MS-ESI : 382 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 2.41 (s, 3H), 5.4 (s, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.4 (d, 1H), 7.4-7.5 (m, 4H), 7.55 (d, 2H), 8.32 (d, 1H), 8.6 (s, 1H).

¹H NMR スペクトル: (DMSO-d₆) 2.4 (s, 3H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.17 (s, 1H), 7.25-7.3 (m, 2H), 7.30 (d, 1H), 8.24 (d, 1H), 8.5 (s, 1H)

表 VI



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	R	註
83	34	24	412		a
84	45	32	405		b
85	5	3	417		c
86	56	35	467		d
87	63	44	419		e
88	24	17	403		f
89	84	63	387		g

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 2.2-2.3 (m, 2H), 2.4 (s, 3H), 3.05 (s, 3H), 3.3-3.45 (m, 2H), 4.4 (t, 2H), 6.2 (s, 1H), 6.95 (dd, 1H), 7.38 (s, 1H), 7.4 (d, 1H), 7.5 (s, 1H), 7.6 (dd, 1H), 8.5 (d, 1H), 9.2 (s, 1H)

元素分析	実測値	C	60.2	H	5.3	N	10.6
$\text{C}_{21}\text{H}_{21}\text{N}_3\text{O}_4\text{S}$ 0.4 DMF	理論値	C	60.5	H	5.4	N	10.8%

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 2.4 (s, 3H), 3.1-3.3 (m, 2H), 3.62 (d, 2H), 3.7-3.9 (m, 4H), 4.05 (d, 2H), 4.7 (t, 2H), 6.2 (s, 0.5 H, partially exchanged), 6.95 (dd, 1H), 7.35 (s, 1H), 7.39 (d, 1H), 7.6 (s, 1H), 7.65 (dd, 1H), 8.55 (d, 1H), 9.15 (s, 1H)

元素分析	実測値	C	67.2	H	6.0	N	13.5
$\text{C}_{23}\text{H}_{24}\text{N}_4\text{O}_3$ 0.3 H_2O	理論値	C	67.4	H	6.1	N	13.7%

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.2-1.5 (m, 2H), 1.6-1.8 (m, 2H), 1.8-1.9 (m, 2H), 2.25-2.35 (m, 2H), 2.45 (s, 3H), 2.95 (t, 2H), 3.25-3.3 (m, 2H), 3.55 (d, 2H), 4.4 (t, 2H), 6.95 (dd, 1H), 7.4 (s, 1H), 7.45 (d, 1H), 7.5 (s, 1H), 7.6 (d, 1H), 8.55 (d, 1H), 9.15 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.9-2.0 (m, 2H), 2.4 (s, 3H), 1.6-1.7 (m, 2H), 2.9 (br s, 4H), 3.1 (br s, 4H), 4.25 (t, 2H), 6.12 (s, 1H), 6.85 (d, 1H), 7.22 (s, 1H), 7.3 (d, 1H), 7.3-7.4 (m, 2H), 8.25 (d, 1H), 8.55 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.95-2.05 (m, 2H), 2.42 (s, 3H), 2.5 (t, 2H), 2.55 (t, 4H), 3.6 (t, 4H), 4.3 (t, 2H), 6.18 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.3-7.4 (m, 2H), 8.3 (d, 1H), 8.6 (s, 1H)

元素分析

実測値 C 66.5 H 6.2 N 12.7

$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_3 \cdot 0.14 \text{CH}_2\text{Cl}_2 \cdot 0.7 \text{H}_2\text{O}$

理論値 C 66.7 H 6.4 N 13.0%

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^1H NMR スペクトル: (DMSO-d_6) 1.4-1.5 (br s, 2H), 1.5-1.7 (br s, 4H), 2.42 (s, 3H),
2.5-2.7 (br s, 4H), 2.8-3.0 (br s, 2H), 4.35 (br s, 2H), 6.18 (s, 1H), 6.9 (dd, 1H),
7.3 (s, 1H), 7.35 (d, 1H), 7.4 (d, 1H), 7.42 (s, 1H), 8.3 (d, 1H), 8.6 (s, 1H)

元素分析	実測値	C	69.0	H	6.6	N	13.4
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_2 \cdot 0.8 \text{H}_2\text{O}$	理論値	C	69.1	H	6.7	N	13.4%

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^1H NMR スペクトル: (DMSO-d_6) 2.4 (s, 3H), 4.6 (m, 2H), 4.7 (m, 2H), 6.15 (s,
1H), 6.9 (dd, 1H), 7.28 (s, 1H), 7.3 (d, 2H), 7.4 (s, 1H), 8.02 (s, 1H), 8.3 (d,
1H), 8.6 (s, 1H), 8.65 (s, 1H)

元素分析	実測値	C	63.7	H	4.8	N	21.5
$\text{C}_{21}\text{H}_{18}\text{N}_6\text{O}_2 \cdot 0.5 \text{H}_2\text{O}$	理論値	C	63.8	H	4.8	N	21.3%

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^1H NMR スペクトル: (DMSO-d_6) 1.3-1.4 (m, 2H), 1.42 (s, 9H), 1.85 (d, 2H), 2.0-2.1 (m, 1H), 2.42 (s, 3H), 2.7-2.9 (br s, 2H), 3.95-4.05 (m, 2H), 4.1 (d, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.33 (d, 1H), 7.38 (s, 1H), 7.35-7.4 (m, 1H), 8.3 (d, 1H), 8.6 (s, 1H)

MS-ESI: 489 $[\text{MH}]^+$

元素分析	実測値	C	68.7	H	6.7	N	11.3
$\text{C}_{28}\text{H}_{32}\text{N}_4\text{O}_4$	理論値	C	68.8	H	6.6	N	11.5%

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^1H NMR スペクトル: (DMSO-d_6) 1.6-1.75 (m, 4H), 2.12 (s, 3H), 2.28 (s, 3H), 2.52 (br s, 4H), 3.85 (t, 2H), 3.93 (s, 3H), 4.25 (t, 2H), 6.8 (d, 1H), 7.17 (s, 1H), 7.22 (d, 1H), 7.33 (s, 1H), 7.54 (s, 1H), 8.43 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.1 (s, 3H) ; 2.3 (s, 3H) ; 6.5 (dd, 1H) ; 6.65 (d, 1H) ; 7.0 (d, 1H) ; 8.45 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.15 (s, 3H) ; 2.35 (s, 3H) ; 4.02 (s, 3H) ; 5.4 (s, 2H) ; 6.9 (dd, 1H) ; 7.22 (d, 1H) ; 7.3 (d, 1H) ; 7.35-7.6 (m, 6H) ; 7.65 (s, 1H) ; 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.1 (s, 3H) ; 2.32 (s, 3H) ; 3.97 (s, 3H) ; 7.85 (dd, 1H) ; 7.2 (bs, 2H) ; 7.25 (d, 1H) ; 7.58 (s, 1H) ; 8.4 (s, 1H)

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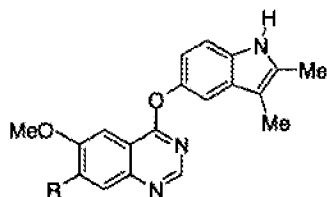
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表 VII



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	R	HPLC* RT (min)	註
92	91	65	431		-	a
93	78	55	438		-	b
94	34	27	435		-	c
95	39	33	407		-	d
96	58	44	449		-	e
97	58	47	421		-	f
98	85	66	447		-	g
99	24	18	447		-	h
100	110	82	461		-	i
101	9	7	447		-	j
102	81	62	463		3.4	k
103	75	57	451		-	l
104	96	65	511		-	m
105	103	78	457		-	n
106	64	49	456		-	o

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^1H NMR λ° $\text{f}^\circ\text{f}^\circ$: (DMSO-d_6) 2.10 (s, 3H), 2.30 (s, 3H), 3.93 (s, 3H), 4.52 (m, 2H), 4.55-4.65 (m, 2H), 6.85 (d, 1H), 7.2 (s, 1H), 7.25 (d, 1H), 7.4 (d, 1H), 7.58 (s, 1H), 8.0 (s, 1H), 8.48 (s, 1H), 8.58 (s, 1H)

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^1H NMR λ° $\text{f}^\circ\text{f}^\circ$: (DMSO-d_6) 2.14 (s, 3H), 2.35 (s, 3H), 3.3 (s, 3H), 3.5 (t, 2H), 3.65 (t, 2H), 3.85 (t, 2H), 4.0 (s, 3H), 4.32 (t, 2H), 6.9 (d, 1H), 7.25 (d, 1H), 7.28 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR λ° $\text{f}^\circ\text{f}^\circ$: (DMSO-d_6) 1.05 (t, 6H), 2.15 (s, 3H), 2.35 (s, 3H), 2.6-2.7 (m, 4H), 2.92 (br s, 2H), 4.0 (s, 3H), 4.25 (t, 2H), 6.9 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 2.15 (s, 3H), 2.35 (s, 9H), 2.85 (br s, 2H), 4.0 (s, 3H), 4.35 (t, 2H), 6.87 (dd, 1H), 7.22 (s, 1H), 7.3 (d, 1H), 7.42 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 2.15 (s, 3H), 2.35 (s, 3H), 3.25-3.4 (m, 2H), 3.65 (d, 2H), 3.7-3.8 (m, 4H), 4.0-4.1 (m, 2H), 4.1 (s, 3H), 4.7 (t, 2H), 6.95 (dd, 1H), 7.3 (s, 1H), 7.35 (d, 1H), 7.6 (s, 1H), 7.8 (s, 1H), 9.0 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 1.95-2.05 (m, 2H), 2.15 (s, 3H), 2.2 (s, 6H), 2.35 (s, 3H), 2.45 (t, 2H), 4.0 (s, 3H), 4.25 (t, 2H), 6.9 (dd, 1H), 7.22 (d, 1H), 7.3 (d, 1H), 7.37 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.9-2.05 (m, 4H), 2.15 (s, 3H), 2.25 (t, 2H), 2.35 (s, 3H), 3.65 (t, 2H), 4.0 (s, 3H), 4.35 (t, 2H), 6.9 (d, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.45 (s, 1H), 7.62 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.0-1.15 (m, 1H), 1.25-1.4 (m, 2H), 1.5 (br s, 1H), 1.65 (d, 1H), 1.7-1.8 (m, 1H), 1.8-1.9 (m, 2H), 2.15 (s, 3H), 2.35 (s, 3H), 2.5 (d, 1H), 2.6-2.7 (m, 1H), 2.9-3.0 (m, 1H), 4.0 (s, 3H), 4.2-4.35 (m, 2H), 6.88 (dd, 1H), 7.2 (s, 1H), 7.27 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.12 (s, 3H), 2.35 (s, 3H), 2.68 (s, 4H), 3.85 (t, 2H), 3.95 (s, 3H), 4.35 (t, 2H), 6.88 (dd, 1H), 7.22 (s, 1H), 7.25 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 1.95-2.05 (m, 2H), 2.15 (s, 3H), 2.35 (s, 3H), 2.42 (br s, 4H), 2.5 (t, 2H), 3.6 (m, 4H), 4.0 (s, 3H), 4.25 (t, 2H), 6.85 (dd, 1H), 7.25 (d, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H).

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^1H NMR スペクトル: (DMSO- d_6) 2.15 (s, 3H), 2.35 (s, 6H), 2.65 (t, 2H), 2.9 (t, 2H), 3.25 (s, 3H), 3.45 (t, 2H), 4.0 (s, 3H), 4.3 (t, 2H), 6.9 (dd, 1H), 7.22 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 1.95-2.05 (m, 2H), 2.15 (s, 3H), 2.35 (s, 3H), 2.7
(t, 2H), 2.95 (br s, 4H), 3.15 (br s, 4H), 4.0 (s, 3H), 4.29 (t, 2H), 6.9 (dd, 1H),
7.25 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.61 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.15 (s, 3H), 2.35 (s, 3H), 4.0 (s, 3H), 4.55 (m,
2H), 4.6 (m, 2H), 6.88 (dd, 1H), 7.08 (d, 2H), 7.22 (s, 1H), 7.28 (d, 1H), 7.48 (s,
1H), 7.6 (s, 1H), 8.42 (d, 2H), 8.5 (s, 1H), 10.78 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.8-1.9 (m, 2H), 2.15 (s, 3H), 2.25-2.35 (m, 2H),
2.35 (s, 3H), 3.0 (s, 3H), 4.02 (s, 3H), 4.35 (t, 2H), 6.9 (dd, 1H), 7.25 (s, 1H),
7.3 (d, 1H), 7.4 (s, 1H), 7.7 (s, 1H), 8.52 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 3.3 (s, 3H), 3.5 (m, 2H), 3.65 (m, 2H), 3.85 (m,
2H), 4.02 (s, 3H), 4.35 (t, 2H), 6.58 (s, 1H), 7.0 (dd, 1H), 7.4 (s, 1H), 7.45 (br s,
2H), 7.47 (d, 1H), 7.61 (s, 1H), 8.5 (s, 1H)
MS-ESI : 410 $[\text{MH}]^+$

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^1H NMR スペクトル: (DMSO-d_6) 4.02 (s, 3H), 5.4 (s, 2H), 6.5 (s, 1H), 7.0 (dd, 1H),
7.4-7.6 (m, 9H), 7.65 (s, 1H), 8.5 (s, 1H), 11.23 (s, 1H)
MS-ESI : 398 [MH] $^+$

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^1H NMR スペクトル: (DMSO-d_6) 4.0 (s, 3H), 6.46 (s, 1H), 7.01 (dd, 1H), 7.2 (s,
1H), 7.4-7.5 (m, 3H), 7.6 (s, 1H), 8.41 (s, 1H)

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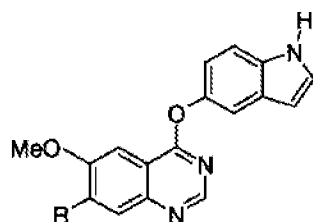
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表 VIII



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	R	註
108	58	49	407		r
109	14	13	379		s
110	55	48	393		t
111	27	23	405		u
112	58	47	421		v
113	63	52	419		w
114	64	53	419		x
115	106	84	435		y
116	76	62	423		z
117	113	81	483		aa
118	24	19	429		bb

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^1H NMR スペクトル: (DMSO-d_6) 2.3 (s, 6H), 2.8 (t, 2H), 4.0 (s, 3H), 4.3 (t, 2H),
6.45 (s, 1H), 7.0 (dd, 1H), 7.4-7.5 (m, 4H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.9-2.05 (m, 2H), 2.21 (s, 6H), 2.45 (t, 2H), 4.02
(s, 3H), 4.25 (t, 2H), 6.47 (s, 1H), 7.0 (dd, 1H), 7.38 (s, 1H), 7.35-7.4 (m, 2H),
7.45 (d, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 0.95 (t, 6H), 1.9-2.0 (m, 2H), 2.5 (m, 4H), 2.6 (t, 2H), 4.0 (s, 3H), 4.25 (t, 2H), 6.48 (s, 1H), 7.0 (dd, 1H), 7.38 (s, 1H), 7.42-7.5 (m, 3H), 7.6 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 1.45-1.75 (m, 3H), 1.75-1.85 (m, 2H), 2.0-2.1 (m, 1H), 2.1-2.2 (m, 1H), 2.25-2.35 (m, 1H), 2.95 (t, 1H), 3.3-3.4 (m, 2H), 4.1 (s, 3H), 4.4-4.5 (m, 2H), 6.5 (s, 1H), 7.05 (dd, 1H), 7.45-7.6 (m, 4H), 7.75 (s, 1H), 9.0 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 1.1-1.3 (m, 1H), 1.35-1.5 (m, 1H), 1.65-1.8 (m, 2H), 1.8-1.9 (m, 2H), 3.1 (t, 2H), 3.6 (d, 2H), 3.65 (t, 2H), 4.1 (s, 3H), 4.7 (t, 2H), 6.5 (d, 1H), 7.05 (dd, 1H), 7.45 (s, 1H), 7.5-7.55 (m, 2H), 7.61 (s, 1H), 7.8 (s, 1H), 9.0 (m, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 1.9-2.1 (m, 2H), 2.4 (br s, 4H), 2.5 (t, 2H), 3.6 (t, 4H), 4.0 (s, 3H), 4.25 (t, 2H), 6.45 (s, 1H), 7.0 (dd, 1H), 7.4 (s, 1H), 7.4-7.45 (m, 2H), 7.47 (d, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 2.35 (s, 3H), 2.65 (t, 2H), 2.9 (t, 2H), 3.25 (s, 3H), 3.45 (t, 2H), 4.0 (s, 3H), 4.3 (t, 2H), 6.45 (s, 1H), 7.05 (dd, 1H), 7.4-7.5 (m, 4H), 7.6 (s, 1H), 8.5 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 2.0 (m, 2H), 2.65 (m, 2H), 2.9 (br s, 4H), 3.15 (br s, 4H), 4.0 (s, 3H), 4.25 (t, 2H), 6.5 (s, 1H), 7.0 (dd, 1H), 7.35-7.5 (m, 4H), 7.65 (s, 1H), 8.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.35-1.45 (m, 2H), 1.45-1.55 (m, 4H), 1.9-2.05 (m, 2H), 2.3-2.4 (m, 4H), 2.45 (t, 2H), 4.0 (s, 3H), 4.22 (t, 2H), 6.5 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.35-7.40 (m, 2H), 7.55-7.65 (m, 2H), 8.5 (s, 1H)

MS-ESI: 433 $[\text{MH}]^+$

元素分析	実測値	C	68.4	H	6.4	N	12.8
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_3 \cdot 0.4 \text{H}_2\text{O}$	理論値	C	68.3	H	6.6	N	12.7%

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^1H NMR 測定値: (DMSO-d_6) 2.2-2.35 (m, 2H), 3.05 (s, 3H), 3.3 (m, 2H), 4.0 (s, 3H), 4.35 (t, 2H), 6.48 (s, 1H), 6.9 (dd, 1H), 7.3 (s, 1H), 7.4 (2s, 2H), 7.6 (d, 1H), 7.65 (s, 1H), 7.9 (s, 1H)

MS-ESI : 428 $[\text{MH}]^+$

元素分析	実測値	C	56.2	H	4.9	N	9.3
$\text{C}_{21}\text{H}_{21}\text{N}_3\text{O}_5\text{S} \cdot 1.1 \text{H}_2\text{O}$	理論値	C	56.4	H	5.2	N	9.4%

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^1H NMR 測定値: (DMSO-d_6) 1.95-2.05 (m, 2H), 2.4 (br s, 4H), 2.48 (t, 2H), 3.6 (t, 4H), 4.0 (s, 3H), 4.27 (t, 2H), 6.5 (s, 1H), 6.93 (dd, 1H), 7.3 (s, 1H), 7.4 (br s, 2H), 7.6 (d, 1H), 7.61 (s, 1H), 8.5 (s, 1H)

MS-ESI : 435 $[\text{MH}]^+$

元素分析	実測値	C	62.0	H	6.2	N	12.1
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 1.6 \text{H}_2\text{O}$	理論値	C	62.2	H	6.4	N	12.1%

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.5-1.65 (m, 2H), 2.0 (d, 2H), 2.15-2.3 (m, 1H), 2.4 (s, 3H), 2.95 (t, 2H), 3.38 (d, 2H), 4.2 (d, 2H), 6.2 (s, 0.5H, partially exchanged), 6.9 (dd, 1H), 7.35 (s, 1H), 7.4 (d, 1H), 7.5 (s, 1H), 7.58 (dd, 1H), 8.5 (d, 1H), 9.1 (s, 1H)

MS-ESI: 389 $[\text{MH}]^+$

元素分析

実測値 C 68.9 H 6.2 N 13.7

$\text{C}_{23}\text{H}_{24}\text{N}_4\text{O}_2 \cdot 0.2 \text{H}_2\text{O} \cdot 0.12 \text{CH}_2\text{Cl}_2$

理論値 C 69.0 H 6.2 N 13.9%

^1H NMR λ° 外 (DMSO- d_6) 1.3-1.42 (m, 2H), 1.7-1.9 (m, 3H), 2.0 (t, 2H),
2.4 (s, 3H), 2.48 (t, 2H), 2.92 (d, 2H), 3.22 (s, 3H), 3.42 (t, 2H), 4.05 (d, 2H),
6.15 (s, 1H), 6.88 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.35 (s, 1H), 7.37 (d, 1H),
8.28 (d, 1H), 8.6 (s, 1H)

MS-ESI : 447 [MH] $^+$

元素分析	実測値	C	68.4	H	6.7	N	12.2
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_3 \cdot 0.5 \text{H}_2\text{O}$	理論値	C	68.6	H	6.9	N	12.3%

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^1H NMR λ° 外 (DMSO- d_6) 1.25 (t, 3H), 2.2-2.3 (m, 2H), 2.4 (s, 3H), 3.2 (q,
2H), 3.3 (t, 2H), 4.0 (s, 3H), 4.35 (t, 2H), 6.15 (s, 1H), 6.9 (dd, 1H), 7.28 (s,
1H), 7.32 (d, 1H), 7.4 (s, 1H), 7.62 (s, 1H), 8.5 (s, 1H)

MS-ESI : 456 [MH] $^+$

元素分析	実測値	C	60.3	H	5.6	N	9.2
$\text{C}_{23}\text{H}_{25}\text{N}_3\text{O}_5\text{S}$	理論値	C	60.6	H	5.5	N	9.2%

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^1H NMR スペクトル: (DMSO-d_6) 1.25 (t, 3H), 1.75-1.9 (m, 2H), 3.0-3.2 (m, 4H),

3.5 (q, 2H), 4.7 (t, 1H)

MS-ESI: 153 $[\text{MH}]^+$

^1H NMR スペクトル: (DMSO-d_6) 1.25 (t, 3H), 2.15 (s, 3H), 2.2-2.3 (m, 2H), 2.35 (s, 3H), 3.2 (q, 2H), 3.3 (t, 2H), 4.02 (s, 3H), 4.35 (t, 2H), 6.9 (dd, 1H), 7.22 (s, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.63 (s, 1H), 8.51 (s, 1H)

MS-ESI: 470 $[\text{MH}]^+$

元素分析	実測値	C	60.6	H	6.0	N	8.8
$\text{C}_{24}\text{H}_{27}\text{N}_3\text{O}_5\text{S} \cdot 0.4 \text{H}_2\text{O}$	理論値	C	60.5	H	5.9	N	8.8%

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^1H NMR スペクトル: (DMSO-d_6) 1.05-1.2 (m, 2H), 1.42 (s, 9H), 1.62-1.85 (m, 5H), 2.42 (s, 3H), 2.62-2.82 (m, 2H), 3.9-4.0 (m, 2H), 4.0 (s, 3H), 4.25 (t, 2H), 6.17 (s, 1H), 6.9 (dd, 1H), 7.3 (d, 1H), 7.32 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

MS-ESI : 533 $[\text{MH}]^+$

元素分析	実測値	C	67.8	H	6.9	N	10.5
$\text{C}_{30}\text{H}_{36}\text{N}_4\text{O}_5$	理論値	C	67.7	H	6.8	N	10.5%

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.3-1.5 (m, 4H), 1.6-1.7 (m, 1H),
1.7-1.9 (d, 2H), 1.75 (t, 2H), 3.25 (d, 2H), 3.55 (t, 2H)

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^1H NMR スペクトル: (DMSO-d_6) 0.9-1.1 (m, 2H), 1.3-1.6 (m, 3H), 1.4 (s, 9H), 1.6
(d, 2H), 2.5-2.8 (br s, 2H), 3.45 (dd, 2H), 3.9 (d, 2H), 4.35 (t, 1H)

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 2.25-2.35 (m, 2H), 2.38 (s, 3H), 3.15 (t, 2H), 3.35 (t, 2H), 3.5 (d, 2H), 3.68 (t, 2H), 4.0 (d, 2H), 4.05 (s, 3H), 4.35 (t, 2H), 6.18 (s, 1H), 6.9 (d, 1H), 7.22 (s, 1H), 7.45 (d, 1H), 7.52 (s, 1H), 7.8 (s, 1H), 9.05 (s, 1H)

MS-ESI: 449 $[\text{MH}]^+$

元素分析	実測値	C	66.4	H	6.4	N	12.4
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.2 \text{H}_2\text{O}$	理論値	C	66.4	H	6.3	N	12.4%

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.3-1.5 (m, 2H), 1.8-2.0 (m, 5H), 2.4 (s, 3H), 2.9 (t, 2H), 3.3 (d, 2H), 4.05 (s, 3H), 4.35 (t, 2H), 6.2 (s, 1H), 6.95 (dd, 1H), 7.35 (s, 1H), 7.37 (d, 1H), 7.52 (s, 1H), 7.8 (s, 1H), 9.1 (s, 1H)

MS-ESI: 433 $[\text{MH}]^+$

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¹H NMR (DMSO-d₆) 1.6-1.7 (m, 4H), 2.15 (s, 3H), 2.3 (s, 3H), 2.4 (br s, 4H), 3.1 (d, 2H), 3.97 (s, 3H), 4.7 (d, 2H), 5.8-6.0 (m, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (d, 1H), 7.55 (s, 1H), 7.87 (s, 1H), 8.3 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)
MS-ESI: 458 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 1.68(t, 1H); 4.18(d, 2H); 4.33(d, 2H)

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^1H NMR λ° CHCl_3 : (CDCl₃) 1.82(t, 4H); 2.63(t, 4H); 3.44(t, 2H), 4.29(t, 2H)

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^1H NMR λ° CHCl_3 : (CDCl₃) 1.82(m, 4H); 2.61(m, 4H); 3.17(m, 2H); 4.13(s, 2H); 5.84(m, 2H)

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¹H NMR λ[°] 1H: (DMSO-d₆) 2.16 (s, 3H), 2.33 (s, 3H), 4.0 (s, 3H), 5.34 (s, 2H), 7.2 (d, 1H), 7.32 (d, 1H), 7.35-7.55 (m, 7H), 8.2 (s, 1H), 8.7 (s, 1H), 10.9 (s, 1H), 11.15 (s, 1H)
MS-ESI : 425 [MH]⁺

[illegible]

^1H NMR スペクトル: (DMSO-d_6) 2.2 (s, 3H), 2.35 (s, 3H), 3.97 (s, 3H), 7.0 (s, 1H),
7.22 (d, 1H), 7.3 (d, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.28 (s, 1H), 9.35 (s, 1H),
10.2 (br s, 1H), 10.62 (s, 1H)
MS-ESI : 335 $[\text{MH}]^+$

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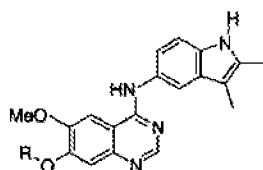
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表 IX



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	R	註
130	10	11	458		a
131	63	69	450		b
132	5	6	443		c
133	35	36	475		d
134	53	51	510		e
135	56	58	469		f
136	4	4.6	415		g
137	29	35	406		h
138	49	56	432		i
139	8	8.6	481		j
140	15	15	477		k
141	38	42	446		l
142	69	72	470		m
143	21	21	492		n
144	36	40	440		o
145	31	33	460		p

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[illegible]

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MS-EI : 242 [MH]⁺¹H NMR 溶媒: (CDCl₃) 0.25 (s, 6H) ; 0.9 (s, 9H) ; 2.05 (m, 2H) ; 3.52 (t, 2H) ; 4.25 (t, 2H) ; 7.9 (s, 1H) ; 8.02 (s, 1H)

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MS-EI : 256 [MH]⁺¹H NMR 溶媒: (CDCl₃) 0.25 (s, 6H) ; 0.85 (s, 9H) ; 2.0 (t, 2H) ; 2.4 (s, 3H) ; 3.52 (t, 2H) ; 4.15 (t, 2H) ; 7.72 (s, 1H)

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MS-ESI : 142 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 2.05 (m, 2H) ; 2.5 (s, 3H) ; 3.62 (t, 2H) ; 4.25 (t, 2H) ; 7.8 (s, 1H)

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¹H NMR スペクトル: (DMSO-d₆) 2.15 (s, 3H), 2.35 (s, 6H), 2.65 (t, 2H), 2.85 (t, 2H), 3.25 (s, 3H), 3.45 (t, 2H), 3.95 (s, 3H), 4.2 (t, 2H), 7.15 (s, 1H), 7.22 (s, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.3 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.15 (s, 3H), 2.32 (s, 3H), 3.2 (t, 2H), 3.7 (s, 3H),
3.95 (s, 3H), 4.45 (t, 2H), 6.8 (s, 1H), 7.05 (s, 1H), 7.15 (s, 1H), 7.22 (d, 1H),
7.3 (dd, 1H), 7.55 (s, 1H), 7.88 (s, 1H), 8.32 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.9-2.0 (m, 2H), 2.15 (2s, 6H), 2.0-2.9 (m, 8H),
2.32 (s, 3H), 2.45 (t, 2H), 3.95 (s, 3H), 4.2 (t, 2H), 7.1 (s, 1H), 7.22 (d, 1H), 7.3
(dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.3 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.72 (m, 2H) ; 2.3 (s, 3H) ; 2.2-2.8 (m, 8H) ; 2.6 (t,
2H) ; 3.8 (t, 2H) ; 5.3 (br s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 1.9-2.0 (m, 2H), 2.5 (s, 9H), 2.65 (t, 2H), 2.9 (br s, 4H), 3.15 (br s, 4H), 3.95 (s, 3H), 4.25 (t, 2H), 7.2 (s, 1H), 7.85 (s, 1H), 8.0 (dd, 1H), 8.15 (d, 1H), 8.2 (s, 1H), 8.45 (s, 1H), 9.6 (s, 1H), 10.95 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.15 (s, 3H), 2.35 (s, 3H), 3.07 (s, 3H), 3.85 (t, 2H), 3.95 (s, 3H), 4.3 (t, 2H), 6.7 (d, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.15 (d, 2H), 8.3 (s, 1H), 9.4 (s, 1H), 10.65 (s, 1H)

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¹H NMR 測定値: (DMSO-d₆) 2.15 (s, 3H), 2.25 (s, 6H), 2.32 (s, 3H), 2.72 (t, 2H), 3.95 (s, 3H), 4.2 (t, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.32 (s, 1H), 9.4 (s, 1H), 10.6 (s, 1H)

¹H NMR スペクトル: (DMSO-d₆) 1.65-1.75 (m, 4H), 2.15 (s, 3H), 2.35 (s, 3H), 2.55-2.65 (m, 4H), 2.9 (t, 2H), 3.95 (s, 3H), 4.25 (t, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.32 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

A diagram of a rectangular box with a dashed line inside, representing a container for a text box.

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^1H NMR スペクトル: (DMSO-d_6) 0.7 (s, 3H), 1.15 (s, 3H), 2.05-2.1 (m, 2H), 2.1 (s, 3H), 2.6 (s, 3H), 3.42 (d, 2H), 3.57 (d, 2H), 4.0 (s, 3H), 4.22 (t, 2H), 4.7 (t, 1H), 7.2 (s, 1H), 7.82 (s, 1H), 8.0 (dd, 1H), 8.17 (d, 1H), 8.3 (s, 1H), 8.45 (s, 1H), 9.6 (s, 1H), 10.95 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.3-1.45 (m, 2H), 1.45-1.6 (m, 4H), 2.15 (s, 3H), 2.35 (s, 3H), 2.45 (br s, 4H), 2.75 (t, 2H), 3.95 (s, 3H), 4.25 (t, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.3 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

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^1H NMR λ° H_2O : (DMSO- d_6) 2.15 (s, 3H), 2.32 (s, 3H), 3.1 (s, 3H), 3.9 (s, 3H),
3.95 (t, 2H), 4.35 (t, 2H), 6.85 (dd, 1H), 7.15 (s, 1H), 7.20 (d, 1H), 7.28 (dd,
1H), 7.55 (s, 1H), 7.85 (s, 1H), 8.3 (s, 1H), 8.58 (d, 1H), 8.9 (d, 1H), 9.4 (s,
1H), 10.62 (s, 1H)

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^1H NMR λ° H_2O : (CDCl $_3$) 2.1(br s, 1H); 3.09(s, 3H); 3.71(t, 2H); 3.93(t, 2H);
6.8(s, 1H)
MS - ESI: 221 [MH] $^+$

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^1H NMR λ° H_2O : (CDCl_3) 3.06(s, 3H); 3.57(t, 2H); 3.89(t, 2H); 6.52(dd, 1H);

8.48(d, 1H); 8.54 (d, 1H)

MS - ESI: 153 [MH] $^+$

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^1H NMR λ° H_2O : ($\text{DMSO}-d_6$) 2.18 (s, 3H), 2.35 (s, 3H), 2.35-2.45 (m, 4H),

2.45-2.5 (m, 2H), 3.5-3.55 (m, 4H), 3.65 (t, 2H), 3.8-3.85 (m, 2H), 3.95 (s, 1H),

4.25 (m, 2H), 7.15 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.55 (s, 1H), 7.85 (s, 1H),

8.3 (s, 1H), 9.4 (s, 1H), 10.62 (s, 1H)

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¹H NMR 測定条件: (CDCl₃) 2.5(br s, 4H); 2.59(t, 2H); 3.6-3.85(m, 10H)

MS - (EI): 175 [M.]⁺

¹H NMR 測定条件: (DMSO-d₆) 2.15 (s, 3H), 2.32 (s, 3H), 3.15 (t, 2H), 3.95 (s, 3H), 4.4 (t, 2H), 7.2 (s, 1H), 7.22 (d, 1H), 7.3 (dd, 1H), 7.35 (dd, 1H), 7.55 (s, 1H), 7.8 (d, 1H), 7.85 (s, 1H), 8.32 (s, 1H), 8.45 (dd, 1H), 8.6 (s, 1H), 9.4 (s, 1H), 10.68 (s, 1H)

^1H NMR スペクトル: (DMSO-d_6) 1.9-2.05 (m, 4H), 2.12 (s, 3H), 2.15-2.3 (m, 2H),
2.6 (s, 3H), 3.3-3.45 (m, 4H), 4.0 (s, 3H), 4.15 (t, 2H), 7.15 (s, 1H), 7.82 (s,
1H), 8.0 (dd, 1H), 8.17 (d, 1H), 8.3 (s, 1H), 8.45 (s, 1H), 9.6 (s, 1H), 10.95 (s,
1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.65-1.8 (m, 4H), 1.95-2.05 (m, 2H), 2.42 (s,
3H), 2.5 (br s, 1H), 2.6 (t, 2H), 4.0 (s, 3H), 4.27 (t, 2H), 6.2 (s, 1H), 6.85 (dd,
1H), 7.2 (s, 1H), 7.4 (s, 1H), 7.45 (d, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

MS-ESI: 433 $[\text{MH}]^+$

元素分析	実測値	C	68.3	H	6.4	N	12.8
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_3 \cdot 0.4 \text{H}_2\text{O}$	理論値	C	68.3	H	6.6	N	12.7%

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^1H NMR スペクトル: (DMSO-d_6) 1.15-1.3 (m, 2H), 1.4-1.55 (m, 1H), 1.65-1.8 (m, 4H), 1.95 (t, 2H), 2.4 (s, 3H), 2.42 (t, 2H), 2.85 (d, 2H), 3.25 (s, 3H), 3.42 (t, 2H), 4.0 (s, 3H), 4.22 (t, 2H), 6.15 (s, 1H), 6.85 (dd, 1H), 7.25 (s, 1H), 7.3 (d, 1H), 7.38 (s, 1H), 7.59 (s, 1H), 8.5 (s, 1H). MS-ESI: 491 $[\text{MH}]^+$

元素分析	実測値	C	65.3	H	7.1	N	10.9
$\text{C}_{28}\text{H}_{34}\text{N}_4\text{O}_4 \cdot 1.3 \text{H}_2\text{O}$	理論値	C	65.4	H	7.2	N	10.9%

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^1H NMR スペクトル: (DMSO-d_6) 1.95-2.1 (m, 2H), 2.4 (br s, 4H), 2.45 (s, 3H), 2.5 (t, 2H), 3.65 (t, 4H), 3.75 (s, 3H), 4.0 (s, 3H), 4.25 (t, 2H), 6.25 (s, 1H), 6.95 (dd, 1H), 7.3 (s, 1H), 7.38 (s, 1H), 7.45 (d, 1H), 7.6 (s, 1H), 8.5 (s, 1H)

MS-ESI: 463 $[\text{MH}]^+$

元素分析	実測値	C	67.2	H	6.5	N	12.1
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_4$	理論値	C	67.5	H	6.5	N	12.1%

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元素分析	実測値	C 65.0	H 6.4	N 11.7
$C_{26}H_{10}N_4O_5S$	理論値	C 65.3	H 6.3	N 11.7%

元素分析	実測値	C	64.6	H	5.8	N	14.2
$C_{21}H_{22}N_4O_3 \cdot 0.7 H_2O$	理論値	C	64.5	H	6.0	N	14.3%

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¹H NMR spectrum (DMSO-d₆, CF₃COOD): 1.6-1.8 (m, 2H), 2.05-2.2 (d, 2H), 2.2-2.3 (m, 1H), 2.45 (s, 3H), 3.2 (t, 2H), 3.65 (d, 2H), 4.1 (s, 3H), 4.22 (d, 2H), 4.6 (s, 2H), 6.2 (s, 0.5H, partially exchanged), 6.9 (dd, 1H), 7.35 (s, 1H), 7.4 (d, 1H), 7.55 (s, 1H), 7.8 (s, 1H), 9.1 (s, 1H)

MS-ESI : 458 [MH]⁺

元素分析	実測値	C	67.6	H	6.1	N	15.2
$C_{26}H_{27}N_5O_3 \cdot 0.2 H_2O$	理論値	C	67.7	H	6.0	N	15.2%

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^1H NMR 測定値: (DMSO-d_6) 2.07 (m, 2H), 2.78 (s, 3H), 2.87 (s, 3H), 3.25 (t, 2H), 3.97 (s, 3H), 4.23 (t, 2H), 6.43 (br s, 1H), 6.96 (dd, 1H), 7.32 (s, 1H), 7.41 (m, 3H), 7.59 (d, 1H), 8.48 (s, 1H) および 11.17 (s, 1H)

MS (ESI): 457 (MH)⁺

元素分析	実測値	C	57.5	H	5.3	N	12.0
$\text{C}_{22}\text{H}_{24}\text{N}_4\text{O}_5\text{S}$	理論値	C	57.9	H	5.3	N	12.3%

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^1H NMR スペクトル: (DMSO-d_6) 1.37 (s, 9H), 1.94 (t, 2H), 3.13 (q, 2H), 3.97 (s, 3H), 4.21 (t, 2H), 6.89 (br s, 1H), 7.38 (s, 1H), 7.43 - 7.53 (m, 2H), 7.57 (s, 1H), 7.78 (dd, 1H) および 8.55 (s, 1H)

MS (ESI) : 522 (MH) $^+$

元素分析	実測値	C	52.1	H	4.7	N	7.9
$\text{C}_{23}\text{H}_{25}\text{N}_3\text{BrFO}_5$	理論値	C	52.3	H	4.9	N	8.0%

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^1H NMR スペクトル: (DMSO-d_6) 1.87 (m, 2H), 2.73 (t, 2H), 3.98 (s, 3H), 4.26 (t, 2H), 7.40 (s, 1H), 7.50 (m, 2H), 7.55 (s, 1H), 7.78 (dd, 1H) および 8.55 (s, 1H)

MS (ESI) : 422 (MH) $^+$

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¹H NMR スペクトル: (DMSO-d₆) 2.01 (m, 2H), 2.90 (s, 3H), 3.15 (t, 2H), 3.96 (s, 3H), 4.25 (t, 2H), 7.06 (s, 1H), 7.40 (s, 1H), 7.49 (m, 2H), 7.56 (s, 1H), 7.78 (dd, 1H) および 8.54 (s, 1H)
MS (ESI) : 500/502 (MH)⁺

This image shows a full page of dot grid paper. It features multiple horizontal rows of small black dots spaced evenly apart. Two vertical lines are drawn on the left side of the page, creating a narrow margin. The rest of the page is open space defined by the grid of dots.

^1H NMR スペクトル: (DMSO-d_6) 2.06 (m, 2H), 2.78 (s, 3H), 2.87 (s, 3H), 3.24 (t, 2H), 3.97 (s, 3H), 4.23 (t, 2H), 7.39 (s, 1H), 7.48 (m, 2H), 7.55 (s, 1H), 7.78 (dd, 1H) および 8.54 (s, 1H)
 MS (ESI) : 514/516 (MH)⁺

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^1H NMR スペクトル: (DMSO-d_6) 2.02 (m, 2H), 2.77 (s, 3H), 2.86 (s, 3H), 3.22 (t, 2H), 3.86 (s, 3H), 4.13 (t, 2H), 7.09 (s, 1H), 7.42 (s, 1H), 7.95 (s, 1H) および 12.02 (s, 1H)
 MS (ESI) : 342 (MH)⁺

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$^1\text{H NMR}$ スペクトル: (DMSO-d_6) 2.08 (m, 2H), 2.78 (s, 3H), 2.88 (s, 3H), 3.24 (t, 2H), 3.98 (s, 3H), 4.26 (t, 2H), 7.37 (s, 1H), 7.42 (s, 1H) および 8.86 (s, 1H)
 MS (ESI) : 360(MH)⁺

$^1\text{H NMR}$ スペクトル: (DMSO-d_6) 2.06 (m, 2H), 2.38 (s, 3H), 2.79 (s, 3H), 2.89 (s, 3H), 3.24 (t, 2H), 3.96 (s, 3H), 4.21 (t, 2H), 6.11 (br s, 1H), 6.87 (dd, 1H), 7.23 (d, 1H), 7.30 (d, 1H), 7.35 (s, 1H), 7.57 (s, 1H), 8.46 (s, 1H) および 10.98 (s, 1H)
 MS (ESI) : 471 (MH)⁺

元素分析	実測値	C	58.3	H	5.6	N	11.7
$\text{C}_{23}\text{H}_{26}\text{N}_4\text{O}_5\text{S}$	理論値	C	58.7	H	5.6	N	11.9%

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^1H NMR スペクトル: (DMSO-d_6) 2.09 (m, 2H), 2.79 (s, 3H), 2.90 (s, 3H), 3.26 (t, 2H), 3.99 (s, 3H), 4.26 (t, 2H), 7.39 (s, 1H), 7.54 (dd, 1H), 7.56 (dd, 1H), 7.60 (s, 1H), 7.91 (d, 1H), 8.09 (d, 1H), 8.44 (d, 1H), 8.55 (s, 1H)および8.93 (dd, 1H)

MS (ESI) : 469 (MH) $^+$

元素分析	実測値	C	58.6	H	5.1	N	11.9
$\text{C}_{23}\text{H}_{24}\text{N}_4\text{O}_5\text{S}$	理論値	C	59.0	H	5.2	N	12.0%

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¹H NMR スペクトル: (DMSO-d₆) 2.09 (m, 2H), 2.71 (s, 3H), 2.79 (s, 3H), 2.89 (s, 3H), 3.25 (t, 2H), 3.98 (s, 3H), 4.25 (t, 2H), 7.37 (s, 1H), 7.38 (d, 1H), 7.61 (dd, 1H), 7.63 (s, 1H), 7.89 (d, 1H), 8.20 (d, 1H), 8.54 (s, 1H)および 8.76 (d, 1H)
MS (ESI): 483 (MH)⁺

元素分析	実測値	C	59.1	H	5.3	N	11.5
C ₂₄ H ₂₆ N ₄ O ₅ S	理論値	C	59.1	H	5.0	N	12.0%

This image shows a full page of dot grid paper. It features multiple horizontal rows of small black dots. The first row at the top has approximately 30 dots. Below it, there are several more rows, each containing about 30 dots. A short horizontal line segment is drawn under the first few dots of the second row from the top. The rest of the page is filled with similar rows of dots, providing a guide for writing or drawing.

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^1H NMR スペクトル: (DMSO-d_6 , 100°C) 1.24 (m, 1H), 1.59 (m, 1H), 1.70 (m, 1H), 1.83 (m, 1H), 2.05 (m, 2H), 2.17 (m, 1H), 2.24 (s, 3H), 2.64 (dt, 1H), 2.84 (dd, 1H), 4.05 (s, 3H), 4.18 (d, 2H), 7.43 (s, 1H), 7.69 (s, 1H), 7.87 (dd, 1H), 7.96 (d, 1H), 8.18 (s, 1H), 8.25 (dd, 1H), 8.59 (s, 1H)および9.16 (d, 1H)

MS (ESI) : 499 (MH) $^+$

元素分析

実測値

C 62.2 H 5.1 N 11.0

$\text{C}_{26}\text{H}_{25}\text{N}_4\text{F}_3\text{O}_3$

理論値

C 62.6 H 5.1 N 11.2%

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^1H NMR スペクトル: (DMSO-d_6) 1.11 (m, 1H), 1.50 (m, 1H), 1.64 (m, 1H), 1.84 (m, 3H), 2.10 (m, 1H), 2.15 (s, 3H), 2.62 (d, 1H), 2.83 (d, 1H), 4.00 (s, 3H), 4.08 (d, 2H), 7.38 (s, 1H), 7.62 (s, 1H), 7.68 (dd, 1H), 7.97 (d, 1H), 8.10 (d, 1H), 8.34 (dd, 1H), 8.54 (s, 1H)および 8.97 (d, 1H)

MS (ESI) : 449 (MH) $^+$

元素分析	実測値	C	66.2	H	5.6	N	12.3
$\text{C}_{25}\text{H}_{25}\text{N}_4\text{FO}_3 \cdot 0.2 \text{H}_2\text{O}$	理論値	C	66.4	H	5.7	N	12.4%

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^1H NMR スペクトル: (CDCl_3) 3.95 (s, 3H), 7.25 (dd, 1H), 7.37 (d, 1H), 7.67 (d, 1H)および 7.78 (d, 1H)

MS (ESI) : 212 (MH) $^+$

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^1H NMR スペクトル: (CDCl_3) 3.96 (s, 3H), 7.24 (dd, 1H), 7.44 (d, 1H), 7.66 (d, 1H)および 7.73 (dd, 1H)および 8.76 (d, 1H)
MS (ESI) : 178 (MH)⁺

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^1H NMR スペクトル: (DMSO-d_6) 1.11 (m, 1H), 1.68 (m, 5H), 2.10 (m, 1H), 2.20 (s, 3H), 2.64 (m, 4H), 2.87 (d, 1H), 3.98 (s, 3H), 4.09 (d, 2H), 7.37 (s, 1H), 7.57 (dd, 1H), 7.60 (s, 1H), 7.86 (d, 1H), 8.02 (d, 1H), 8.20 (d, 1H) および 8.53 (s, 1H)

MS (ESI) : 463 (MH) $^+$

元素分析	実測値	C	66.4	H	6.1	N	11.8
$\text{C}_{26}\text{H}_{27}\text{N}_4\text{FO}_3 \cdot 0.4 \text{H}_2\text{O}$	理論値	C	66.5	H	6.0	N	11.9%

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^1H NMR スペクトル: (CDCl_3) 2.70 (d, 3H), 3.94 (s, 3H), 7.17 (dd, 1H), 7.37 (d, 1H) および 7.61 (m, 2H)

MS (ESI) : 192 (MH) $^+$

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¹H NMR スペクトル: (DMSO-d₆) 1.37 (m, 2H), 1.51 (m, 4H), 1.95 (m, 2H), 2.32 (m, 4H), 2.42 (t, 2H), 3.98 (s, 3H), 4.23 (t, 2H), 7.38 (s, 1H), 7.56 (m, 2H), 7.62 (s, 1H), 7.91 (d, 1H), 8.09 (d, 1H), 8.44 (d, 1H), 8.54 (s, 1H)および 8.91 (dd, 1H)

MS (ESI) : 445 (MH)⁺

元素分析	実測値	C	70.9	H	6.3	N	12.7
$C_{26}H_{28}N_4O_3$	理論値	C	70.3	H	6.3	N	12.6%

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^1H NMR スペクトル: (DMSO-d_6) 2.07 (m, 2H), 2.12 (s, 3H), 2.31 (s, 3H), 2.79 (s, 3H), 2.89 (s, 3H), 3.25 (t, 2H), 3.97 (s, 3H), 4.23 (t, 2H), 6.86 (dd, 1H), 7.20 (d, 1H), 7.25 (d, 1H), 7.35 (s, 1H), 7.58 (s, 1H), 8.46 (s, 1H)および 11.17 (s, 1H)

MS (ESI) : 485 (MH) $^+$

元素分析	実測値	C	59.5	H	5.8	N	11.4
$\text{C}_{24}\text{H}_{28}\text{N}_4\text{O}_5\text{S}$	理論値	C	59.5	H	5.8	N	11.6%

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^1H NMR スペクトル: (DMSO-d_6) 2.38 (s, 3H), 2.45 (m, 6H), 3.57 (t, 4H), 3.95 (s, 3H), 4.03 - 4.14 (m, 2H), 4.23 (m, 1H), 4.95 (s, 1H), 6.12 (s, 1H), 6.86 (dd, 1H), 7.23 (d, 1H), 7.29 (d, 1H), 7.37 (s, 1H), 7.57 (s, 1H), 8.47 (s, 1H)および 10.98 (s, 1H)

MS (ESI) : 465 (MH) $^+$

元素分析

実測値

C	62.7	H	5.9	N	11.5
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$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_5 \cdot 0.7\text{H}_2\text{O}$

理論値

C	62.9	H	6.2	N	11.7%
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^1H NMR スペクトル: (DMSO- d_6) 1.35 (m, 2H), 1.51 (m, 4H), 2.39 (m, 9H), 3.96 (s, 3H), 4.08 (m, 2H), 4.21 (dd, 1H), 4.86 (br s, 1H), 6.11 (s, 1H), 6.87 (dd, 1H), 7.23 (d, 1H), 7.29 (d, 1H), 7.37 (s, 1H), 7.56 (s, 1H), 8.45 (s, 1H) および 10.98 (s, 1H)

MS (ESI) : 464 (MH) $^+$

元素分析	実測値	C	66.2	H	6.4	N	11.9
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_4 \cdot 0.4\text{H}_2\text{O}$	理論値	C	66.5	H	6.6	N	11.9%

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^1H NMR スペクトル: (DMSO- d_6) 2.38 (s, 3H), 2.76 (m, 1H), 2.90 (t, 1H), 3.43 (m, 1H), 3.97 (s, 3H), 4.04 (m, 1H), 4.57 (dd, 1H), 6.11 (s, 1H), 6.86 (dd, 1H), 7.27 (m, 2H), 7.38 (s, 1H), 7.59 (s, 1H), 8.46 (s, 1H) および 10.92 (s, 1H)

MS (ESI) : 378 (MH) $^+$

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元素分析	実測値	C 65.5	H 6.3	N 11.8
$C_{25}H_{28}N_4O_4 \cdot 0.4H_2O$	理論値	C 65.9	H 6.4	N 12.3%

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^1H NMR スペクトル: (DMSO-d_6) 0.96 (t, 6H), 2.38 (s, 3H), 2.52 (m, 6H), 3.96 (s, 3H), 3.97 (m, 1H), 4.09 (m, 1H), 4.23 (dd, 1H), 4.84 (br s, 1H), 6.12 (s, 1H), 6.88 (dd, 1H), 7.24 (d, 1H), 7.29 (d, 1H), 7.36 (s, 1H), 7.56 (s, 1H), 8.45 (s, 1H)および 10.98 (s, 1H)

MS (ESI) : 452 (MH)⁺

元素分析	実測値	C	66.2	H	6.7	N	12.4
$\text{C}_{25}\text{H}_{30}\text{N}_4\text{O}_4$	理論値	C	66.6	H	6.7	N	12.4%

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^1H NMR スペクトル: (DMSO-d_6) : 2.11 (s, 3H), 2.29 (m, 4H), 2.40 (s, 3H), 2.47 (m, 6H), 3.96 (s, 3H), 4.07 (m, 2H), 4.20 (dd, 1H), 4.89 (d, 1H), 6.11 (s, 1H), 6.87 (dd, 1H), 7.23 (d, 1H), 7.29 (d, 1H), 7.35 (s, 1H), 7.58 (s, 1H), 8.46 (s, 1H)および 10.98 (s, 1H)

MS (ESI) : 479 (MH)⁺

元素分析	実測値	C	64.4	H	6.5	N	14.4
$\text{C}_{26}\text{H}_{31}\text{N}_5\text{O}_4 \cdot 0.3\text{H}_2\text{O}$	理論値	C	64.7	H	6.6	N	14.5%

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MS (ESI) : 437 (MH)⁺

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理論値 C 64.9 H 7.0 N 11.1%

[illegible]

^1H NMR λ° CDCl_3 : 3.92 (s, 3H), 5.40 (s, 1H), 6.42 (br s, 1H), 6.87 (s, 1H), 7.07 (m, 1H), 7.13 (s, 1H), 7.93 (br s, 1H)

MS (ESI) : 162 (M-H) $^+$

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^1H NMR λ° DMSO-d_6 : 1.39 (m, 2H), 1.50 (m, 4H), 1.98 (t, 2H), 2.35 (m, 4H), 2.40 (t, 2H), 3.98 (s, 3H), 4.25 (t, 2H), 6.10 (t, 1H), 6.90 (d, 1H), 7.15 (t, 1H), 7.30 (t, 1H), 7.35 (d, 1H), 7.38 (s, 1H), 7.62 (s, 1H), 8.45 (s, 1H)および 11.29 (s, 1H)

MS (ESI) : 433 (MH) $^+$

m.p. 80 - 82 $^\circ\text{C}$

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¹H NMR スペクトル: (DMSO-d₆) 1.39 (m, 2H), 1.50 (m, 4H), 2.35 (m, 4H), 2.40 (t, 2H), 3.98 (s, 3H), 4.25 (t, 2H), 7.05 (dd, 1H), 7.15 (t, 1H), 7.35 (t, 1H), 7.38 (s, 1H), 7.40 (s, 1H), 7.50 (d, 1H), 7.60 (s, 1H), 8.10 (d, 1H), 8.15 (d, 1H), 8.55 (s, 1H)および 11.33 (s, 1H)

MS (ESI) : 483 (MH)⁺

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^1H NMR スペクトル: (DMSO-d_6) 1.30 (t, 3H), 1.40 (m, 2H), 1.50 (m, 4H), 1.98 (t, 2H), 2.35 (m, 4H), 2.40 (t, 2H), 3.98 (s, 3H), 4.25 (t, 2H), 4.30 (q, 2H), 7.15 (m, 1H), 7.18 (s, 1H), 7.60 (s, 1H), 8.40 (s, 1H)および 12.60 (s, 1H)

MS (ESI) : 539 (MH) $^+$

元素分析	実測値	C	61.2	H	5.9	N	10.3
$\text{C}_{28}\text{H}_{31}\text{ClN}_4\text{O}_5 \cdot 0.5 \text{H}_2\text{O}$	理論値	C	61.4	H	5.9	N	10.2%

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^1H NMR スペクトル: (CDCl_3) 1.40 (t, 3H), 3.98 (s, 3H), 4.40 (q, 2H), 6.60 (d, 1H),
7.05 (d, 1H), 7.15 (s, 1H)および 9.10 (s, 1H)
MS (ESI) : 254 (MH) $^+$

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^1H NMR スペクトル: (DMSO-d_6) 1.38 (t, 3H), 4.35 (q, 2H), 6.60 (d, 1H), 6.95 (d,
1H), 7.10 (d, 1H), 9.80 (s, 1H)および 11.80 (s, 1H)
MS (ESI) : 238 (MH) $^+$

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^1H NMR スペクトル: (CDCl_3) 2.10 (s, 3H), 2.30 (s, 3H), 3.98 (s, 3H), 5.30 (s, 2H),
6.85 (dd, 1H), 7.20 (d, 1H), 7.25 (d, 1H), 7.40 (m, 6H), 7.60 (s, 1H), 8.40 (s,
1H)および 10.74 (s, 1H)
MS (ESI) : 426 (MH)⁺

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^1H NMR スペクトル: (CDCl_3) 1.70 (s, 9H), 2.08 (s, 3H), 2.50 (s, 3H), 4.10 (s, 3H),
5.35 (s, 2H), 7.15 (dd, 1H), 7.38 (m, 6H), 7.60 (s, 1H), 8.20 (d, 1H)および 8.60
(s, 1H)
MS (ESI) : 526 (MH)⁺

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¹H NMR スペクトル: (DMSO-d₆) 1.98 (m, 2H), 2.38 (m, 4H), 2.48 (t, 2H), 3.58 (m, 4H), 3.98 (s, 3H), 4.26 (t, 2H), 7.41 (s, 1H), 7.52 (d, 1H), 7.58 (s, 1H), 7.64 (t, 1H), 7.78 (m, 1H), 7.88 (d, 1H), 8.06 (d, 1H), 8.56 (d, 1H)および 8.57 (s, 1H)
MS (ESI): 447 (MH)⁺

元素分析	実測値	C	66.8	H	5.9	N	12.4
C ₂₇ H ₂₆ N ₄ O ₄ · 0.2 H ₂ O	理論値	C	66.7	H	5.9	N	12.4%

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MS (ESI) : 447 (MH)⁺

$C_{25}H_{26}N_4O_4 \cdot 0.4 H_2O$	理論値	C	66.2	H	6.0	N	12.4%
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MS (ESI) : 460 (MH)⁺

$C_{26}H_{29}N_5O_3 \cdot 0.3 H_2O$ 理論値 C 67.2 H 6.4 N 15.1%

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m.p. 179-180°C

^1H NMR λ° クトル: (DMSO- d_6) 3.99(s, 3H); 5.36(s, 2H); 7.35-7.5(m, 4H); 7.55-7.65(m, 5H); 7.72(d, 1H); 8.6(s, 1H)

MS - ESI: 411 [MH] $^+$

元素分析 : 実測値 C 63.38 H 4.07 N 6.78

$\text{C}_{22}\text{H}_{16}\text{ClFN}_2\text{O}_3 \cdot 0.06\text{H}_2\text{O} \cdot 0.05\text{CH}_2\text{Cl}_2$ 理論値 C 63.64 H 3.93 N 6.73%

^1H NMR λ° クトル: (DMSO- d_6) 4.0(s, 3H); 7.27(s, 1H); 7.43(dd, 1H); 7.56(t, 1H); 7.57(s, 1H); 7.72(dd, 1H); 8.5(s, 1H)

MS - ESI: 321 [MH] $^+$

[illegible]

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MS (ESI) : 461 (MH)⁺

元素分析	実測値	C	59.6	H	5.7	N	12.2
$C_{23}H_{26}ClFN_4O_3$	理論値	C	59.9	H	5.7	N	12.2%

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^1H NMR スペクトル: (DMSO- d_6) 3.25 (s, 3H), 3.50 (t, 2H), 3.60 (t, 2H), 3.80 (t, 2H), 4.00 (s, 3H), 4.30 (t, 2H), 7.40 (s, 1H), 7.55 (m, 1H), 7.60 (m, 1H), 7.65 (s, 1H), 7.90 (d, 1H), 8.10 (d, 1H), 8.40 (m, 1H), 8.50 (s, 1H)および 8.90 (m, 1H)

MS (ESI): 422 (MH) $^+$

元素分析	実測値	C	65.8	H	5.2	N	10.0
$\text{C}_{23}\text{H}_{23}\text{N}_3\text{O}_5$	理論値	C	65.6	H	5.5	N	10.0%

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^1H NMR スペクトル: (DMSO- d_6) 1.13(s, 9 H); 3.26(s, 3H); 3.5(m, 2H); 3.65(m, 2H); 3.85(m, 2H); 3.91(s, 3H); 4.3(m, 2H); 5.9(s, 2H); 7.2(s, 1H); 7.5(s, 1H); 8.4(s, 1H)

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¹H NMR λ° δ (DMSO-d₆) 3.25(s, 3H); 3.45(t, 2H); 3.6(t, 2H); 3.8(t, 2H); 3.9(s, 3H); 4.2(t, 2H); 7.15(s, 1H); 7.45(s, 1H); 8.0(s, 1H)
MS - EI: 294 [M]⁺

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¹H NMR spectrum (DMSO-d₆) 3.24(s, 3H); 3.47(m, 2H); 3.62(m, 2H); 3.84(t, 2H); 4.01(s, 3H); 4.25(t, 2H); 7.41(s, 1H); 7.49(s, 1H); 8.88(s, 1H)

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^1H NMR 測定値: (DMSO-d_6) 1.37 (m, 2H), 1.50 (m, 4H), 1.95 (m, 2H), 2.21 (s, 3H), 2.34 (m, 4H), 2.42 (t, 2H), 3.96 (s, 3H), 4.22 (t, 2H), 6.95 (dd, 1H), 7.16 (s, 1H), 7.35 (m, 3H), 7.58 (s, 1H), 8.48 (s, 1H) および 10.82 (s, 1H)

MS (ESI) : 447 (MH)⁺

元素分析	実測値	C	68.2	H	6.8	N	12.6
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$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_3 \cdot 0.5 \text{H}_2\text{O}$,	理論値	C	68.5	H	6.8	N	12.3%
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^1H NMR スペクトル: (DMSO-d_6) 1.68 (m, 4H), 1.97 (m, 2H), 2.22 (s, 3H), 2.43 (m, 4H), 2.55 (t, 2H), 3.96 (s, 3H), 4.22 (t, 2H), 6.93 (dd, 1H), 7.16 (s, 1H), 7.35 (m, 3H), 7.58 (s, 1H), 8.48 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 433 (MH) $^+$

m.p. 75-77 $^{\circ}\text{C}$

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^1H NMR スペクトル: (DMSO-d_6) 1.38 (m, 2H), 1.50 (m, 4H), 2.24 (s, 3H), 2.73 (t, 2H), 3.96 (s, 3H), 4.28 (t, 2H), 6.93 (dd, 1H), 7.16 (s, 1H), 7.32 (d, 1H), 7.37 (m, 2H), 7.58 (s, 1H), 8.47 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 433 (MH) $^+$

元素分析	実測値	C	67.0	H	6.5	N	13.0
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_3 \cdot 0.75 \text{H}_2\text{O}$	理論値	C	67.3	H	6.6	N	12.6%

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^1H NMR スペクトル: ($\text{DMSO-}d_6$) 1.38(m, 2H); 1.50(m, 4H); 2.4-2.5(m, 4H);
2.75(t, 2H); 3.95(s, 3H); 4.27(t, 2H); 7.30(m, 3H); 7.40(s, 1H); 7.46(m, 2H);
7.54(s, 1H); 8.52(s, 1H)
MS - ESI: 380 $[\text{MH}]^+$

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¹H NMR スペクトル: (DMSO-d₆) 2.08 (m, 2H), 2.22 (s, 3H), 2.80 (s, 3H), 2.88 (s, 3H), 3.27 (t, 2H), 3.97 (s, 3H), 4.22 (t, 2H), 6.95 (dd, 1H), 7.17 (s, 1H), 7.35 (m, 3H), 7.59 (s, 1H), 8.48 (s, 1H) および 10.82 (br s, 1H)

MS (ESI) : 471 (MH)⁺

元素分析	実測値	C	57.0	H	5.6	N	11.4
$C_{23}H_{26}F_4N_4O_5S \cdot 0.5 H_2O$	理論値	C	57.5	H	5.7	N	11.7%

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¹H NMR (λ° 400 MHz): (DMSO-d₆) 1.70 (m, 4H), 1.99 (m, 2H), 2.46 (m, 4H), 2.58 (t, 2H), 4.00 (s, 3H), 4.26 (t, 2H), 6.48 (t, 1H), 7.36 (s, 1H), 7.55 (t, 1H), 7.60 (s, 1H), 7.92 (d, 1H), 8.19 (d, 1H), 8.50 (s, 1H) および 11.78 (br s, 1H)

MS (ESI) : 420 (MH)⁺

元素分析	実測値	C	63.9	H	5.9	N	16.1
$C_{23}H_{25}N_5O_3 \cdot 0.7 H_2O$	理論値	C	63.9	H	6.2	N	16.2%

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m.p. 206-209°C

^1H NMR λ° η η : (DMSO-d_6) 6.25 (s, 1H), 7.27 (s, 1H), 7.33 (s, 1H), 7.82 (s, 1H), 9.00 (s, 1H) および 11.20 (s, 1H)

MS (ESI) : 135 (MH) $^+$

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^1H NMR λ° η η : (DMSO-d_6) 1.43 (m, 2H), 1.56 (m, 4H), 2.04 (m, 2H), 2.59 (m, 6H), 3.97 (s, 3H), 4.24 (t, 2H), 7.01 (s, 1H), 7.11 (dd, 1H), 7.36 (s, 1H), 7.48 (m, 2H), 7.58 (s, 1H), 8.48 (s, 1H) および 11.53 (br s, 1H)

MS (ESI) : 477 (MH) $^+$

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^1H NMR スペクトル: (DMSO-d_6) 2.26 (m, 2H); 3.08 (s, 3H); 3.35 (m, 2H); 4.03 (s, 3H); 4.38 (m, 2H); 7.45 (s, 1H); 7.60 (m, 1H); 7.65 (m, 1H); 7.70 (s, 1H); 7.95 (d, 1H); 8.15 (d, 1H); 8.46 (d, 1H); 8.60 (s, 1H); 8.95 (d, 1H)

MS (ESI): 440 $[\text{MH}]^+$

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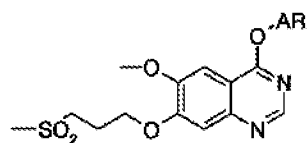
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表 X



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	AR	註
185	199	93	474		a
186	171	85	422		b
187	183	88	460		c
188	83	40	455		d

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¹H NMR スペクトル: (DMSO-d₆) 2.24 (m, 2H); 3.04 (s, 3H); 3.35 (m, 2H); 3.99 (s, 3H); 4.32 (m, 2H); 7.42 (s, 1H); 7.64 (s, 1H); 7.80 (d, 2H); 8.04 (d, 1H); 8.29 (d, 1H); 8.55 (s, 1H); 8.87 (d, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.24 (m, 2H); 2.40 (s, 3H); 3.05 (s, 3H); 3.35 (m, 2H); 4.0 (s, 3H); 4.32 (m, 2H); 6.13 (s, 1H); 6.88 (d, 1H); 7.25 (d, 1H); 7.32 (d, 1H); 7.39 (s, 1H); 7.60 (s, 1H); 8.50 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.24 (m, 2H); 2.28 (s, 3H); 3.05 (s, 3H); 3.35 (m, 2H); 4.0 (s, 3H); 4.32 (m, 2H); 7.36 (d, 1H); 7.41 (s, 1H); 7.65 (s, 1H); 7.87 (d, 1H); 8.11 (d, 1H); 8.53 (s, 1H)

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^1H NMR スペクトル: (DMSO- d_6) 2.24 (m, 2H); 3.05 (s, 3H); 3.35 (m, 2H); 3.98 (s, 3H); 4.32 (m, 2H); 7.06 (d, 1H); 7.12 (s, 1H); 7.18 (d, 1H); 7.40 (d, 1H); 7.59 (m, 2H); 7.85 (m, 2H); 8.55 (d, 1H); 9.8 (br s, 1H)

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¹H NMR スペクトル: (DMSO-d₆ + TFA) 1.60 (m, 2H); 2.05 (d, 2H); 2.15 (m, 1H); 2.80 (s, 3H); 3.05 (m, 2H); 3.55 (m, 2H); 4.05 (s, 3H); 4.15 (d, 2H); 7.20 (dd, 1H); 7.50 (dd, 2H); 7.65 (d, 1H); 7.70 (s, 1H); 8.80 (s, 1H)
MS (ESI) : 454 [MH]⁺

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MS (ESI) : 434 $[MH]^+$

This image shows a full page of primary-ruled paper. It features multiple rows of horizontal lines designed to help young learners write. Each row consists of three parts: a solid top line, a dashed middle line, and a dotted bottom line. The rows are evenly spaced across the entire page, providing a template for handwriting practice. There are no margins or other markings present.

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^1H NMR スペクトル ($\text{DMSO-d}_6 + \text{TFA}$) 2.75 (s, 3H); 3.85 (s, 3H); 7.15 (dd, 1H);
7.25 (s, 1H); 7.70 (d, 1H)

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^1H NMR スペクトル (DMSO-d_6) 2.70 (s, 3H); 6.95 (dd, 1H); 7.00 (d, 1H); 7.55 (d,
1H)

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^1H NMR スペクトル: (DMSO-d_6 + TFA) 1.60 (m, 2H); 2.10 (m, 3H); 2.85 (s, 3H);
3.05 (m, 2H); 3.55 (m, 2H); 4.05 (s, 3H); 4.20 (d, 2H); 7.55 (s, 1H); 7.80 (s,
1H); 7.85 (dd, 1H); 8.15 (s, 1H); 8.3 (d, 1H); 8.85 (s, 1H); 9.20 (s, 1H); 9.25 (s,
1H)

MS (ESI) : 456 $[\text{MH}]^+$ 456

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^1H NMR スペクトル: (DMSO-d_6) 1.25 (t, 3H); 3.85 (s, 3H); 4.20 (q, 2H); 6.95 (d,
1H); 7.00 (s, 1H); 8.05 (d, 1H); 8.50 (s, 1H)

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^1H NMR λ° η t f : (DMSO- d_6) 1.40 (t, 3H); 4.00 (s, 3H); 4.45 (q, 2H); 7.45 (dd, 1H); 7.55 (d, 1H); 8.30 (d, 1H); 9.10 (s, 1H)

^1H NMR λ° η t f : (DMSO- d_6) 1.40 (t, 3H); 3.95 (s, 3H); 4.40 (q, 2H); 7.35 (dd, 1H); 7.50 (d, 1H); 8.15 (d, 1H); 8.90 (d, 1H); 9.25 (d, 1H)

^1H NMR λ° η t f : (DMSO- d_6) 3.95 (s, 3H); 7.35 (dd, 1H); 7.45 (d, 1H); 7.60 (br s, 1H); 8.00 (d, 1H); 8.20 (br s, 1H); 8.75 (s, 1H); 9.25 (s, 1H)

¹H NMR 300 MHz (DMSO-d₆) 4.00 (t, 3H); 7.40 (dd, 1H); 7.50 (d, 1H); 8.00 (d, 1H); 8.95 (s, 1H); 9.10 (d, 1H)

¹H NMR (DMSO-d₆) 7.25 (d, 1H); 7.30(d, 1H); 7.95 (d, 1H); 8.85 (d, 1H); 9.00 (d, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.95 (m, 2H); 2.15 (m, 2H); 2.45 (m, 4H); 2.60 (t, 2H); 2.80 (t, 2H); 3.35 (t, 2H); 3.75 (m, 4H); 3.90 (br s, 1H); 4.05 (s, 3H); 4.30 (t, 2H); 6.55 (d, 1H); 6.85 (m, 2H); 7.30 (s, 1H); 7.55 (s, 1H); 8.65 (s, 1H)

MS (ESI) : 451 $[\text{MH}]^+$

元素分析	:	実測値	C	66.4	H	6.9	N	12.4
$\text{C}_2\text{H}_4\text{N}_2\text{O}_4 \cdot 1 \text{HCl}, 2 \text{H}_2\text{O}$		理論値	C	66.7	H	6.7	N	12.4%

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^1H NMR スペクトル (DMSO-d_6) 1.75 (m, 2H); 2.60 (m, 2H); 3.05 (m, 2H); 4.90 (br s, 1H); 6.30 (m, 3H); 8.25 (br s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.55 (s, 9H); 1.95 (m, 2H); 2.15 (m, 2H); 2.50 (m, 4H); 2.60 (t, 2H); 2.85 (t, 2H); 3.75 (m, 6H); 4.05 (s, 3H); 4.30 (t, 2H); 7.00 (m, 2H); 7.35 (s, 1H); 7.55 (s, 1H); 7.80 (d, 1H); 8.65 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.90 (br, 4H); 2.30 (br, 2H); 2.70 (br d, 6H); 3.10 (t, 2H); 3.65 (t, 2H); 4.05 (s, 3H); 4.30 (t, 2H); 6.70 (d, 1H); 6.80 (dd, 1H); 7.00 (s, 1H); 7.30 (s, 1H); 7.55 (s, 1H); 8.65 (s, 1H)
MS (ESI) : 421 $[\text{MH}]^+$

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^1H NMR スペクトル: (DMSO-d_6 , TFA) 3.15 (t, 2H); 3.70 (t, 2H); 6.75 (dd, 1H);
6.85 (d, 1H); 7.30 (d, 1H)

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^1H NMR スペクトル: (CDCl_3) 3.05 (t, 2H); 3.95 (br s, 2H); 4.70 (br s, 1H); 6.60
(d, 1H); 6.65 (s, 1H); 7.70 (br s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.60 (s, 9H); 1.80 (m, 4H); 2.20 (m, 2H); 2.55 (m, 4H); 2.70 (t, 2H); 3.15 (t, 2H); 4.05 (br s, 5H); 4.30 (t, 2H); 7.00 (d, 1H); 7.05 (s, 1H); 7.30 (s, 1H); 7.55 (s, 1H); 7.90 (br s, 1H); 8.60 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.65 (m, 2H); 2.00 (m, 3H); 2.25 (m, 2H); 2.45 (s, 3H); 3.10 (m, 4H); 3.65 (t, 2H); 4.05 (s, 3H); 4.10 (d, 2H); 6.70 (d, 1H); 6.85 (dd, 1H); 7.0 (s, 1H); 7.25 (s, 1H); 7.55 (s, 1H); 8.60 (s, 1H)

MS (ESI) : 421 $[\text{MH}]^+$

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^1H NMR スペクトル: (CDCl_3) 1.50 (br s, 11H); 2.00 (m, 5H); 2.30 (s, 3H); 2.90 (d, 2H); 3.15 (t, 2H); 4.05 (br s, 7H); 7.05 (br s, 2H); 7.30 (s, 1H); 7.55 (s, 1H); 7.95 (br s, 1H); 8.60 (s, 1H)

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^1H NMR スペクトル: d_{H} (300MHz, CDCl_3): 1.5 (2H, m; $\text{NCH}_2\text{CH}_2\text{CH}_2$), 1.6 (4H, m; 2 x NCH_2CH_2), 2.6 (4H, t; 2 x NCH_2), 2.9 (2H, t; NCH_2), 4.1 (3H, s; OCH_3), 4.3 (2H, t; OCH_2), 7.3 (1H, s; ArH), 7.4 (1H, dd; ArH), 7.5 (1H, dd; ArH), 7.6 (1H, s; ArH), 7.9 (1H, d; ArH), 8.0 (1H, d; ArH), 8.2 (1H, d; ArH), 8.6 (1H, s; ArH) および 8.9 (1H, dd; ArH)
 m/z (ESP+) 431 (MH^+ , 100%)

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^1H NMR λ° ArH : d_{H} (300MHz, DMSO- d_6): 4.0 (3H, s; OCH_3), 5.4 (2H, s; OCH_2), 7.3-7.7 (9H, m; 9 x ArH), 7.9 (1H, br s; ArH), 8.1 (1H, d; ArH), 8.4 (1H, d; ArH), 8.5 (1H, s; ArH)および 8.9 (1H, d; ArH)

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^1H NMR λ° ArH : d_{H} (300MHz, DMSO- d_6): 4.0 (3H, s; OCH_3), 7.1 (1H, s; ArH), 7.3-7.4 (3H, m; 3 x ArH), 7.9 (1H, br s; ArH), 8.1 (1H, d; ArH), 8.4-8.5 (2H, d; 2 x ArH)および 8.9 (1H, d; ArH)
 m/z (ESP+) 320 (MH^+ , 100%)

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^1H NMR λ° δ (300MHz, CDCl_3): 2.7 (4H, t; 2 x NCH_2), 3.0 (2H, t; NCH_2), 3.7 (4H, t; 2 x OCH_2), 4.1 (3H, s; OCH_3), 4.4 (2H, t; OCH_2), 7.2 (1H, s; ArH), 7.4 (1H, dd; ArH), 7.5 (1H, dd; ArH), 7.6 (1H, s; ArH), 7.9 (1H, d; ArH), 8.0 (1H, br s; ArH), 8.2 (1H, d; ArH), 8.6 (1H, s; ArH)および8.9 (1H, dd; ArH)

m/z (ESP+) 433 (MH^+ , 100%)

元素分析	実測値	C	65.0	H	5.6	N	12.6
$\text{C}_{24}\text{H}_{24}\text{N}_4\text{O}_4 \cdot 0.5\text{H}_2\text{O}$	理論値	C	65.3	H	5.7	N	12.7%

^1H NMR λ° δ (300MHz, CDCl_3): 2.3-2.5 (4H, m; 2 x pyrrolidinone- CH_2), 4.0-4.1 (4H, m; pyrrolidinone- CH ; OCH_3), 4.2-4.3 (2H, m; OCH_2), 6.1 (1H, br s; NH), 7.3 (1H, s; ArH), 7.4 (1H, dd; ArH), 7.5 (1H, dd; ArH), 7.9 (1H, d; ArH), 8.0 (1H, br s; ArH), 8.2 (1H, d; ArH), 8.6 (1H, s; ArH)および8.9 (1H, dd; ArH)

m/z (ESP+) 417 (MH^+ , 100%)

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^1H NMR $\lambda^\circ\text{H}$: d_{H} (300MHz, DMSO- d_6) 1.7 (4H, m; 2 x pyrrolidine- CH_2),
2.0 (2H, t; OCH_2CH_2), 2.5 (4H, m; 2 x pyrrolidine- NCH_2), 2.6 (2H, t; NCH_2),
4.0 (3H, s; OCH_3), 4.2 (2H, t; OCH_2), 7.1 (1H, br d; ArH), 7.2 (1H, t; ArH),
7.3-7.4 (3H, m; 3 x ArH), 7.5 (1H, br d; ArH), 7.6 (1H, s; ArH), 8.1-8.2 (2H,
m; 2 x ArH), 8.5 (1H, s; ArH), 11.3 (1H, s; carbazole NH)
 m/z (ESP+) 469 (MH^+ , 100%)

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MS-ESI : 510-512 [MH]⁺

¹H NMR 溶液 (DMSO-d₆) 3.12 (s, 3H) ; 3.85 (s, 3H) ; 4.1 (t, 2H) ; 4.45 (t, 2H) ; 6.45 (s, 1H) ; 7.2 (s, 1H) ; 7.3 (s, 1H) ; 7.35 (m, 2H) ; 7.42 (d, 1H) ; 7.8 (s, 1H) ; 7.85 (s, 1H) ; 8.35 (s, 1H) ; 9.45 (s, 1H)

¹H NMR 溶液 (DMSO-d₆) 4.05 (s, 3H) ; 5.35 (s, 2H) ; 6.5 (s, 1H) ; 7.3 (d, 1H) ; 7.4-7.65 (m, 9H) ; 7.8 (s, 1H) ; 8.3 (s, 1H) ; 8.7 (s, 1H)

[illegible]

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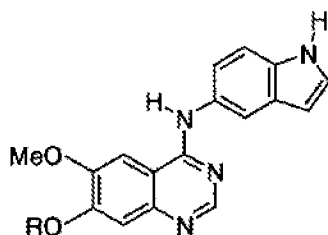
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表 XI



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	註	R
202	83	59	441	a	
203	91	72	398	b	
204	76	55	432	c	

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¹H NMR 測定値: (DMSO-d₆) 3.08 (s, 3H) ; 3.9 (t, 2H) ; 3.95 (s, 3H) ; 4.35 (t, 2H) ; 6.45 (s, 1H) ; 6.75 (d, 2H) ; 7.15 (s, 1H) ; 7.35 (m, 2H) ; 7.4 (d, 1H) ; 7.85 (s, 1H) ; 7.9 (s, 1H) ; 8.15 (d, 2H) ; 8.38 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 4.0 (s, 3H) ; 5.35 (s, 2H) ; 6.42 (s, 1H) ; 7.3-7.55 (m, 5H) ; 7.8-8.0 (m, 3H) ; 8.4 (s, 1H) ; 8.6 (d, 1H) ; 8.75 (s, 1H) ; 9.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.45 (s, 3H) ; 3.32 (t, 2H) ; 3.95 (s, 3H) ; 4.32 (t, 2H) ; 6.45 (s, 1H) ; 7.15 (s, 1H) ; 7.3-7.45 (m, 3H) ; 7.85 (s, 1H) ; 7.9 (s, 1H) ; 8.35 (s, 1H) ; 8.85 (s, 1H) ; 9.45 (s, 1H)

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MS-ESI : 448 [MH]⁺

¹H NMR 測定条件: (DMSO-d₆) 2.0 (m, 2H) ; 2.4 (s, 3H) ; 2.3-2.6 (m, 6H) ; 3.6 (t, 4H) ; 3.95 (s, 3H) ; 4.2 (t, 2H) ; 6.12 (s, 1H) ; 7.12 (s, 1H) ; 7.3 (br s, 2H) ; 7.7 (s, 1H) ; 7.85 (s, 1H) ; 8.35 (s, 1H) ; 9.4 (s, 1H)

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¹H NMR 測定条件: (DMSO-d₆) 2.3 (s, 3H) ; 4.3 (br s, 2H) ; 5.8 (s, 1H) ; 6.35 (d, 1H) ; 6.55 (s, 1H) ; 6.95 (d, 1H) ; 10.35 (br s, 1H)

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MS-ESI : 411 [MH]⁺

¹H NMR 測定条件: (DMSO-d₆) 2.41 (s, 3H) ; 4.01 (s, 3H) ; 5.33 (s, 2H) ; 6.18 (s, 1H) ; 7.25 (d, 1H) ; 7.3-7.7 (m, 8H) ; 8.3 (s, 1H) ; 8.7 (s, 1H) ; 11.1 (s, 1H) ; 11.4 (s, 1H)

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MS-ESI : 321 [MH]⁺

¹H NMR 溶液 (DMSO-d₆) 2.4 (s, 3H) ; 3.95 (s, 3H) ; 6.12 (s, 1H) ; 7.0 (s, 1H) ; 7.25 (s, 1H) ; 7.7 (s, 1H) ; 7.85 (s, 1H) ; 8.3 (s, 1H) ; 9.35 (s, 1H) ; 10.2 (br s, 1H) ; 10.9 (s, 1H)

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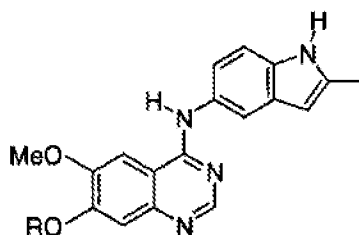
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表 XII



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	註	R
206	65	41	496	a	
207	62	45		b	

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¹H NMR 測定値: (DMSO-d₆) 2.0 (m, 2H) ; 2.4 (s, 3H) ; 2.7 (t, 2H) ; 2.95 (m, 4H) ; 3.15 (m, 4H) ; 3.95 (s, 3H) ; 4.2 (t, 2H) ; 6.15 (s, 1H) ; 7.18 (s, 1H) ; 7.28 (m, 2H) ; 7.7 (s, 1H) ; 7.85 (s, 1H) ; 8.35 (s, 1H) ; 9.4 (s, 1H)

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^1H NMR スペクトル: ($\text{DMSO-}d_6$) 1.4 (m, 2H) ; 1.45-1.6 (m, 4H) ; 2.42 (s, 3H) ;
 2.45 (br s, 4H) ; 2.75 (t, 2H) ; 3.95 (s, 3H) ; 4.25 (t, 2H) ; 6.15 (s, 1H) ; 7.15 (s,
 1H) ; 7.25 (br s, 2H) ; 7.7 (s, 1H) ; 7.88 (s, 1H) ; 8.35 (s, 1H) ; 9.4 (s, 1H)

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MS-ESI: 416 $[\text{MH}]^+$

^1H NMR スペクトル: ($\text{DMSO-}d_6$) 2.4 (m, 2H) ; 4.0 (s, 3H) ; 4.2 (t, 2H) ; 4.65 (t,
 2H) ; 6.45 (s, 1H) ; 7.15 (s, 1H) ; 7.35 (m, 2H) ; 7.42 (d, 1H) ; 7.75 (s, 1H) ;
 7.88 (s, 1H) ; 7.9 (s, 1H) ; 8.2 (s, 1H) ; 8.38 (s, 1H) ; 9.42 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.25 (t, 3H) ; 2.95 (t, 2H) ; 4.15 (q, 2H) ; 4.7 (t,
 2H) ; 7.65 (s, 1H) ; 7.7 (s, 1H)

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$^1\text{H NMR}$ λ° CDCl_3 : 2.1-2.2 (m, 3H) ; 3.65 (m, 2H) ; 4.6 (t, 2H) ; 7.6 (s, 1H) ; 7.72 (s, 1H)

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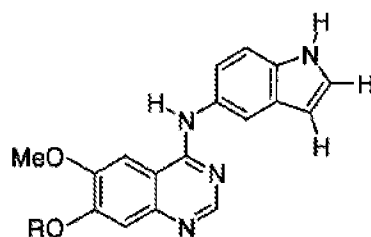
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表 XIII



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	註	R
209	77	57	422	a	
210	64	45	446	b	
211	76	49	482	c	
212	70	48	462	d	
213	85	59	447	e	
214	62	54	365	f	
215	71	54	409	g	
216	73	55	418	h	

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^1H NMR スペクトル: (DMSO-d_6) 2.35 (s, 3H) ; 2.68 (t, 2H) ; 2.82 (t, 2H) ; 3.25 (s, 3H) ; 3.5 (t, 2H) ; 3.97 (s, 3H) ; 4.22 (t, 2H), 6.45 (s, 1H) ; 7.18 (s, 1H) ; 7.3-7.45 (m, 3H) ; 7.88 (m, 2H) ; 8.35 (s, 1H) ; 9.42 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.05 (m, 2H) ; 2.65 (s, 3H) ; 3.6 (t, 2H) ; 3.98 (s, 2H) ; 4.15 (t, 2H) ; 6.45 (s, 1H) ; 7.1 (s, 1H) ; 7.3-7.45 (m, 3H) ; 8.7 (s, 1H) ; 8.8 (s, 1H) ; 8.35 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.8 (m, 2H) ; 2.52 (t, 1H) ; 2.78 (s, 4H) ; 3.58 (q, 2H) ; 3.7 (t, 2H)

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^1H NMR スペクトル: (DMSO-d_6) 2.0 (m, 2H) ; 2.7 (t, 2H) ; 2.95 (br s, 4H) ; 3.15 (br s, 4H) ; 3.97 (s, 3H) ; 4.2 (t, 2H) ; 6.45 (s, 1H) ; 7.2 (s, 1H) ; 7.3-7.5 (m, 3H) ; 7.9 (2s, 2H) ; 8.35 (s, 1H) ; 9.42 (s, 1H)

^1H NMR スペクトル: (DMSO-d_6) 2.22 (m, 2H) ; 3.3 (m, 2H) ; 3.65 (s, 3H) ; 3.95 (s, 3H) ; 4.25 (t, 2H) ; 6.45 (s, 1H) ; 7.15 (s, 1H) ; 7.3-7.45 (m, 3H) ; 7.88 (s, 1H) ; 8.0 (s, 1H) ; 8.35 (s, 1H) ; 8.58 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 2.02 (m, 2H) ; 3.45 (t, 2H) ; 3.55 (s, 3H) ; 3.75 (t, 2H) ; 8.15 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.0 (m, 2H) ; 2.2 (s, 3H) ; 2.25-2.55 (m, 10H) ; 4.0 (s, 3H) ; 4.2 (t, 2H) ; 6.45 (s, 1H) ; 7.15 (s, 1H) ; 7.35 (m, 2H) ; 7.42 (d, 1H) ; 7.88 (br s, 2H) ; 8.38 (s, 1H) ; 9.42 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 3.4 (s, 3H) ; 3.75 (t, 2H) ; 3.98 (s, 3H) ; 4.38 (t, 2H) ; 6.45 (s, 1H) ; 7.18 (s, 1H) ; 7.35 (m, 2H) ; 7.42 (d, 1H) ; 7.85 (s, 1H) ; 7.9 (s, 1H) ; 8.38 (s, 1H) ; 9.5 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 3.3 (s, 3H) ; 3.5 (t, 2H) ; 3.65 (t, 2H) ; 3.85 (t, 2H) ; 4.0 (s, 3H) ; 4.28 (t, 2H) ; 6.45 (s, 1H) ; 7.18 (s, 1H) ; 7.35 (m, 2H) ; 7.45 (d, 1H) ; 7.88 (s, 1H) ; 7.9 (s, 1H) ; 8.35 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.3-1.6 (m, 6H) ; 2.5 (br s, 4H) ; 2.7 (t, 2H) ; 3.98 (s, 3H) ; 4.25 (t, 2H) ; 6.45 (s, 1H) ; 7.18 (s, 1H) ; 7.35 (m, 2H) ; 7.42 (d, 1H) ; 7.9 (br s, 2H) ; 8.38 (s, 1H) ; 9.42 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 6.41 (s, 1H) ; 6.6 (dd, 1H) ; 6.63 (s, 1H) ; 7.0 (t, 1H) ; 7.4 (d, 1H) ; 7.87 (br s, 1H)

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MS-ESI : 397 [MH]⁺

¹H NMR 溶液 (DMSO-d₆) 4.02 (s, 3H) ; 5.35 (s, 2H) ; 6.5 (s, 1H) ; 7.25 (dd, 1H) ; 7.35-7.6 (m, 5H) ; 7.63 (d, 1H) ; 7.72 (s, 1H) ; 8.3 (s, 1H) ; 8.75 (s, 1H) ; 11.3 (br s, 1H)

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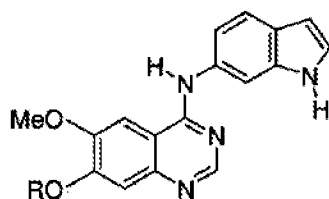
MS-ESI : 307 [MH]⁺

¹H NMR 溶液 (DMSO-d₆) 4.0 (s, 3H) ; 6.4 (s, 1H) ; 7.0 (s, 1H) ; 7.3 (m, 2H) ; 7.5 (d, 1H) ; 7.85 (s, 1H) ; 8.0 (s, 1H) ; 8.35 (s, 1H) ; 9.35 (s, 1H) ; 11.05 (s, 1H)

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表 XIV



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	註	R
217	46	35	416	a	
218	57	37	482	b	
219	37	25	462	c	
220	38	29	418	d	
221	10	7	418	e	
222	94	61	483	f	
223	56	44	398	g	

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^1H NMR λ° H_2O : (DMSO-d_6) 2.42 (t, 2H) ; 4.02 (s, 3H) ; 4.2 (t, 2H) ; 4.62 (t, 2H) ; 6.42 (s, 1H) ; 7.15 (s, 1H) ; 7.3 (m, 2H) ; 7.55 (d, 1H) ; 7.75 (s, 1H) ; 7.92 (s, 1H) ; 8.02 (s, 1H) ; 8.2 (s, 1H) ; 8.42 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 2.0 (m, 2H) ; 2.7 (t, 2H) ; 2.95 (br s, 4H) ; 3.12 (br s, 4H) ; 4.0 (s, 3H) ; 4.2 (t, 2H) ; 6.42 (s, 1H) ; 7.2 (s, 1H) ; 7.3 (m, 2H) ; 7.55 (d, 1H) ; 7.9 (s, 1H) ; 8.02 (s, 1H) ; 8.42 (s, 1H) ; 9.48 (s, 1H)

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^1H NMR λ° H_2O : (DMSO-d_6) 2.22 (t, 2H) ; 3.3 (t, 2H) ; 3.6 (s, 3H) ; 4.0 (s, 3H) ; 4.28 (t, 2H) ; 6.4 (s, 1H) ; 7.18 (s, 1H) ; 7.3 (m, 2H) ; 7.53 (d, 1H) ; 7.9 (s, 1H) ; 8.02 (s, 1H) ; 8.42 (s, 1H) ; 8.58 (s, 1H) ; 9.45 (s, 1H)

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^1H NMR λ° クトル: (DMSO d_6) 1.3-1.6 (m, 6H) ; 2.5 (br s, 4H) ; 2.75 (t, 2H) ;
4.0 (s, 3H) ; 4.25 (t, 2H) ; 6.42 (s, 1H) ; 7.2 (s, 1H) ; 7.3 (m, 2H) ; 7.55 (d,
1H) ; 7.9 (s, 1H) ; 8.02 (s, 1H) ; 8.42 (s, 1H) ; 9.45 (s, 1H)

MS-ESI : 130 [MH] $^+$

^1H NMR λ° クトル: (CDCl $_3$) 1.6-1.8 (m, 6H) ; 2.55 (br s, 4H) ; 2.75 (t, 2H) ;
3.85 (t, 2H) ; 5.2-5.8 (br s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.08 (m, 2H) ; 2.22 (s, 6H) ; 2.95 (s, 3H) ; 3.6 (t, 2H) ; 4.05 (s, 3H) ; 4.15 (t, 2H) ; 6.35 (s, 2H) ; 6.42 (s, 1H) ; 7.15 (s, 1H) ; 7.3 (m, 2H) ; 7.55 (d, 1H) ; 7.92 (s, 1H) ; 8.02 (s, 1H) ; 8.4 (s, 1H) ; 9.45 (s, 1H)

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MS-EI : 194 [M.]⁺

^1H NMR スペクトル: (CDCl_3) 1.75-1.95 (m, 2H) ; 2.4 (s, 6H) ; 3.0 (s, 3H) ; 3.48 (t, 2H) ; 3.7 (t, 2H) ; 6.25 (s, 2H)

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^1H NMR スペクトル: (DMSO-d_6) 4.02 (s, 3H) ; 5.35 (s, 2H) ; 6.42 (s, 1H) ; 7.22-7.4 (m, 3H) ; 7.5 (m, 1H) ; 7.55 (d, 1H) ; 7.95 (s, 1H) ; 7.97 (d, 1H) ; 8.0 (s, 1H) ; 8.42 (s, 1H) ; 8.6 (d, 1H) ; 8.78 (s, 1H) ; 9.5 (s, 1H)

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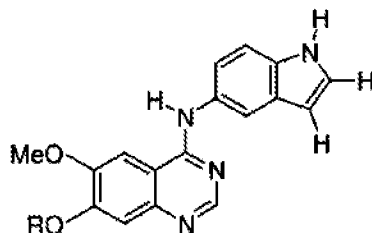
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¹H NMR 測定値: (DMSO-d₆) 1.85-2.7 (br s, 4H); 2.95-3.1 (br s, 2H); 3.0 (m, 2H); 3.4-3.5 (m, 2H); 3.8 (d, 2H); 4.0 (s, 3H); 4.8 (d, 2H); 6.0-6.3 (m, 2H); 6.5 (s, 1H); 7.2-7.53 (m, 4H); 7.75 (s, 1H); 8.25 (s, 1H); 8.8 (br s, 1H)

A handwriting practice sheet with ten rows of dotted lines on a blue background. The first row has a solid underline. The second row has a solid underline. The third row has a solid underline. The fourth row has a solid underline. The fifth row has a solid underline. The sixth row has a solid underline. The seventh row has a solid underline. The eighth row has a solid underline. The ninth row has a solid underline. The tenth row has a solid underline.

表 XV



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	註	R
225	77	50	483	a	

¹H NMR スペクトル: (DMSO-d₆) 2.2 (m, 2H) ; 2.5 (2br s, 6H) ; 3.2 (s, 3H) ; 3.8 (t, 2H) ; 4.1 (s, 3H) ; 4.25 (t, 2H) ; 6.52 (s, 1H) ; 6.75 (br s, 1H) ; 6.9 (br s, 1H) ; 7.35 (dd, 1H) ; 7.45 (br s, 2H) ; 7.5 (d, 1H) ; 7.8 (s, 1H) ; 8.4 (s, 1H) ; 8.75 (s, 1H)

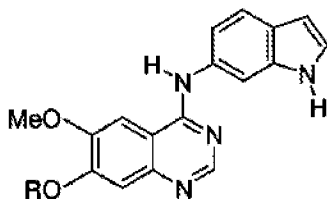
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MS-ESI : 434 [MH]⁺

¹H NMR 溶液: (DMSO-d₆, CF₃COOD) 2.35 (m, 2H) ; 3.15 (m, 2H) ; 3.3 (t, 2H) ; 3.52 (d, 2H) ; 3.8 (t, 2H) ; 4.0 (d, 2H) ; 4.1 (s, 3H) ; 4.3 (t, 2H) ; 6.5 (s, 0.5 H, partly exchanged) ; 7.3 (d, 1H) ; 7.4 (s, 1H) ; 7.45 (s, 1H) ; 7.65 (d, 1H) ; 7.75 (s, 1H) ; 8.3 (s, 1H) ; 8.75 (s, 1H)

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表 XVI



実施例 番号	重量 (mg)	収量 %	MS-ESI [MH] ⁺	註	R
227	24	17	441	a	
228	14	10	430	b	
229	15	10	447	c	

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¹H NMR 測定値: (DMSO-d₆) 3.3 (s, 3H) ; 4.0 (s, 3H) ; 4.18 (t, 2H) ; 4.45 (t, 2H) ; 6.5 (s, 1H) ; 7.35 (d, 1H) ; 7.35-7.5 (m, 4H) ; 7.62 (d, 1H) ; 7.75 (s, 1H) ; 8.3 (d, 2H) ; 8.4 (s, 1H) ; 8.75 (s, 1H)

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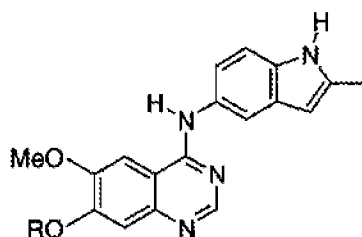
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^1H NMR δ (DMSO- d_6): 1.8-2.1 (m, 4H) ; 2.9-3.1 (m, 2H) ; 3.4-3.5 (br s, 2H) ; 3.87 (d, 2H) ; 4.05 (s, 3H) ; 4.9 (d, 2H) ; 6.1 (m, 1H) ; 6.3 (m, 1H) ; 6.5 (s, 1H) ; 7.25 (d, 1H) ; 7.45 (m, 2H) ; 7.65 (d, 1H) ; 7.75 (s, 1H) ; 8.3 (s, 1H) ; 8.8 (s, 1H)

MS-ESI : 443 $[\text{MH}]^+$

^1H NMR δ (DMSO- d_6): 2.42 (s, 3H) ; 2.62 (s, 3H) ; 4.03 (s, 3H) ; 4.3 (t, 2H) ; 4.35 (t, 2H) ; 6.2 (s, 1H) ; 7.22 (d, 1H) ; 7.35 (d, 1H) ; 7.45 (s, 1H) ; 7.6 (dd, 1H) ; 7.65 (dd, 1H) ; 7.7 (s, 1H) ; 8.35 (s, 1H) ; 8.75 (s, 1H)

表 XVII



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	註	R
231	49	31	497	a	
232	25	18	444	b	
233	23	15	476	c	
234	33	22	461	d	
235	26	19	423	e	

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^1H NMR スペクトル: (DMSO-d_6) 2.2 (m, 2H) ; 2.4 (s, 6H) ; 2.45 (s, 3H) ; 3.15 (s, 3H) ; 3.75 (t, 2H) ; 4.02 (s, 3H) ; 4.25 (t, 2H) ; 6.2 (s, 1H) ; 6.72 (br s, 1H) ; 6.85 (br s, 1H) ; 7.2 (dd, 1H) ; 7.3-7.4 (m, 2H) ; 7.62 (s, 1H) ; 8.3 (s, 1H) ; 8.7 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.8-2.1 (m, 4H) ; 2.4 (s, 3H) ; 2.9-3.1 (m, 2H) ; 3.4-3.6 (m, 2H) ; 3.9 (d, 2H) ; 4.05 (s, 3H) ; 4.9 (d, 2H) ; 6.1 (m, 1H) ; 6.2 (s, 1H) ; 6.3 (d,t, 1H) ; 7.2 (m, 1H) ; 7.37 (d, 1H) ; 7.4 (s, 1H) ; 7.32 (s, 1H) ; 8.3 (s, 1H) ; 8.75 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.25 (m, 2H) ; 2.45 (s, 3H) ; 3.35 (t, 2H) ; 3.65 (s, 3H) ; 4.05 (s, 3H) ; 4.35 (t, 2H) ; 6.2 (s, 1H) ; 7.2 (d, 1H) ; 7.35 (s, 1H) ; 7.37 (d, 1H) ; 7.62 (s, 1H) ; 8.25 (s, 1H) ; 8.75 (s, 1H) ; 8.9 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 2.45 (s, 3H) ; 3.28 (s, 3H) ; 3.5 (t, 2H) , 3.65 (t, 2H) ; 3.9 (t, 2H) ; 4.02 (s, 3H) ; 4.33 (t, 2H) ; 6.2 (s, 1H) ; 7.2 (d, 1H) ; 7.4 (m, 2H) ; 7.63 (s, 1H) ; 8.28 (s, 1H) ; 8.73 (s, 1H)

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MS-ESI : 444 [MH]⁺

¹H NMR 溶液 (DMSO-d₆, CF₃COOD) 1.7 (m, 2H) ; 2.15 (d, 2H) ; 2.2-2.35
(m, 1H) ; 3.20 (t, 2H) ; 3.65 (d, 2H) ; 4.1 (s, 3H) ; 4.25 (d, 2H) ; 4.62 (s, 2H) ;
6.5 (s, 0.5 H, partly exchanged) ; 7.1 (dd, 1H) ; 7.5 (s, 1H) ; 7.5-7.6 (m, 3H) ;
7.85 (s, 1H) ; 9.1 (s, 1H)

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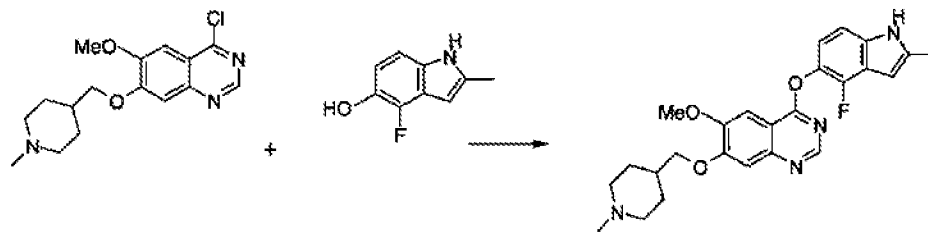
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MS-ESI : 347 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.42 (m, 2H) ; 1.85 (d, 2H) ; 1.8-1.9 (m, 1H) ;
2.2 (t, 2H) ; 2.85 (d, 2H) ; 3.75 (s, 2H) ; 4.05 (s, 3H) ; 4.15 (d, 2H) ; 7.42 (s,
1H) ; 7.5 (s, 1H) ; 8.9 (s, 1H)



MS-ESI: 451 [MH]⁺

¹H NMR スペクトル (DMSO-d₆) 1.4 (m, 2H); 1.8 (d, 2H); 1.7-1.9 (m, 1H); 1.9 (t, 2H); 2.2 (s, 3H); 2.45 (s, 3H); 2.8 (d, 2H); 4.02 (s, 3H); 4.1 (d, 2H); 6.25 (s, 1H); 7.0 (dd, 1H); 7.2 (d, 1H); 7.4 (s, 1H); 7.62 (s, 1H); 8.5 (s, 1H)

元素分析 : 実測値 C 64.2 H 6.5 N 11.7

C₂₅H₂₇N₄O₃ 0.91 水分 0.08CH₂Cl₂ 0.1H₂O 理論値 C 63.9 H 6.4 N 11.5%

^1H NMR スペクトル: (DMSO-d_6) 3.85 (s, 3H) ; 6.38 (s, 1H, 6-7fオロ) ; 6.45 (s, 1H ; 4-7fオロ) ; 6.9-7.4 (m, 3H)

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^1H NMR スペクトル: (DMSO-d_6) 1.65 (s, 9H) ; 3.9 (s, 3H) ; 6.6 (d, 1H, 6-7fオロ) ; 6.72 (d, 1H, 4-7fオロ) ; 7.2 (t, 1H, 6-7fオロ) ; 7.4 (d, 1H, 4-7fオロ) ; 7.62 (d, 1H, 6-7fオロ) ; 7.68 (d, 1H, 4-7fオロ) ; 7.78 (s, 1H, 4-7fオロ) ; 7.85 (s, 1H, 6-7fオロ)

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6-フルボロ-5-メトキシ-2-メチルイソントール:

MS-ESI : 180 [MH]⁺¹H NMR スペクトル: (DMSO-d₆) 2.35 (s, 3H) ; 3.8 (s, 3H) ; 6.05 (s, 1H) ; 7.1 (s, 1H) ; 7.12 (s, 1H) ; 10.8 (s, 1H)

4-フルボロ-5-メトキシ-2-メチルイソントール:

MS-ESI : 180 [MH]⁺¹H NMR スペクトル: (DMSO-d₆) 2.35 (s, 3H) ; 3.8 (s, 3H) ; 6.15 (s, 1H) ; 6.9 (t, 1H) ; 7.05 (d, 1H) ; 11.0 (s, 1H)

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MS-ESI : 166 [MH]⁺¹H NMR スペクトル: (DMSO-d₆) 2.35 (s, 3H) ; 6.05 (s, 1H) ; 6.65 (dd, 1H) ; 6.9 (d, 1H) ; 8.75 (s, 1H) ; 10.9 (s, 1H)¹³C NMR スペクトル: (DMSO-d₆) 13.5 ; 94.0 ; 106.0 ; 112 ; 118.5 (d) ; 132 (d) ; 136 (d) ; 136.5 ; 142.5 (d)

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¹H NMR (λ° 300K): (CDCl₃) 2.4 (s, 3H); 4.25 (s, 2H); 7.25 (dd, 1H); 8.0 (dd, 1H)

¹H NMR 測定値: (CDCl₃) 1.2 (s, 3H); 3.2 (s, 6H); 3.52 (s, 2H); 7.18 (dd, 1H); 7.6 (m, 1H)

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^1H NMR スペクトル: (CDCl_3) 2.35 (s, 3H) ; 4.25 (s, 2H) ; 5.25 (s, 2H) ; 7.0 (dd, 1H) ; 7.32-7.5 (m, 5H) ; 8.0 (dd, 1H)

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MS-ESI : 250 [MNa]⁺

¹H NMR 溶液: (CDCl₃) 2.38 (s, 3H) ; 4.0 (s, 3H) ; 4.25 (s, 2H) ; 7.0 (dd, 1H) ; 8.05 (d, 1H)

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¹H NMR 溶液: (DMSO) 2.35 (s, 3H) ; 3.8 (s, 3H) ; 6.1 (s, 1H) ; 6.85 (dd, 1H) ; 7.02 (d, 1H)

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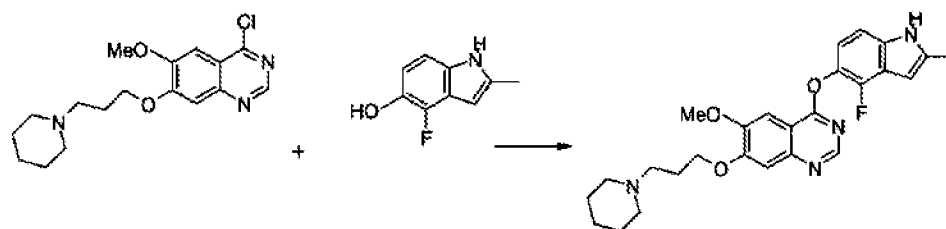
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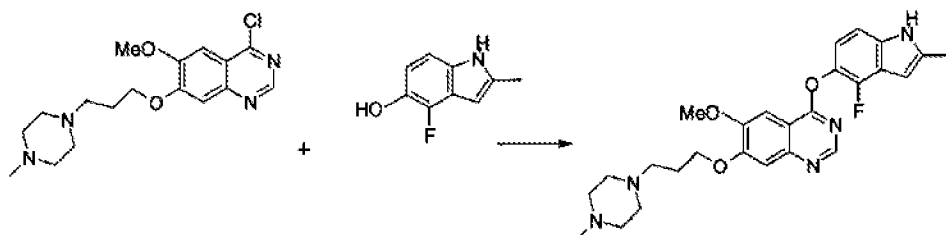
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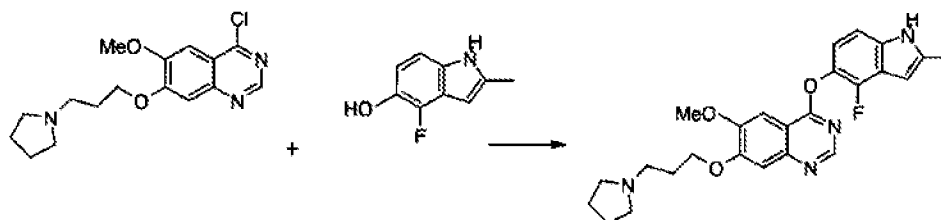
MS-ESI : 465 [MH]⁺

¹H NMR 3[°] クトル: (DMSO-d₆) 1.4 (br s, 2H) ; 1.5 (m, 4H) ; 1.95 (m, 2H) ; 2.25-2.5 (m, 6H) ; 2.45 (s, 3H) ; 4.0 (s, 3H) ; 4.25 (t, 2H) ; 6.25 (s, 1H) ; 7.0 (dd, 1H) ; 7.15 (d, 1H) ; 7.4 (s, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H)



MS-ESI: 480 [MH]⁺

¹H NMR 溶媒: (DMSO-d₆) 2.0 (t, 2H); 2.15 (s, 3H); 2.45 (s, 3H); 2.2-2.6 (m, 10H); 4.02 (s, 3H); 4.25 (t, 2H); 6.25 (s, 1H); 7.0 (dd, 1H); 7.18 (d, 1H); 7.4 (s, 1H); 7.62 (s, 1H); 8.5 (s, 1H)



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MS-ESI: 451 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.7 (br s, 4H) ; 2.0 (m, 2H) ; 2.41 (s, 3H) ; 2.5 (br s, 4H) ; 2.6 (t, 2H) ; 4.0 (s, 3H) ; 4.25 (t, 2H) ; 6.25 (s, 1H) ; 7.0 (dd, 1H) ; 7.2 (d, 1H) ; 7.4 (s, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H)

元素分析 : 実測値 C 63.3 H 6.4 N 11.9

C₂₅H₂₇FN₄O₃ 1.08 H₂O ; 0.16 水分 理論値 C 63.6 H 6.3 N 11.8%

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MS-ESI: 451 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.2-1.3 (m, 2H) ; 1.4-1.55 (m, 1H) ; 1.7-1.9 (m, 6H) ; 2.15 (s, 3H) ; 2.75 (d, 2H) ; 4.0 (s, 3H) ; 4.3 (t, 2H) ; 6.55 (s, 1H) ; 7.1 (dd, 1H) ; 7.3 (d, 1H) ; 7.4 (s, 1H) ; 7.5 (s, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H) ; 11.5 (s, 1H)

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^1H NMR λ° CDCl_3 : 0.95-1.05 (m, 4H) ; 1.45 (s, 9H) ; 1.4-1.6 (m, 3H) 2.45 (s, 3H) ; 2.62 (t, 2H) ; 3.9-4.1 (m, 2H) ; 4.1 (t, 2H) ; 7.35 (d, 2H) ; 7.8 (d, 2H)

MS-ESI : 540 [MNa]⁺

¹H NMR 溶媒: (CDCl₃) 1.2 (s, 9H) ; 1.15-1.25 (m, 2H) ; 1.48 (s, 9H) ; 1.65-1.75 (m, 1H) ; 1.7 (d, 2H) ; 1.9 (dd, 2H) ; 2.72 (t, 2H) ; 4.0 (s, 3H) ; 4.0-4.2 (m, 2H) ; 4.2 (t, 2H) ; 5.95 (s, 2H) ; 7.1 (s, 1H) ; 7.65 (s, 1H) ; 8.2 (s, 1H)

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¹H NMR 溶媒: (CDCl₃) 1.2 (s, 9H) ; 1.65 (m, 2H) ; 1.9 (br s, 2H) ; 1.8-1.9 (m, 1H) ; 2.0 (d, 2H) ; 2.9 (t, 2H) ; 3.45 (d, 2H) ; 4.0 (s, 3H) ; 4.2 (t, 2H) ; 5.95 (s, 2H) ; 7.1 (s, 1H) ; 7.65 (s, 1H) ; 8.2 (s, 1H)

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MS-ESI: 432 [MH]⁺

¹H NMR 溶液 (CDCl₃) 1.22 (s, 9H) ; 1.68 (br s, 3H) ; 1.9 (m, 4H) ; 2.32 (br s, 2H) ; 2.52 (s, 3H) ; 3.18 (d, 2H) ; 4.0 (s, 3H) ; 4.2 (t, 2H) ; 5.95 (s, 2H) ; 7.1 (s, 1H) ; 7.65 (s, 1H) ; 8.2 (s, 2H)

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MS-ESI: 318 [MH]⁺

¹H NMR 溶液 (DMSO-d₆) 1.3 (m, 2H) ; 1.58 (br s, 1H) ; 1.72 (dd, 2H) ; 1.8 (d, 2H) ; 2.4 (s, 3H) ; 2.2-2.45 (m, 2H) ; 3.0 (br s, 2H) ; 3.85 (s, 3H) ; 4.15 (t, 2H) ; 7.15 (s, 1H) ; 7.45 (s, 1H) ; 8.0 (s, 1H)

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MS-ESI : 336 [MH]⁺

¹H NMR スペクトル: (CDCl₃) 1.4-1.7 (m, 3H) ; 1.8 (d, 2H) ; 1.9 (dd, 2H) ; 2.05 (t, 2H) ; 2.35 (s, 3H) ; 2.95 (d, 2H) ; 4.05 (s, 3H) ; 4.25 (t, 2H) ; 7.3 (s, 1H) ; 7.4 (s, 1H) ; 8.88 (s, 1H)

MS-ESI : 437 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.3-1.45 (m, 2H) ; 1.8 (d, 2H) ; 1.9 (t, 2H) ; 1.7-1.9 (m, 1H) ; 2.17 (s, 3H) ; 2.8 (d, 2H) ; 4.0 (s, 3H) ; 4.1 (d, 2H) ; 6.48 (br s, 1H) ; 7.38 (d, 1H) ; 7.4 (s, 1H) ; 7.42 (t, 1H) ; 7.58 (d, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H)

元素分析	実測値	C	65.0	H	5.8	N	12.7
C ₂₄ H ₂₅ FN ₄ O ₃ · 0.4 H ₂ O	理論値	C	65.0	H	5.9	N	12.6%

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^1H NMR スペクトル: (CDCl_3) 5.3 (s, 2H); 7.1 (t, 1H); 7.35-7.55 (m, 5H); 8.0 (m, 2H)

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^1H NMR スペクトル: (DMSO-d_6) 4.22 (s, 2H, 3-シアノメル異性体); 4.3 (s, 2H, 5-シアノメル異性体); 5.32 (s, 2H, 5-シアノメル異性体); 5.36 (s, 2H, 3-シアノメル異性体); 7.3-7.7 (m, 6H); 8.1 (d, 1H, 3-シアノメル異性体); 8.2 (d, 1H, 5-シアノメル異性体)

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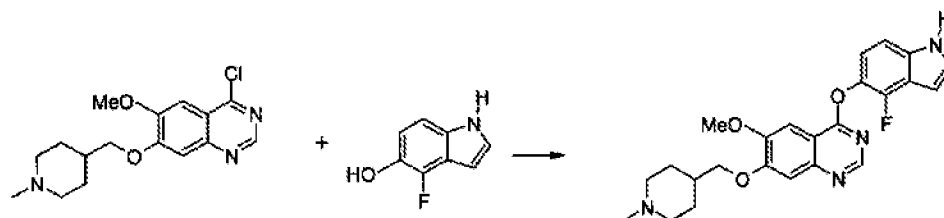
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4-フルオロ-5-ヒドロキシントール:

^1H NMR スペクトル: (DMSO-d_6) 6.32 (s, 1H) ; 6.75 (dd, 1H) ; 7.0 (d, 1H) ; 7.28 (dd, 1H) ; 8.8 (br s, 1H) ; 11.05 (br s, 1H)

6-フルオロ-5-ヒドロキシントール:

^1H NMR スペクトル: (DMSO-d_6) 6.25 (s, 1H) ; 7.0 (d, 1H) ; 7.12 (d, 1H) ; 7.2 (dd, 1H) ; 9.0 (br s, 1H)



MS - ESI : 437 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.3-1.5 (m, 2H) ; 1.8 (d, 2H) ; 1.9 (t, 2H) ; 1.7-1.95 (m, 1H) ; 2.2 (s, 3H) ; 2.8 (d, 2H) ; 4.02 (s, 3H) ; 4.1 (d, 2H) ; 6.55 (s, 1H) ; 7.1 (t, 1H) ; 7.3 (d, 1H) ; 7.4 (s, 1H) ; 7.48 (t, 1H) ; 7.62 (s, 1H) ; 8.5 (s, 1H)

元素分析	実測値	C	64.8	H	5.8	N	12.6
C ₂₄ H ₂₅ FN ₄ O ₃ 0.4 H ₂ O	理論値	C	65.0	H	5.9	N	12.6%

MS-ESI : 466 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 2.3-2.4 (m, 2H) ; 3.0 (s, 3H) ; 3.2-3.9 (m, 8H) ; 3.5 (t, 2H) ; 4.1 (s, 3H) ; 4.4 (t, 2H) ; 6.52 (d, 1H) ; 7.45 (d, 1H) ; 7.48 (s, 1H) ; 7.6 (s, 1H) ; 7.65 (d, 1H) ; 7.82 (s, 1H) ; 9.0 (s, 1H)

元素分析	実測値	C	62.1	H	6.4	N	14.2
C ₂₅ H ₂₈ FN ₅ O ₃ 0.9 H ₂ O	理論値	C	62.3	H	6.2	N	14.5%

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MS-ESI : 427-429 [MH]⁺¹H NMR 測定条件: (DMSO-d₆) 1.18 (s, 9H) ; 2.32 (m, 2H) ; 3.7 (t, 2H) ; 3.92 (s, 3H) ; 4.28 (t, 2H) ; 5.95 (s, 2H) ; 7.2 (s, 1H) ; 7.5 (s, 1H) ; 8.4 (s, 1H)

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MS-ESI : 447 [MH]⁺

¹H NMR 溶媒: (DMSO-d₆, CF₃COOD) 1.15 (s, 9H) ; 2.25 (t, 2H) ; 2.5 (s, 3H) ; 3.45 (t, 2H) ; 3.2-4.0 (m, 8H); 3.9 (s, 3H) ; 4.25 (t, 2H) ; 5.95 (s, 2H) ; 7.22 (s, 1H) ; 7.55 (s, 1H) ; 8.6 (s, 1H)

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MS-ESI : 333 [MH]⁺

¹H NMR 溶媒: (DMSO-d₆) 1.92 (m, 2H) ; 2.15 (s, 3H) ; 2.2-2.5 (m, 10H) ; 3.88 (s, 3H) ; 4.15 (t, 2H) ; 7.1 (s, 1H) ; 7.45 (s, 1H) ; 7.98 (s, 1H)

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MS-ESI : 351-353 [MH]⁺

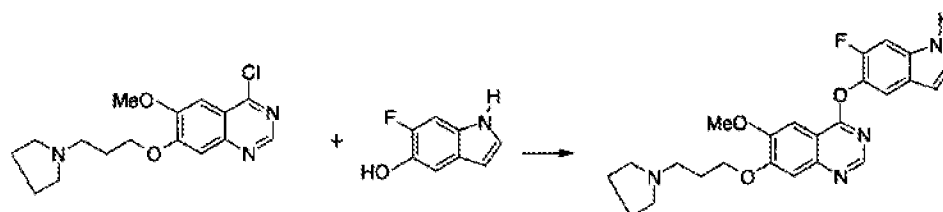
¹H NMR スペクトル: (DMSO-d₆) 1.98 (t, 2H) ; 2.18 (s, 3H) ; 2.45 (t, 2H) ; 2.22-2.5 (m, 8H) ; 4.05 (s, 3H) ; 4.28 (t, 2H) ; 7.4 (s, 3H) ; 7.45 (s, 1H) ; 8.9 (s, 1H)

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MS-ESI : 437 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.7-1.8 (m, 4H) ; 2.0-2.1 (m, 2H) ; 2.48 (br s, 4H) ; 2.6 (t, 2H) ; 4.02 (s, 3H) ; 4.3 (t, 2H) ; 6.5 (s, 1H) ; 7.4 (d, 1H) ; 7.4 (s, 1H) ; 7.45 (t, 1H) ; 7.6 (d, 1H) ; 7.62 (s, 1H) ; 8.52 (s, 1H)

元素分析

実測値

C 65.4 H 6.0 N 12.9

C₂₄H₂₅N₄O₃ · 0.2 H₂O

理論値

C 65.5 H 5.8 N 12.7%

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MS-ESI : 532 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.3-1.45 (m, 2H) ; 1.8 (d, 2H) ; 1.7-1.9 (m, 1H) ;
2.0 (t, 2H) ; 2.3-2.45 (m, 8H) ; 2.4 (s, 3H) ; 2.95 (d, 2H) ; 3.6 (t, 4H) ; 4.0 (s,
3H) ; 4.08 (d, 2H) ; 6.18 (s, 1H) ; 6.9 (dd, 1H) ; 7.3 (s, 1H) ; 7.35 (d, 1H) ; 7.4
(s, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H) ; 11.05 (s, 1H)

元素分析

実測値 C 65.3 H 7.1 N 12.6

C₃₀H₃₇N₅O₄ 0.6 H₂O 0.6 マナール

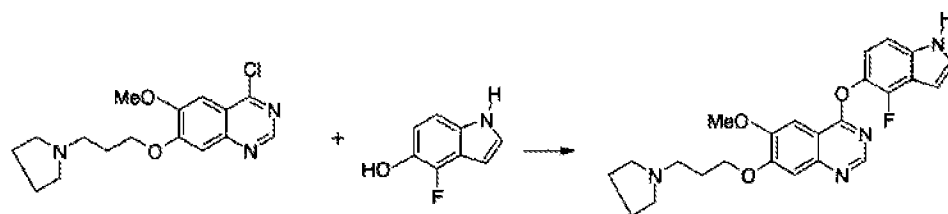
理論値 C 65.4 H 7.3 N 12.5%

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MS-ESI : 437 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.7 (br s, 4H) ; 2.0 (m, 2H) ; 2.45 (br s, 4H) ; 2.6 (t, 2H) ; 4.05 (s, 3H) ; 4.28 (t, 2H) ; 6.58 (s, 1H) ; 7.1 (t, 2H) ; 7.35 (d, 1H) ; 7.4 (s, 1H) ; 7.5 (t, 1H) ; 7.65 (s, 1H) ; 8.52 (s, 1H)

元素分析

実測値 C 65.3 H 5.9 N 12.6

C₂₄H₂₅FN₄O₃ 0.19 水和物, 0.17 H₂O

理論値 C 65.2 H 5.9 N 12.6%

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MS-ESI : 451 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.35-1.45 (m, 2H) ; 1.45-1.6 (m, 4H) ; 2.0 (m, 2H) ; 2.35 (br s, 4H) ; 2.42 (t, 2H) ; 4.05 (s, 3H) ; 4.25 (t, 2H) ; 6.5 (s, 1H) ; 7.4 (d, 1H) ; 7.42 (s, 1H) ; 7.44 (t, 1H) ; 7.6 (d, 1H) ; 7.65 (s, 1H) ; 8.5 (s, 1H)

元素分析

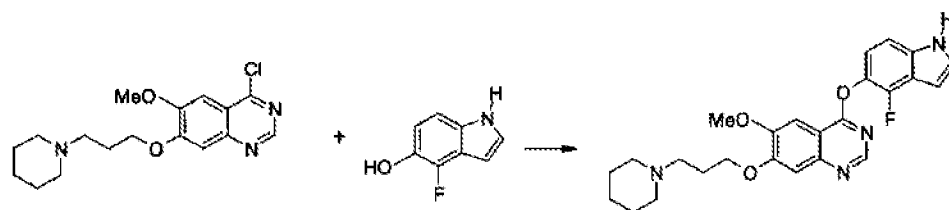
実測値

C 65.9 H 6.2 N 12.3

C₂₅H₂₇FN₄O₃ · 0.3 H₂O

理論値

C 65.9 H 6.1 N 12.3%



MS-ESI : 451 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.35-1.45 (m, 2H) ; 1.55 (m, 4H) ; 2.0 (m, 2H) ;
2.38 (br s, 4H) ; 2.45 (t, 2H) ; 4.02 (s, 3H) ; 4.25 (t, 2H) ; 6.55 (s, 1H) ; 7.12
(dd, 1H) ; 7.32 (d, 1H) ; 7.4 (s, 1H) ; 7.5 (s, 1H) ; 7.65 (s, 1H) ; 8.52 (s, 1H)

元素分析	実測値	C	66.0	H	6.2	N	12.4
C ₂₅ H ₂₇ FN ₄ O ₃ · 0.2 H ₂ O	理論値	C	66.1	H	6.1	N	12.3%

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MS-ESI : 451 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.65-1.8 (br s, 4H) ; 2.02 (m, 2H) ; 2.4 (s, 3H) ;
2.48 (br s, 4H) ; 2.6 (t, 2H) ; 4.02 (s, 3H) ; 4.3 (t, 2H) ; 6.18 (s, 1H) ; 7.25 (d,
1H) ; 7.4 (s, 1H) ; 7.45 (d, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H)

元素分析	実測値	C	65.6	H	6.1	N	12.2
C ₂₅ H ₂₇ FN ₄ O ₃ · 0.4 H ₂ O	理論値	C	65.6	H	6.1	N	12.2%

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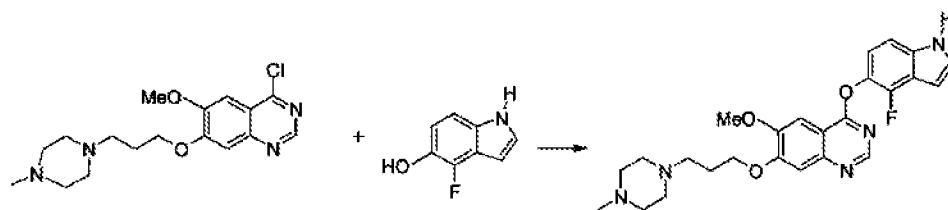
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MS-ESI : 166 [MH]⁺

¹H NMR 溶媒: (DMSO-d₆) 2.3 (s, 3H) ; 5.95 (s, 1H) ; 6.9 (d, 1H) ; 7.0 (d, 1H) ; 8.85 (s, 1H) ; 10.6 (s, 1H)

¹³C NMR 溶媒: (DMSO-d₆) 13.3 ; 97.4 (d) ; 98.3 ; 105.5 ; 124.5 ; 128.8 (d) ; 135.6 ; 138.5 (d) ; 148.3 (d).



MS-ESI: 466 [MH]⁺

¹H NMR 測定値: (DMSO-d₆, CF₃COOD) 2.3-2.4 (m, 2H); 2.97 (s, 3H); 3.2-4.1 (m, 8H); 3.5 (t, 2H); 4.07 (s, 3H); 4.4 (t, 2H); 6.6 (d, 1H); 7.15 (t, 1H); 7.38 (d, 1H); 7.5 (d, 1H); 7.6 (s, 1H); 7.82 (s, 1H); 8.95 (s, 1H)

元素分析	実測値	C	64.4	H	6.1	N	15.0
C ₂₅ H ₂₈ FN ₅ O ₃	理論値	C	64.5	H	6.1	N	15.0%

MS-ESI: 516 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.3-1.5 (m, 2H); 1.6-1.75 (m, 4H); 1.8 (d, 2H); 1.7-1.9 (m, 1H); 1.95 (t, 2H); 2.45 (s, 3H); 2.4-2.5 (m, 5H); 2.95 (d, 2H); 3.35 (d, 2H); 4.0 (s, 3H); 4.1 (d, 2H); 6.18 (s, 1H); 6.9 (d, 1H); 7.25 (s, 1H); 7.35 (d, 1H); 7.38 (s, 1H); 7.6 (s, 1H); 8.5 (s, 1H); 11.05 (s, 1H)

元素分析	実測値	C	68.6	H	7.2	N	13.3
C ₃₀ H ₃₇ N ₅ O ₃ 0.5 H ₂ O	理論値	C	68.7	H	7.3	N	13.4%

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MS-ESI : 453 [MH]⁺

¹H NMR 測定値: (DMSO-d₆) 1.95-2.05 (m, 2H) ; 2.45 (br s, 4H) ; 2.5 (t, 2H) ;
3.62 (t, 4H) ; 4.02 (s, 3H) ; 4.3 (t, 2H) ; 6.5 (s, 1H) ; 7.4 (d, 1H) ; 7.45 (s, 1H) ;
7.47 (t, 1H) ; 7.58 (d, 1H) ; 7.62 (s, 1H) ; 8.5 (s, 1H)

元素分析	実測値	C	61.6	H	5.5	N	11.9
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C ₂₄ H ₂₅ FN ₄ O ₄ · 0.8 H ₂ O	理論値	C	61.7	H	5.7	N	12.0%
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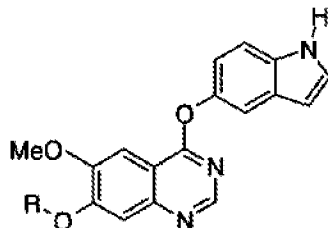
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MS-ESI: 421 [MH]⁺

¹H NMR 測定値: (DMSO-d₆, CF₃COOD) 3.30 (t, 2H); 3.65 (d, 2H); 3.7-3.8 (m, 4H); 4.05 (d, 2H); 4.1 (s, 3H); 4.7 (t, 2H); 6.5 (s, 1H); 7.05 (dd, 1H); 7.4-7.6 (m, 3H); 7.65 (s, 1H); 7.82 (s, 1H); 9.0 (s, 1H)

表 XVIII



実施例 番号	重量 (mg)	収量%	MS-ESI [MH] ⁺	R	註
255	123	51	405		a
256	124	48	434		b
257	165	62	448		c

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^1H NMR スペクトル: (DMSO-d_6) 1.72 (br s, 4H) ; 2.6 (br s, 4H) ; 2.9 (t, 2H) ; 4.0 (s, 3H) ; 4.3 (t, 2H) ; 6.48 (s, 1H) ; 7.0 (dd, 1H) ; 7.4-7.5 (m, 3H) ; 7.6 (s, 1H) ; 8.5 (s, 1H) ; 11.3 (br s, 1H)

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 2.5 (s, 3H) ; 3.35 (t, 2H) ; 3.65 (d, 2H) ; 3.7-3.8 (m, 4H) ; 4.05 (d, 2H) ; 4.1 (s, 3H) ; 4.7 (t, 2H) ; 7.05 (dd, 1H) ; 7.45 (s, 1H) ; 7.5-7.6 (m, 2H) ; 7.65 (s, 1H) ; 7.82 (s, 1H) ; 9.0 (s, 1H)

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^1H NMR λ° クト λ : (CDCl_3) 2.18(s, 3H); 2.3-2.7(br m, 8H); 2.56(t, 2H); 3.61(t, 2H)

MS - ESI: 145 $[\text{MH}]^+$

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^1H NMR λ° クト λ : ($\text{DMSO}-d_6$) 2.15 (s, 3H) ; 2.3-2.4 (br s, 4H) ; 2.5-2.6 (m, 4H) ; 2.8 (t, 2H) ; 4.0 (s, 3H) ; 4.35 (t, 2H) ; 6.45 (s, 1H) ; 7.0 (dd, 1H) ; 7.4-7.5 (m, 4H) ; 7.62 (s, 1H) ; 8.5 (s, 1H)

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MS-ESI : 467 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆, CF₃COOD) 1.85-2.0 (m, 2H) ; 2.0-2.15 (m, 2H) ;
2.42 (s, 3H) ; 3.15 (m, 2H) ; 3.4 (d, 2H) ; 3.65 (m, 2H) ; 4.1(s, 3H) ; 4.32 (d,
2H) ; 4.4 (m, 1H) ; 7.05 (dd, 1H) ; 7.22 (d, 1H) ; 7.6 (s, 1H) ; 7.85 (s, 1H) ;
9.02 (s, 1H)

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MS-ESI : 363 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.12 (s, 9H) ; 2.75 (m, 1H) ; 2.9 (t, 1H) ; 3.4 (m,
1H) ; 3.93 (s, 3H) ; 4.0 (dd, 1H) ; 4.52 (dd, 1H) ; 5.9 (s, 2H) ; 7.2 (s, 1H) ; 7.52
(s, 1H) ; 8.35 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.15 (s, 9H) ; 1.7 (br s, 4H) ; 2.48 (m, 1H) ; 2.5 (br s, 4H) ; 2.65 (dd, 1H) ; 3.9 (s, 3H) ; 4.0 (br s, 1H) ; 4.05 (dd, 1H) ; 4.18 (dd, 1H) ; 4.95 (br s, 1H) ; 5.9 (s, 2H) ; 7.2 (s, 1H) ; 7.5 (s, 1H) ; 8.35 (s, 1H)

^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.92 (m, 2H) ; 2.05 (m, 2H) ; 3.15 (m, 2H) ; 3.35 (d, 2H) ; 3.62 (m, 2H) ; 3.98 (s, 3H) ; 4.18 (d, 2H) ; 4.32 (m, 1H) ; 7.35 (s, 1H) ; 7.6 (s, 1H) ; 9.2 (s, 1H)

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MS-ESI : 362 [MH]⁺

¹H NMR 炭酸ジメチル (DMSO-d₆) 1.7 (br s, 4H) ; 2.05 (s, 3H) ; 2.5 (br s, 4H) ; 2.72 (m, 2H) ; 3.9 (s, 3H) ; 4.3 (m, 2H) ; 5.25 (m, 1H) ; 7.2 (s, 1H) ; 7.45 (s, 1H) ; 8.0 (s, 1H)

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¹H NMR 炭酸ジメチル (DMSO-d₆) 1.7 (br s, 4H) ; 2.05 (s, 3H) ; 2.55 (br s, 4H) ; 2.75 (br s, 2H) ; 4.02 (s, 3H) ; 4.35-4.5 (m, 2H) ; 5.3 (m, 1H) ; 7.4 (s, 1H) ; 7.5 (s, 1H) ; 7.9 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6) 1.7 (br s, 4H) ; 2.05 (s, 3H) ; 2.4 (s, 3H) ; 2.52 (br s, 4H) ; 2.65-2.82 (m, 2H) ; 4.0 (s, 3H) ; 4.4 (m, 2H) ; 5.3 (m, 1H) ; 6.25 (s, 1H) ; 7.0 (dd, 1H) ; 7.18 (d, 1H) ; 7.48 (s, 1H) ; 7.62 (s, 1H) ; 8.5 (s, 1H)

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MS - ESI : 418 $[\text{MH}]^+$

^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 1.9 (m, 2H) ; 2.05 (m, 2H) ; 2.3 (m, 2H) ; 3.1 (m, 2H) ; 3.4 (t, 2H) ; 3.65 (m, 2H) ; 4.05 (s, 3H) ; 4.35 (t, 2H) ; 6.5 (s, 0.5H, partly exchanged) ; 7.3 (d, 1H) ; 7.4 (s, 1H) ; 7.45 (s, 1H) ; 7.55 (d, 1H) ; 7.8 (s, 1H) ; 8.25 (s, 1H) ; 8.8 (s, 1H)

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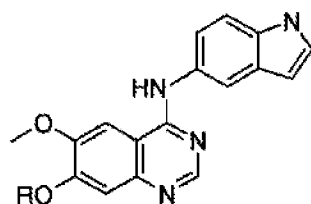
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表 XIX



実施例 番号	重量 (mg)	収量 (%)	MS-ESI [MH] ⁺	註	R
260	101	76	510	a	
261	92	83	418	b	
262	92	80	434	c	
263	84	80	427	d	
264	78	79	401	e	
265	72	70	416	f	

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^1H NMR スペクトル: (DMSO-d₆) 1.65-1.8 (m, 2H) ; 2.05 (d, 2H) ; 2.2 (br s, 1H) ;
 3.1 (br s, 2H) ; 3.2 (s, 3H) ; 3.5 (br s, 2H) ; 3.6 (d, 2H) ; 3.8 (m, 2H) ; 4.05 (s,
 3H) ; 4.1 (d, 2H) ; 6.5 (s, 1H) ; 7.3 (d, 1H) ; 7.42 (m, 2H) ; 7.5 (d, 1H) ; 7.8 (s,
 1H) ; 8.4 (s, 1H) ; 8.7 (s, 1H) ; 11.15 (br s, 1H) ; 11.32 (s, 1H) . 11.5 (s, 1H).

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^1H NMR スペクトル: (DMSO-d₆) 1.6-1.8 (m, 2H) ; 2.02 (d, 2H) ; 2.15 (br s, 1H) ;
 2.75 (s, 3H) ; 3.0 (br s, 2H) ; 3.45 (d, 2H) ; 4.02 (s, 3H) ; 4.1 (d, 2H) ; 6.5 (s,
 1H) ; 7.3 (d, 1H) ; 7.4 (m, 2H) ; 7.5 (d, 1H) ; 7.8 (s, 1H) ; 8.3 (s, 1H) ; 8.7 (s,
 1H) ; 10.4 (br s, 1H) ; 11.3 (s, 1H)

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^1H NMR スペクトル (DMSO-d₆ ; CF₃COOD) : 2.35 (m, 2H) ; 3.15 (t, 2H) ; 3.3 (t,
 2H) ; 3.57 (d, 2H) ; 3.8 (m, 2H) ; 4.02 (d, 2H) ; 4.03 (s, 3H) ; 4.3 (t, 2H) ; 6.5
 (d, 1H) ; 7.3 (dd, 1H) ; 7.4 (s, 1H) ; 7.45 (s, 1H) ; 7.52 (d, 1H) ; 7.8 (s, 1H) ;
 8.25 (s, 1H) ; 8.78 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 2.2-2.4 (m, 2H) ; 3.07 (s, 3H) ; 3.35 (t, 2H) ; 4.05 (s, 3H) ; 4.35 (t, 2H) ; 6.5 (d, 0.5 H, partly exchanged) ; 7.2-7.35 (m, 2H) ; 7.45 (s, 1H) ; 7.5 (d, 1H) ; 7.8 (s, 1H) ; 8.2 (s, 1H) ; 8.75 (s, 1H)

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^1H NMR スペクトル: (DMSO-d_6 , CF_3COOD) 4.03 (s, 3H) ; 4.65 (t, 2H) ; 4.8 (t, 2H) ; 6.5 (d, 1H, partly exchanged) ; 7.30 (d, 1H) ; 7.4 (s, 1H) ; 7.45 (s, 1H) ; 7.52 (d, 1H) ; 7.75 (s, 1H) ; 7.8 (s, 1H) ; 7.9 (s, 1H) ; 8.25 (s, 1H) ; 8.75 (s, 1H) ; 9.25 (s, 1H)

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^1H NMR スペクトル: (CDCl_3) 1.19(s, 9H); 3.98(s, 3H); 4.34(m, 2H); 4.45(m, 2H); 5.94(s, 2H); 7.02(s, 1H); 7.07(s, 1H); 7.11(s, 1H); 7.64(s, 1H); 7.67(s, 1H); 8.17(s, 1H)

MS - ESI: 423 $[\text{MNa}]^+$

元素分析	:	実測値	C	58.3	H	6.4	N	13.9
$\text{C}_{20}\text{H}_{24}\text{N}_4\text{O}_5 \cdot 0.7\text{H}_2\text{O}$		理論値	C	58.2	H	6.2	N	13.6%

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^1H NMR スペクトル: (DMSO-d_6) 3.89(s, 3H); 4.4-4.5(m, 4H); 6.9(s, 1H); 7.16(s, 1H); 7.28(s, 1H); 7.47(s, 1H); 7.7(s, 1H); 7.99(s, 1H)

MS - ESI: 287 $[\text{MH}]^+$

元素分析	:	実測値	C	57.8	H	5.2	N	19.3
$\text{C}_{14}\text{H}_{14}\text{N}_4\text{O}_3 \cdot 0.3\text{H}_2\text{O}$		理論値	C	57.7	H	5.1	N	19.2%

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^1H NMR λ° H_2O : (DMSO- d_6) 4.01(s, 3H); 4.47(m, 2H); 4.53(m, 2H); 6.89(s, 1H); 7.27(s, 1H); 7.41(s, 1H); 7.49(s, 1H); 7.70(s, 1H); 8.88(s, 1H)

MS - ESI: 327 [MNa] $^+$

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^1H NMR λ° H_2O : (DMSO- d_6 , CF_3COOD) 2.5 (m, 2H) ; 4.0 (s, 3H) ; 4.3 (t, 2H) ; 4.6 (t, 2H) ; 6.52 (d, 0.5H partly exchanged) ; 7.3 (s, 1H) ; 7.35 (d, 1H) ; 7.45 (s, 1H) ; 7.55 (d, 1H) ; 7.8 (s, 1H) ; 8.16 (s, 1H) ; 8.66 (s, 1H) ; 8.77 (s, 1H) ; 9.43 (s, 1H)

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¹H NMR 測定値: (DMSO-d₆) 1.37(m, 2H); 1.49(m, 4H); 1.96(m, 2H); 2.34(m, 4H); 2.43(t, 2H); 4.00(s, 3H); 4.23(t, 2H); 7.38(s, 1H); 7.62(s, 1H); 7.69(dd, 1H); 8.00(d, 1H); 8.12(d, 1H); 8.34(dd, 1H); 8.54(s, 1H); 8.98(d, 1H)

MS (ESI): 463 (MH)⁺

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¹H NMR 測定値: (DMSO-d₆) 1.90(m, 2H); 2.00(m, 2H); 2.27(m, 2H); 3.02(m, 2H); 3.32(m, 2H); 3.59(m, 2H); 4.00(s, 3H); 4.33(t, 2H); 7.43(s, 1H); 7.62(s, 1H); 7.70(dd, 1H); 7.99(d, 1H); 8.11(d, 1H); 8.35(dd, 1H); 8.54(s, 1H); 8.97(d, 1H)

MS (ESI): 449 (MH)⁺

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m. p. 250 – 251°C

^1H NMR λ° H_2O : (DMSO- d_6) 1.66 (m, 1H), 2.10 (m, 2H), 2.40 (s, 3H), 2.50 (m, 2H), 2.84 (s, 3H), 3.34 (m, 2H), 3.99 (s, 3H), 4.12 (d, 2H), 6.12 (s, 1H), 6.86 (m, 1H), (d, 1H), 7.30 (d, 1H), 7.38 (s, 1H), 7.59 (s, 1H), 8.48 (s, 1H)および 10.98 (br s, 1H).

MS (ESI): 447 (MH) $^+$

元素分析	実測値	C	66.8	H	5.9	N	12.4
$\text{C}_{25}\text{H}_{26}\text{N}_4\text{O}_4$ 0.2 H_2O	理論値	C	66.7	H	5.9	N	12.5%

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MS (ESI) : 478 (MH)⁺

実測値 C 61.3 H 6.3 N 13.8

理論値 C 61.9 H 6.2 N 13.4%

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¹H NMR スペクトル: (DMSO-d₆) 2.40 (s, 3H), 2.75 (m, 1H), 2.90 (m, 1H), 3.40 (m, 1H), 3.98 (s, 3H), 4.05 (m, 1H), 4.60 (m, 1H), 6.15 (s, 1H), 6.85 (dd, 1H), 7.30 (m, 2H) 7.40 (s, 1H), 7.60 (s, 1H), 8.45 (s, 1H)および 10.98 (s, 1H)
MS (ESI): 378 (MH)⁺

[illegible]

^1H NMR スペクトル: (DMSO- d_6) 0.95 (t, 6H), 2.10 (s, 3H), 2.4 (m, 6H), 3.98 (s, 3H), 4.14 (m, 3H), 4.84 (br s, 1H), 6.12 (s, 1H), 6.85 (dd, 1H), 7.3 (m, 3H), 7.58 (s, 1H), 8.42 (s, 1H) および 10.98 (br s, 1H)

MS (ESI) : 448 (MH) $^+$

元素分析 : 実測値 C 64.3 H 6.6 N 12.0

$\text{C}_{25}\text{H}_{30}\text{N}_4\text{O}_4 \cdot 0.4$ シクロヘキサン 理論値 C 64.0 H 6.4 N 11.6%

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^1H NMR スペクトル: (DMSO- d_6) 2.20 (s, 3H), 3.98 (s, 3H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.20 (s, 1H), 7.35 (m, 3H), 7.58 (s, 1H), 8.40 (s, 1H) および 10.82 (br s, 1H)

MS (ESI) : 322 (MH) $^+$

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¹H NMR λ°ケトル: (CDCl₃) 2.30 (s, 3H), 4.10 (s, 3H), 5.36 (s, 2H), 7.04 (m, 2H), 7.43 (m, 8H), 7.62 (s, 1H), 8.02 (s, 1H), および 8.60 (s, 1H)
MS (ESI) : 412 (MH)⁺

[illegible]

^1H NMR スペクトル: (DMSO- d_6) 1.98 (m, 2H), 2.20 (s, 3H), 2.40 (t, 4H), 2.50 (m, 2H), 3.60 (t, 4H), 3.98 (s, 3H), 4.20 (t, 2H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.82 (br s, 1H)

MS (ESI) : 449 (MH) $^+$

元素分析	:	実測値	C	64.2	H	6.0	N	11.8
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.7\text{H}_2\text{O}$ 0.7 当量		理論値	C	64.2	H	6.9	N	11.4%

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^1H NMR スペクトル: (DMSO- d_6) 2.20 (s, 3H), 2.55 (t, 4H), 2.80 (t, 2H), 3.60 (t, 4H), 3.98 (s, 3H), 4.30 (t, 2H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 2H), 7.40 (s, 1H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.82 (br s, 1H)

MS (ESI) : 449 (MH) $^+$

元素分析	:	実測値	C	64.1	H	6.3	N	12.2
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 0.4\text{H}_2\text{O}$ 0.8 当量		理論値	C	64.3	H	6.1	N	11.7%

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^1H NMR スペクトル: (DMSO- d_6) 1.3 (m, 4H), 1.42 (s, 9H), 1.90 (d, 2H), 2.10 (m, 1H), 2.28 (s, 3H), 2.80 (m, 2H), 3.98 (s, 3H), 4.08 (d, 2H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.82 (br s, 1H)
MS (ESI) : 519 (MH)⁺

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^1H NMR スペクトル: (DMSO- d_6) 1.98 (m, 2H), 2.17 (s, 3H), 2.65 (t, 2H), 2.90 (t, 4H), 3.10 (t, 4H), 3.98 (s, 3H), 4.25 (t, 2H), 6.95 (dd, 1H), 7.15 (s, 1H), 7.30 (d, 1H), 7.35 (m, 2H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.82 (br s, 1H)

MS (ESI) : 497 (MH) $^+$

元素分析	:	実測値	C	58.4	H	5.5	N	11.1
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_5\text{S} \cdot 0.8\text{H}_2\text{O}$		理論値	C	58.8	H	5.8	N	11.0%

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^1H NMR スペクトル: (DMSO- d_6) 1.35 (m, 2H), 1.80 (m, 2H), 2.05 (m, 1H), 2.10 (s, 3H), 2.70 (m, 2H), 3.10 (m, 2H), 3.98 (s, 3H), 4.05 (d, 2H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.34 (m, 3H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.82 (br s, 1H)

MS (ESI) : 419 (MH) $^+$

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^1H NMR スペクトル: (DMSO- d_6) 1.40 (m, 2H), 1.80 (m, 4H), 2.20 (m, 4H), 2.81 (m, 2H), 3.65 (s, 2H), 3.98 (s, 3H), 4.05 (d, 2H), 6.98 (dd, 1H), 7.15 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.45 (s, 1H), および 10.83 (br s, 1H)

MS (ESI) : 458 (MH) $^+$

元素分析	:	実測値	C	66.3	H	6.1	N	14.8
$\text{C}_{26}\text{H}_{27}\text{N}_5\text{O}_3 \cdot 0.7\text{H}_2\text{O}$		理論値	C	66.4	H	6.1	N	14.9%

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^1H NMR スペクトル: (DMSO- d_6) 2.20 (s, 3H), 2.80 (m, 1H), 2.90 (m, 1H), 3.42 (m, 1H), 3.98 (s, 3H), 4.02 (m, 1H), 4.60 (m, 1H), 6.98 (dd, 1H), 7.18 (s, 1H) 7.35 (m, 3H), 7.60 (s, 1H), 8.45 (s, 1H)および 10.82 (s, 1H)
MS (ESI) : 378 (MH)⁺

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^1H NMR スペクトル: (DMSO- d_6) 1.38 (m, 2H), 1.50 (m, 4H), 2.34 (m, 9H), 3.98 (s, 3H), 4.16 (m, 3H), 4.85 (br s, 1H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 464 (MH)⁺

元素分析	:	実測値	C	66.3	H	6.6	N	12.1
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_4$ 0.5 倍ノール		理論値	C	66.5	H	6.7	N	11.7%

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[illegible]

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¹H NMR スペクトル: (DMSO-d₆) 1.65 (m, 4H), 1.98 (m, 2H), 2.20 (s, 3H), 2.50 (m, 2H), 2.62 (m, 2H), 3.98 (s, 3H), 4.17 (m, 3H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H) および 10.82 (br s, 1H)

MS (ESI) : 449 (MH)⁺

元素分析	实测值	C 64.1	H 6.4	N 12.6
$C_{25}H_{28}N_4O_4 \cdot 1.0H_2O$	理論值	C 64.4	H 6.5	N 12.0%

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This image shows a full page of primary-ruled paper. It features ten horizontal rows. Each row is defined by two parallel dotted lines, one above and one below the writing area. A single vertical dashed line runs down the center of each row, dividing it into two equal halves. The entire page is covered by this pattern of dotted and dashed lines, providing a guide for letter height and placement.

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^1H NMR スペクトル: (DMSO- d_6) 2.10 (s, 3H), 2.20 (s, 3H), 2.40 (m, 10H), 3.98 (s, 3H), 4.13 (m, 3H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 478 (MH) $^+$

元素分析	:	実測値	C 61.6	H 6.4	N 14.4
$\text{C}_{26}\text{H}_{31}\text{N}_5\text{O}_4 \cdot 1.0\text{H}_2\text{O} \cdot 0.25$ マノール		理論値	C 61.6	H 6.8	N 13.9%

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^1H NMR スペクトル: (DMSO- d_6) 2.20 (s, 3H), 2.44 (m, 6H), 3.48 (t, 4H), 3.98 (s, 3H), 4.13 (m, 3H), 4.98 (br s, 1H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 465 (MH) $^+$

元素分析	:	実測値	C 58.5	H 6.0	N 11.2
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_5 \cdot 2.5\text{H}_2\text{O}$		理論値	C 58.9	H 6.5	N 11.0%

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¹H NMR スペクトル: (DMSO-d₆) 2.10 (m, 9H), 2.20 (m, 2H), 3.98 (s, 3H), 4.13 (m, 3H), 4.98 (br s, 1H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)
MS (ESI): 423 (MH)⁺
元素分析: 実測値 C 65.5 H 6.2 N 13.2
C₂₃H₂₀N₄O₄ 理論値 C 65.4 H 6.2 N 13.3%

[illegible]

^1H NMR スペクトル: (DMSO- d_6) 0.95 (t, 6H), 2.11 (s, 3H), 2.40 (m, 6H), 3.98 (s, 3H), 4.13 (m, 3H), 4.84 (br s, 1H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 451 (MH) $^+$

元素分析	:	実測値	C	64.4	H	6.6	N	12.0
$\text{C}_{25}\text{H}_{30}\text{N}_4\text{O}_4 \cdot 1.0\text{H}_2\text{O}$.		理論値	C	64.1	H	6.9	N	12.0%

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^1H NMR スペクトル: (DMSO- d_6) 0.98 (d, 6H), 2.20 (s, 3H), 2.55-2.80 (m, 3H), 3.98 (s, 3H), 4.02-4.20 (m, 3H), 4.98 (br s, 1H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.30-7.40 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 437 (MH) $^+$

元素分析	:	実測値	C	63.3	H	6.3	N	12.4
$\text{C}_{24}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 1.0\text{H}_2\text{O}$.		理論値	C	63.4	H	6.7	N	12.3%

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^1H NMR スペクトル: (DMSO- d_6) 0.98 (d, 12H), 2.20 (s, 3H), 2.72 (m, 2H), 3.00 (m, 2H), 3.98 (s, 3H), 4.11 (m, 3H), 6.98 (dd, 1H), 7.18 (s, 1H), 7.35 (m, 3H), 7.60 (s, 1H), 8.42 (s, 1H)および 10.82 (br s, 1H)

MS (ESI) : 479 (MH) $^+$

元素分析	:	実測値	C	65.4	H	6.8	N	11.3
$\text{C}_{27}\text{H}_{34}\text{N}_4\text{O}_4 \cdot 0.8\text{H}_2\text{O}$		理論値	C	55.8	H	7.2	N	11.4%

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^1H NMR スペクトル: (DMSO- d_6) 1.28 (m, 2H), 2.30 (t, 4H), 2.56 (t, 2H), 2.650 (m, 4H), 3.55 (t, 4H), 3.98 (s, 3H), 4.15 (m, 3H), 6.42 (s, 1H), 6.98 (dd, 1H), 7.42 (m, 4H), 7.60 (s, 1H), 8.45 (s, 1H), および 11.19 (br s, 1H)

MS (ESI) : 508 (MH)⁺

元素分析	:	実測値	C	59.7	H	6.6	N	13.4
$\text{C}_{27}\text{H}_{33}\text{N}_5\text{O}_5 \cdot 1.8\text{H}_2\text{O}$		理論値	C	60.1	H	6.8	N	13.0%

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^1H NMR スペクトル: (DMSO- d_6) 1.55 (m, 2H), 2.10 (s, 3H), 2.30 (t, 8H), 2.62 (m, 6H), 3.98 (s, 3H), 4.12 (m, 3H), 6.42 (s, 1H), 6.98 (dd, 1H), 7.42 (m, 4H), 7.60 (s, 1H), 8.45 (s, 1H), および 11.19 (br s, 1H)

MS (ESI) : 521 (MH)⁺

元素分析	:	実測値	C	61.3	H	7.3	N	16.1
$\text{C}_{28}\text{H}_{36}\text{N}_6\text{O}_4 \cdot 1.6\text{H}_2\text{O}$		理論値	C	61.2	H	7.2	N	16.3%

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^1H NMR スペクトル: (DMSO- d_6) 1.60 (m, 6H), 2.25 (m, 4H), 2.60 (m, 4H), 3.08 (m, 2H), 3.98 (s, 3H), 4.12 (m, 3H), 6.42 (s, 1H), 6.98 (dd, 1H), 7.34 (m, 4H), 7.58 (s, 1H), 8.42 (s, 1H), および 11.80 (br s, 1H)
MS (ESI) : 492 (MH) $^+$

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^1H NMR スペクトル: (CDCl_3) 1.47 (m, 2H), 1.60 (m, 4H), 2.14 (m, 2H), 2.44 (m, 4H), 2.54 (t, 2H), 4.08 (s, 3H), 4.27 (t, 2H), 6.67 (m, 1H), 7.15 (d, 1H), 7.32 (t, 1H), 7.36 (s, 1H), 7.42 (d, 1H), 7.69 (s, 1H) 8.55 (br s, 1H)および 8.62 (s, 1H)

MS (ESI) : 511, 513 (MH)⁺

元素分析

実測値

C 58.2 H 5.3 N 10.8

$\text{C}_{25}\text{H}_{27}\text{BrN}_4\text{O}_3 \cdot 0.25 \text{H}_2\text{O}$,

理論値

C 58.2 H 5.4 N 10.9%

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^1H NMR スペクトル: ($\text{DMSO}-d_6$) 3.83 (s, 3H), 6.90 (d, 1H), 7.16 (d, 1H), 7.40 (d, 1H), 11.88 (br s, 1H)および 13.19 (br s, 1H)

MS (ESI) : 268, 270 (M-H)

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^1H NMR スペクトル (CDCl_3) 3.94 (s, 3H), 6.55 (m, 1H), 6.93 (d, 1H), 7.27 (m,
2H), 8.18 (br s, 1H)
MS (ESI) : 224, 226 (M-H)⁺

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^1H NMR スペクトル: (CDCl_3) 6.46 (m, 1H), 7.92 (d, 1H), 7.22 (m, 2H), 8.80 (br s,
1H)
MS (ESI) : 210, 212 (M-H)⁺

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^1H NMR スペクトル: (DMSO- d_6) 1.38 (m, 2H), 1.51 (m, 4H), 1.93 (m, 2H), 2.35 (m, 4H), 2.41 (t, 2H), 3.83 (s, 3H), 3.97 (s, 3H), 4.24 (t, 2H), 6.42 (d, 1H), 7.06 (dd, 1H), 7.33 (s, 1H), 7.42 (m, 2H), 7.50 (d, 1H), 7.59 (s, 1H)および8.47 (s, 1H)

MS (ESI) : 447 (MH) $^+$

元素分析	実測値	C	69.5	H	6.8	N	12.5
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_3$	理論値	C	69.9	H	6.8	N	12.6%

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MS (ESI) : 146 (M-H) $^-$

^1H NMR スペクトル: (CDCl_3) 3.74 (s, 3H), 4.50 (s, 1H), 6.33 (d, 1H), 6.79 (dd, 1H), 7.00 (m, 2H), 7.17 (d, 1H)

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MS (ESI) : 435(MH)⁺

元素分析	実測値	C 63.4	H 5.9	N 12.3
$C_{24}H_{26}N_4O_4 \cdot 1H_2O$	理論値	C 63.7	H 6.2	N 12.4%

A grid of 12 rows of dots for handwriting practice. The first row has 12 dots, the second has 24 dots, and the remaining 10 rows each have 36 dots.

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^1H NMR スペクトル: (DMSO- d_6) 2.75 (m, 1H), 2.89 (m, 1H), 3.44 (m, 1H), 3.97 (s, 3H), 4.06 (m, 1H), 4.58 (dd, 1H), 6.44 (m, 1H), 6.95 (dd, 1H), 7.40 (m, 4H) 7.62 (s, 1H), 8.47 (s, 1H), 11.19 (br s 1H)
MS (ESI) : 364 (MH) $^+$

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^1H NMR スペクトル: (CDCl $_3$) 2.48 (m, 2H), 2.624 (m, 2H), 2.68 (m, 2H), 3.78 (m, 4H), 4.04 (s, 3H), 4.24 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.29 (m, 1H), 7.34 (s, 1H), 7.46 (d, 1H), 7.50 (d, 1H), 7.62 (s, 1H), 8.31 (br s, 1H)および 8.62 (s, 1H)

MS (ESI) : 451(MH) $^+$

元素分析	実測値	C	60.3	H	5.9	N	12.3
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_5 \cdot 1.5\text{H}_2\text{O}$	理論値	C	60.4	H	6.1	N	11.7%

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¹H NMR 測定値 (CDCl₃) 1.47 (m, 2H), 1.61 (m, 4H), 2.39 (m, 2H), 2.54 (d, 2H), 2.64 (m, 2H), 4.04 (s, 3H), 4.24 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.29 (m, 1H), 7.32 (s, 1H), 7.45 (d, 1H), 7.48 (d, 1H), 7.62 (s, 1H), 8.28 (br s, 1H)および 8.60 (s, 1H)

MS (ESI) : 449 (MH)⁺

元素分析	実測値	C	65.9	H	6.3	N	12.3
C ₂₅ H ₂₈ N ₄ O ₄ · 0.5H ₂ O	理論値	C	65.6	H	6.4	N	12.3%

¹H NMR λ[°]クトル: (DMSO-d₆) 2.21 (s, 6H), 2.38 (m, 2H), 3.97 (s, 3H), 4.073 (m, 2H), 4.21 (m, 1H), 4.96 (d, 1H), 6.43 (m, 1H), 6.97 (dd, 1H), 7.37 (s, 1H), 7.43 (m, 3H), 7.62 (s, 1H), 8.48 (s, 1H)および 11.20 (br s, 1H)
MS (ESI): 409(MH)⁺

元素分析	実測値	C	62.8	H	5.8	N	13.2
C ₂₇ H ₂₄ N ₄ O ₄ ·0.7H ₂ O	理論値	C	62.8	H	6.1	N	13.3%

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^1H NMR スペクトル: (CDCl_3) 1.08 (m, 12H), 1.57 (m, 1H), 1.75 (m, 1H), 3.10 (m, 2H), 4.04 (s, 3H), 4.16 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.26 (m, 1H), 7.32 (s, 1H), 7.45 (d, 1H), 7.50 (d, 1H), 7.61 (s, 1H), 8.32 (br s, 1H)および 8.61 (s, 1H)

MS (ESI) : 465(MH) $^+$

元素分析

実測値

C	64.8	H	6.8	N	11.9
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$\text{C}_{26}\text{H}_{32}\text{N}_4\text{O}_4 \cdot 1.0\text{H}_2\text{O}$

理論値

C	64.6	H	7.0	N	11.6%
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MS (ESI) : 435(MH)⁺

元素分析	実測値	C 64.7	H 6.0	N 12.6
$C_{24}H_{26}N_4O_4 \cdot 0.5H_2O$	理論値	C 64.9	H 6.1	N 12.7%

This image shows a full page of primary-ruled paper. It features ten sets of horizontal lines. Each set consists of a solid top line, a dashed middle line, and a solid bottom line, providing a guide for letter height and placement. The lines are evenly spaced across the entire page.

^1H NMR スペクトル: (DMSO-d_6) 2.75 (m, 1H), 2.89 (m, 1H), 3.44 (m, 1H), 3.97 (s, 3H), 4.06 (m, 1H), 4.58 (dd, 1H), 6.44 (m, 1H), 6.95 (dd, 1H), 7.46 (m, 4H) 7.62 (s, 1H), 8.47 (s, 1H)および 11.19 (br s 1H)
MS (ESI) : 364 (MH)⁺

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^1H NMR スペクトル: (CDCl_3) 2.48 (m, 2H), 2.62 (m, 2H), 2.68 (m, 2H), 3.78 (m, 4H), 4.04 (s, 3H), 4.29 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.29 (m, 1H), 7.34 (s, 1H), 7.46 (d, 1H), 7.50 (d, 1H), 7.62 (s, 1H), 8.31 (br s, 1H)および 8.62 (s, 1H)

MS (ESI) : 451(MH)⁺

元素分析	実測値	C	61.7	H	5.7	N	11.8
$\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_5 \cdot 1.0\text{H}_2\text{O}$	理論値	C	61.5	H	6.0	N	12.0%

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^1H NMR λ° 外): (CDCl_3) 1.47 (m, 2H), 1.61 (m, 4H), 2.39 (m, 2H), 2.54 (d, 2H), 2.64 (m, 2H), 4.04 (s, 3H), 4.29 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.29 (m, 1H), 7.32 (s, 1H), 7.45 (d, 1H), 7.48 (d, 1H), 7.62 (s, 1H), 8.28 (br s, 1H)および 8.60 (s, 1H)

MS (ESI) : 449 (MH) $^+$

元素分析	実測値	C	65.8	H	6.2	N	12.2
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.5\text{H}_2\text{O}$	理論値	C	65.6	H	6.4	N	12.3%

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^1H NMR λ° 外): (DMSO-d_6) 2.21 (s, 6H), 2.38 (m, 2H), 3.97 (s, 3H), 4.083 (m, 2H), 4.21 (m, 1H), 4.96 (d, 1H), 6.43 (m, 1H), 6.97 (dd, 1H), 7.37 (s, 1H), 7.43 (m, 3H), 7.62 (s, 1H), 8.48 (s, 1H)および 11.20 (br s, 1H)

MS (ESI) : 409 (MH) $^+$

元素分析	実測値	C	63.6	H	6.0	N	13.3
$\text{C}_{22}\text{H}_{24}\text{N}_4\text{O}_4 \cdot 0.5\text{H}_2\text{O}$	理論値	C	63.3	H	6.0	N	13.4%

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^1H NMR スペクトル: (CDCl_3) 1.08 (m, 12H), 1.57 (m, 1H), 1.759 (m, 1H), 3.10 (m, 2H), 4.04 (s, 3H), 4.16 (m, 3H), 6.58 (m, 1H), 7.08 (dd, 1H), 7.26 (m, 1H), 7.32 (s, 1H), 7.45 (d, 1H), 7.50 (d, 1H), 7.61 (s, 1H), 8.32 (br s, 1H)および 8.61 (s, 1H)

MS (ESI) : 465(MH) $^+$

元素分析

実測値

C 67.2

H 7.0

N 11.9

$\text{C}_{26}\text{H}_{32}\text{N}_4\text{O}_4$

理論値

C 67.2

H 6.9

N 12.1%

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^1H NMR スペクトル: (DMSO-d_6) 0.98 (m, 6H), 2.68 (m, 3H), 3.96 (m, 4H), 4.13 (m, 2H), 5.06 (br s, 1H), 6.44 (s, 1H), 6.98 (dd, 1H), 7.439 (m, 4H), 7.60 (s, 1H), 8.46 (s, 1H)および 11.22 (s, 1H)

MS (ESI): 423(MH) $^+$

元素分析	実測値	C	63.6	H	6.4	N	12.9
$\text{C}_{23}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 0.6\text{H}_2\text{O}$	理論値	C	63.8	H	6.3	N	12.9%

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^1H NMR スペクトル: (DMSO-d_6) 0.985 (m, 6H), 2.68 (m, 3H), 3.96 (m, 4H), 4.13 (m, 2H), 5.06 (br s, 1H), 6.44 (s, 1H), 6.98 (dd, 1H), 7.43 (m, 4H), 7.60 (s, 1H), 8.46 (s, 1H)および 11.22 (s, 1H)

MS (ESI): 423(MH) $^+$

元素分析	実測値	C	63.1	H	6.3	N	12.7
$\text{C}_{23}\text{H}_{26}\text{N}_4\text{O}_4 \cdot 0.9\text{H}_2\text{O}$	理論値	C	63.0	H	6.4	N	12.8%

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¹H NMR (λ^o 400 MHz): (DMSO-d₆) 1.60 (s, 4H), 2.38 (s, 3H), 2.57 (m, 6H), 4.11 (m, 6H), 4.95 (d, 1H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.29 (m, 2H), 7.37 (s, 1H), 7.59 (s, 1H), 8.48 (s, 1H)および 11.00 (s, 1H)
MS (ESI): 450 (MH)⁺
元素分析
C₂₅H₂₈N₄O₄·0.1 H₂O
実測値 C 67.0 H 6.5 N 12.0
理論値 C 66.7 H 6.3 N 12.4%

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^1H NMR スペクトル: (DMSO) 2.40 (s, 3H), 2.75 (m, 1H), 2.90 (m, 1H), 3.40 (m, 1H), 3.98 (s, 3H), 4.05 (m, 1H), 4.60 (m, 1H), 6.15 (s, 1H), 6.85 (dd, 1H), 7.30 (m, 2H) 7.40 (s, 1H), 7.60 (s, 1H), 8.45 (s, 1H)および 10.98 (s, 1H)
MS (ESI) : 378 (MH)⁺

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^1H NMR スペクトル: (DMSO-d₆) 1.60 (s, 4H), 2.38 (s, 3H), 2.57 (m, 6H), 4.11 (m, 6H), 4.95 (d, 1H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.29 (m, 2H), 7.37 (s, 1H), 7.59 (s, 1H), 8.48 (s, 1H)および 11.00 (s, 1H)

MS (ESI) : 450 (MH)⁺

元素分析	実測値	C	66.8	H	6.3	N	12.4
C ₂₅ H ₂₈ N ₄ O ₄ ·0.1 H ₂ O	理論値	C	66.7	H	6.3	N	12.4%

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^1H NMR スペクトル: (DMSO-d_6) 0.99 (d, 6H), 2.39 (s, 3H), 2.66 (m, 3H), 4.07 (m, 6H), 5.08 (d, 1H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.29 (m, 2H), 7.37 (s, 1H), 7.58 (s, 1H), 8.49 (s, 1H) および 11.03 (s, 1H)

MS (ESI) : 437 (MH) $^+$

元素分析

実測値

C 64.3

H 6.4

N 12.3

$\text{C}_{24}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.5 \text{H}_2\text{O}$

理論値

C 64.7

H 6.6

N 12.6%

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^1H NMR スペクトル: (DMSO-d_6): 0.99 (d, 6H), 2.39 (s, 3H), 2.66 (m, 3H), 4.07 (m, 6H), 5.08 (d, 1H), 6.14 (s, 1H), 6.88 (dd, 1H), 7.29 (m, 2H), 7.37 (s, 1H), 7.58 (s, 1H), 8.49 (s, 1H) および 11.03 (s, 1H)

MS (ESI) : 437 (MH) $^+$

元素分析	実測値	C	64.3	H	6.5	N	12.3
$\text{C}_{24}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.5 \text{H}_2\text{O}$	理論値	C	64.7	H	6.6	N	12.6%

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^1H NMR スペクトル: (CDCl_3) 2.13 (m, 2H), 1.48 (t, 4H), 1.57 (t, 2H), 3.72 (t, 4H), 3.84 (s, 3H), 4.05 (s, 3H), 4.3 (t, 2H), 6.50 (d, 1H), 7.08-7.13 (m, 2H), 7.32 (s, 1H), 7.37 (s, 1H), 7.47 (d, 1H), 7.62 (s, 1H) 8.59 (s, 1H)

MS (ESI) : 449 (MH) $^+$

元素分析	実測値	C	66.5	H	6.4	N	12.3
$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_4 \cdot 0.1 \text{H}_2\text{O}$	理論値	C	66.7	H	6.3	N	12.4%

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¹H NMR (λ° 70): (CDCl₃) 1.47 (m, 2H), 1.64 (m, 4H), 2.57 (t, 4H) 2.94 (t, 2H), 3.83 (s, 3H), 4.05 (s, 3H), 4.34 (t, 2H), 6.49 (d, 1H), 7.10 (m, 2H), 7.32 (s, 1H), 7.38 (d, 1H), 7.45 (d, 1H), 7.62 (s, 1H) 8.60 (s, 1H)
MS (ESI) : 433 (MH)⁺

元素分析	実測値	C	69.2	H	6.7	N	12.7
C ₂₅ H ₂₈ N ₄ O ₃	理論値	C	69.4	H	6.5	N	13.0%

[illegible]

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^1H NMR スペクトル: (CDCl_3) 1.81 (m, 4H), 2.18 (m, 2H), 2.56 (m, 4H), 2.69 (t, 2H), 3.82 (s, 3H), 4.05 (s, 3H), 4.30 (t, 2H), 6.45 (d, 1H), 7.09 (dd, 2H), 7.31 (s, 1H), 7.38 (d, 1H), 7.47 (d, 1H), 7.62 (s, 1H) および 8.59 (s, 1H)

MS (ESI) : 433 (MH) $^+$

元素分析

実測値 C 66.5 H 6.3 N 12.4

$\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_3$ 0.1 シクロヘキサン+0.7 H_2O

理論値 C 66.7 H 6.6 N 12.4%

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^1H NMR スペクトル: (CDCl_3) 1.46 (m, 2H), 1.60 (m, 4H), 2.16 (m, 2H), 2.43 (m, 4H), 2.54 (t, 2H), 3.85 (s, 3H), 4.33 (t, 2H), 7.04 (d, 1H), 7.10 (s, 1H), 7.47 (s, 1H), 7.57 (d, 1H), 7.83 (d, 1H), 7.95 (d, 1H) および 9.09 (s, 1H)

MS (ESI) : 478 (MH) $^+$

元素分析

実測値 C 62.5 H 5.8 N 14.7

$\text{C}_{25}\text{H}_{27}\text{N}_5\text{O}_5$

理論値 C 62.9 H 5.7 N 14.7%

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^1H NMR λ° C_6H_6 : (DMSO- d_6) 1.33 (t, 3H), 3.95 (s, 3H), 4.35 (q, 2H), 7.19 (d, 1H), 7.35 (d, 1H), 7.75 (d, 1H) および 12.45 (br s, 1H)

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¹H NMR スペクトル: (CDCl₃) 3.99 (s, 3H), 6.88 (t, 1H), 6.97 (d, 1H), 7.37 (t, 1H), 7.55 (d, 1H)および 8.38 (br s, 1H)
MS (ESI) : 193 (MH)⁺

¹H NMR スペクトル: (CDCl₃) 6.95 (d, 1H), 7.29 (m, 1H), 7.43 (t, 1H), 7.63 (d, 1H)および 11.60 (br s, 1H)
MS (ESI): 177 (M-H)⁻

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理論値 C 63.6 H 6.3 N 14.4%

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^1H NMR スペクトル: (DMSO- d_6) 1.38 (m, 2H), 1.50 (m, 4H), 1.95 (m, 2H), 2.15 (m, 4H), 2.42 (t, 2H), 3.99 (s, 3H), 4.22 (t, 2H), 6.47 (m, 1H), 7.36 (s, 1H), 7.55 (m, 1H), 7.60 (s, 1H), 7.90 (d, 1H), 8.18 (d, 1H), 8.49 (s, 1H)および11.76 (br s, 1H)

MS (ESI): 434 (MH) $^+$

元素分析	実測値	C	63.9	H	6.4	N	15.4
$\text{C}_{24}\text{H}_{27}\text{N}_5\text{O}_3 \cdot 1.0 \text{H}_2\text{O}$	理論値	C	63.8	H	6.5	N	15.5%

^1H NMR スペクトル: (CDCl_3) 1.48-2.18 (m, 19H), 2.58 (t, 2H), 3.06 (d, 2H), 4.05 (s, 3H), 4.26 (t, 2H), 6.59 (s, 1H), 7.08 (dd, 1H), 7.28 (d, 1H), 7.36 (s, 1H), 7.50 (d, 1H), 7.63 (s, 1H), 8.30 (s, 1H), 8.59 (s, 1H)

MS: 516 [MH] $^+$

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^1H NMR スペクトル: (DMSO- d_6) 1.84-1.96 (m, 1H), 2.10-2.30 (m, 2H), 2.39 (s, 3H), 2.43-2.53 (m, 1H), 2.80 (s, 3H), 3.98 (s, 4H), 4.22 (dd, 1H), 4.40 (dd, 1H), 6.10 (s, 1H), 6.84 (dd, 1H), 7.23 (d, 1H), 7.30 (d, 1H), 7.40 (s, 1H), 7.59 (s, 1H), 8.49 (s, 1H), 10.98 (br s, 1H)

M S: 429 [MH] $^+$

元素分析	:	実測値	C	64.4	H	5.4	N	12.6
$\text{C}_{24}\text{H}_{24}\text{N}_4\text{O}_4 \cdot 0.8\text{H}_2\text{O}$		理論値	C	64.5	H	5.8	N	12.5%

^1H NMR スペクトル: (CDCl_3) 2.10-2.44 (m, 4H), 2.48 (s, 3H), 2.76 (s, 3H), 3.30-3.54 (m, 1H), 4.04 (dd, 1H), 4.15 (dd, 1H), 7.38 (d, 2H), 7.78 (d, 2H)

M S: 284 [MH] $^+$

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[illegible]

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¹H NMR λ[°] 713: (DMSO-d₆) 1.87-2.48 (m, 4H), 3.97 (s, 3H), 4.17 (m, 2H), 6.45 (s, 1H), 6.96 (dd, 1H), 7.38-7.49 (m, 4H), 7.60 (s, 1H), 7.81 (s, 1H), 8.50 (s, 1H)

MS: 405 [MH]⁺

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[illegible]

¹H NMR スペクトル: (DMSO-d₆) 1.64-2.45 (m, 4H), 3.78 (m, 1H), 3.99 (s, 3H), 4.18 (t, 2H), 6.42 (s, 1H), 6.97 (dd, 1H), 7.38-7.48 (m, 3H), 7.60 (s, 1H), 7.73 (s, 2H), 8.48 (s, 1H), 11.18 (br s, 1H)
MS: 405 [MH]⁺

¹H NMR λ[°] クロル: (CDCl₃) 1.68-1.86 (m, 1H), 2.16-2.38 (m, 3H), 2.48 (s, 3H), 3.86-3.96 (m, 2H), 4.08 (dd, 1H), 6.20 (br s, 1H), 7.38 (d, 2H), 7.80 (d, 2H)
MS: 270 [MH]⁺

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¹H NMR (DMSO-d₆) 1.8-2.2 (m, 5H), 2.4 (s, 3H), 4.0 (br s, 3H), 4.1-4.2 (m, 2H), 6.1 (br s, 1H), 6.9 (dd, 1H), 7.2 (d, 1H), 7.3 (d, 1H), 7.4 (s, 1H), 7.6 (s, 1H), 7.8 (s, 1H), 8.5 (s, 1H), 11.0 (br s, 1H)
 MS: 419 [MH]⁺
 元素分析 : 実測値 C 60.8 H 5.3 N 12.1
 C₂₃H₂₂N₄O₄·2H₂O 理論値 C 60.8 H 5.7 N 12.3%

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[illegible]

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¹H NMR (DMSO-d₆) 1.35 (m, 2H), 1.51 (m, 4H), 2.30-2.40 (m, 9H), 3.98 (s, 3H), 4.08 (m, 2H), 4.21 (m, 1H), 4.86 (m, 1H), 6.10 (s, 1H), 6.87 (dd, 1H), 7.25 (d, 1H), 7.30 (d, 1H), 7.40 (s, 1H), 7.60 (s, 1H), 8.45 (s, 1H)および 10.98 (br s, 1H)

MS (ESI): 463 (MH)⁺

元素分析	:	実測値	C	66.5	H	6.6	N	12.0
C ₂₆ H ₃₀ N ₄ O ₄ · 0.4H ₂ O		理論値	C	66.5	H	6.6	N	11.9%

[illegible]

^1H NMR スペクトル: (DMSO-d_6) 1.35 (m, 2H), 1.51 (m, 4H), 2.30-2.40 (m, 9H),
 3.98 (s, 3H), 4.08 (m, 2H), 4.21 (m, 1H), 4.86 (m, 1H), 6.10 (s, 1H), 6.87
 (dd, 1H), 7.25 (d, 1H) 7.30 (d, 1H), 7.40 (s, 1H), 7.60 (s, 1H), 8.45 (s, 1H)およ
 び 10.98 (br s, 1H)

MS (ESI): 463 (MH) $^+$

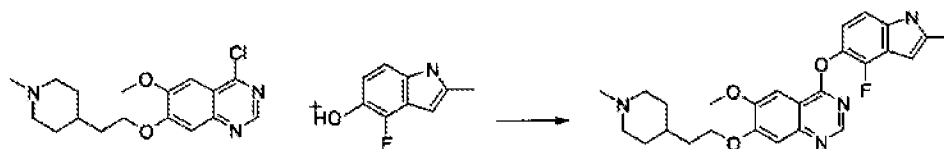
元素分析	:	実測値	C	66.2	H	6.8	N	11.9
$\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_4 \cdot 0.5\text{H}_2\text{O}$		理論値	C	66.2	H	6.6	N	11.9%

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MS-ESI : 465 [MH]⁺

¹H NMR スペクトル: (DMSO-d₆) 1.1-1.3 (m, 2H) ; 1.35-1.5 (m, 1H) ; 1.6-1.9 (m, 6H) ; 2.12 (s, 3H) ; 2.4 (s, 3H) ; 2.75 (d, 2H) ; 3.95 (s, 3H) ; 4.22 (t, 2H) ; 6.2 (s, 1H) ; 6.95 (dd, 1H) ; 7.15 (d, 1H) ; 7.4 (s, 1H) ; 7.6 (s, 1H) ; 8.5 (s, 1H)

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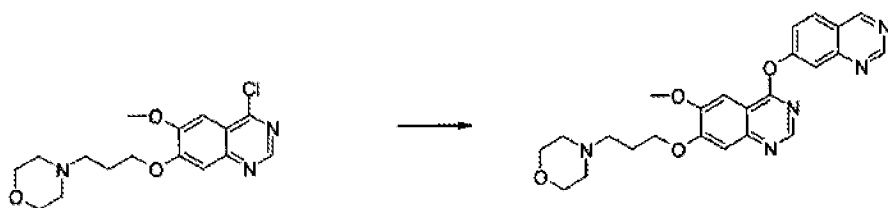
¹H NMR スペクトル: (DMSO-d₆) 2.50 (s, 3H); 3.95 (s, 3H); 4.0 (s, 3H); 7.05 (d, 1H); 7.38 (s, 1H); 7.39 (d, 1H); 7.51 (d, 1H); 7.60 (s, 1H); 8.50 (s, 1H)

MS (ESI) : 337 [MH]⁺

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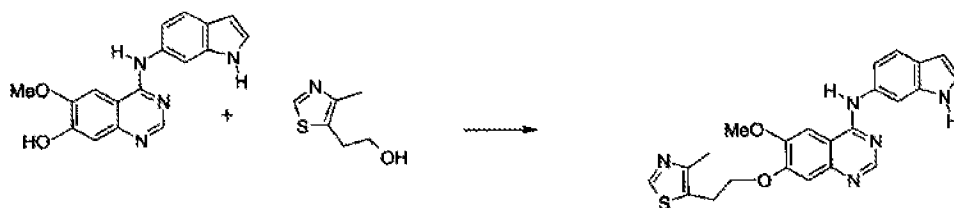
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$^1\text{H NMR}$ λ° H_2O (DMSO- d_6) 2.01 (t, 2H); 2.47 (m, 4H); 2.49 (m, 2H); 3.60 (m, 4H); 4.01 (s, 3H); 4.29 (t, 2H); 7.45 (s, 1H); 7.65 (s, 1H); 7.80 (d, 1H); 8.01 (d, 1H); 8.32 (d, 1H); 8.60 (s, 1H); 9.34 (s, 1H); 9.69 (s, 1H)

MS (ESI): 448 $[\text{MH}]^+$

元素分析	:	実測値	C	63.4	H	5.7	N	15.6
$\text{C}_{24}\text{H}_{25}\text{N}_5\text{O}_4 \cdot 0.4 \text{H}_2\text{O}$		理論値	C	63.4	H	5.7	N	15.4%



MS - ESI : 432 [MH]⁺

¹H NMR spectrum (DMSO-d₆) 2.4 (s, 3H) ; 3.3 (t, 2H) ; 4.0 (s, 3H) ; 4.35 (t, 2H) ; 6.45 (s, 1H) ; 7.2 (s, 1H) ; 7.25-7.4 (m, 2H) ; 7.55 (d, 1H) ; 7.9 (s, 1H) ; 8.05 (s, 1H) ; 8.45 (s, 1H) ; 8.87 (s, 1H) ; 9.45 (s, 1H)

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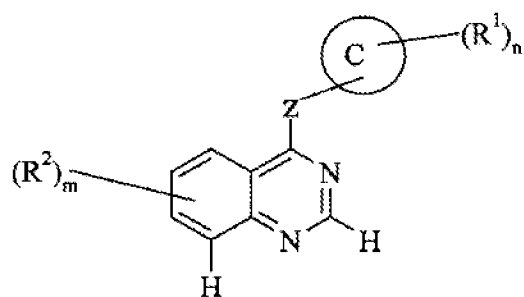
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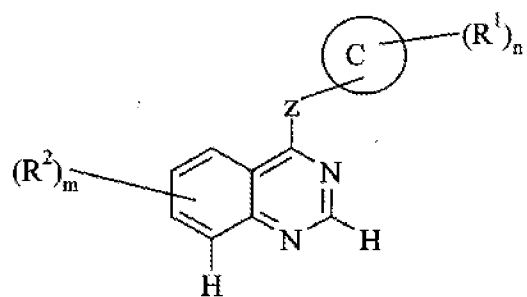
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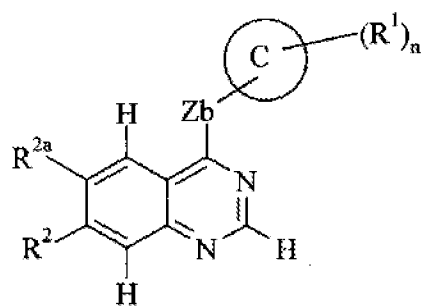
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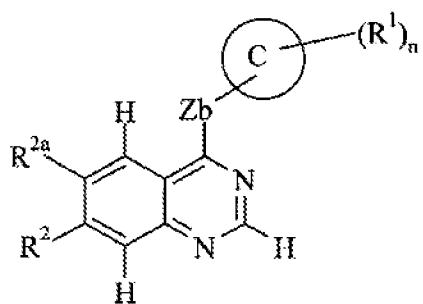
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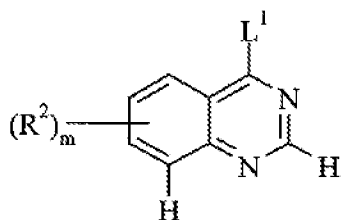
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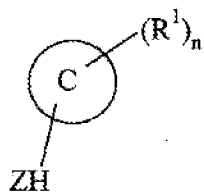


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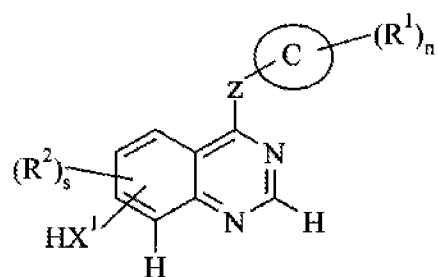
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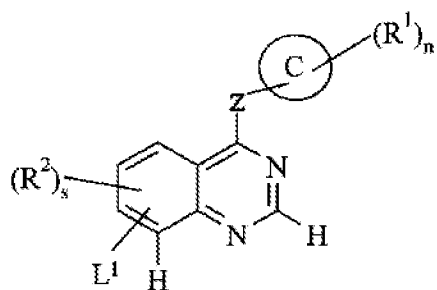


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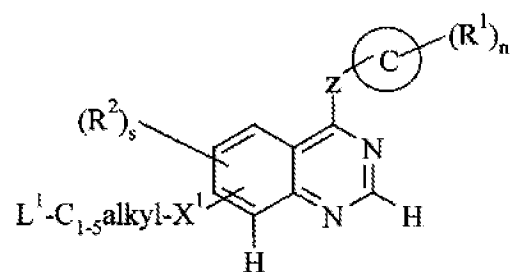
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INTERNATIONAL SEARCH REPORT

International Application No.

P./GB 00/00373

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/505 C07D401/14 C07D413/14 C07D417/12 C07D405/12
C07D401/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96 29301 A (AGREVO UK LTD ; CORNELL CLIVE LEONARD (GB); RICHARDS IAN CHRISTOPHE) 26 September 1996 (1996-09-26) see compounds 67 and 83 ---	9-11, 14
X	WO 95 15758 A (HSU CHIN YI JENNY ; ZILBERSTEIN ASHER (US); JOHNSON SUSAN E (US); M) 15 June 1995 (1995-06-15) see compound on top of page 17 the whole document ---	9-11, 14, 19-21
Y	---	1-22
	- / - -	

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubt on priority claim(s) or which is cited to establish the publication date of another claim or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to underpin the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"A" document member of the same patent family

Date of the actual completion of the international search

7 April 2000

Date of mailing of the international search report

18.05.00

Name and mailing address of the ISA
European Patent Office, P.O. Box 5519 Patankoo 2
RL - 2280 HW Rijswijk
Tel: (+31-70) 340-2040, Tx: 31 85 t epo nl,
Fax: (+31-70) 340-2016

Authorized officer

Scruton-Evans, I

INTERNATIONAL SEARCH REPORT

International Application No.

P.1/GB 00/00373

C. (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indicators, where appropriate, of the relevant passages	Relevant to claim No.
P, Y	HENNEQUIN L F ET AL: "Design and Structure-Activity Relationship of a New Class of Potent VEGF Receptor Tyrosine Kinase Inhibitors" J. MED. CHEM. (JMCAR,00222623);1999; VOL.42 (26); PP.5369-5389, XP000197180 AstraZeneca Zeneca Pharma Centre de Recherches Z.I. La Pompelle;Reims; 51689; Fr. (FR) the whole document	1-22
Y	BRIDGES A J ET AL: "Enantioselective inhibition of the epidermal growth factor receptor tyrosine kinase by 4-[(alpha-phenethylamino)quinazolines" BIOORG. MED. CHEM. (BMECEP,09680896);1995; VOL.3 (12); PP.1651-6, XP002134974 Parke-Davis Pharmaceutical Research Division;Ann Arbor; 48105; MI; USA (US) the whole document	1-22
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X	WO 97 42187 A (LOHMANN JEAN JACQUES MARCEL ;PLE PATRICK (FR); HENNEQUIN LAURENT F) 13 November 1997 (1997-11-13) see the general formula I and pages 1-3	1-3,6-8, 20
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INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 00/00373**Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 22 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No.

PCT/GB 00/00373

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